Scientific & Technical Information Center
S T I C
USPTO

STIC Search Report

EIC 1700

STIC Database Tracking Number: 175048

TO: Rei-Tsang Shiao
Location: Rem 5A10/5C18
Art Unit : 1626
December 23, 2005

Case Serial Number: 10/677778

From: Les Henderson
Location: EIC 1700
REM 4B28 / 4A30
Phone: 571-272-2538

Leslie.henderson@uspto.gov

Search Notes

sira
Search and Information Resources Administration

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=> d his ful

     (FILE 'HOME' ENTERED AT 14:17:49 ON 23 DEC 2005)

     FILE 'HCAPLUS' ENTERED AT 14:18:28 ON 23 DEC 2005
L1             1 SEA ABB=ON  PLU=ON  US20040138200/PN
                 D SCAN

     FILE 'REGISTRY' ENTERED AT 14:18:50 ON 23 DEC 2005

     FILE 'HCAPLUS' ENTERED AT 14:19:02 ON 23 DEC 2005
                 SEL RN

     FILE 'REGISTRY' ENTERED AT 14:19:14 ON 23 DEC 2005
L2            47 SEA ABB=ON  PLU=ON  (1002-84-2/BI OR 110-86-1/BI OR
                 111-14-8/BI OR 111-16-0/BI OR 111-20-6/BI OR 112-05-0/B
                 I OR 112-37-8/BI OR 115452-07-8/BI OR 123-99-9/BI OR
                 124-07-2/BI OR 130-85-8/BI OR 143-07-7/BI OR 1460-18-0/
                 BI OR 179386-43-7/BI OR 1852-04-6/BI OR 185943-33-3/BI
                 OR 2424-90-0/BI OR 282522-93-4/BI OR 30440-92-7/BI OR
                 334-48-5/BI OR 505-48-6/BI OR 505-52-2/BI OR 505-54-4/B
                 I OR 506-12-7/BI OR 544-63-8/BI OR 57-10-3/BI OR
                 57-11-4/BI OR 638-53-9/BI OR 681811-95-0/BI OR
                 681811-96-1/BI OR 681811-97-2/BI OR 681811-98-3/BI OR
                 681811-99-4/BI OR 681812-00-0/BI OR 693-23-2/BI OR
                 75-05-8/BI OR 80191-41-9/BI OR 821-38-5/BI OR 86-48-6/B
                 I OR 871-70-5/BI OR 9002-86-2/BI OR 9003-20-7/BI OR
                 9004-34-6/BI OR 9004-35-7/BI OR 9004-65-3/BI OR
                 9005-25-8/BI OR 9063-38-1/BI)
                 D SCAN
                 ACT SHI778/A
               ---------
L3               STR
L4           355 SEA SSS FUL L3
               ---------
                 D QUE STAT
                 ACT SHI778A/A
               ---------
L5               STR
L6         49327 SEA SSS FUL L5
               ---------
                 D QUE STAT
L7             3 SEA ABB=ON  PLU=ON  L2 AND L4
                 D SCAN
L8            11 SEA ABB=ON  PLU=ON  L2 AND L6

     FILE 'HCAPLUS' ENTERED AT 14:23:59 ON 23 DEC 2005
L9            89 SEA ABB=ON  PLU=ON  L4
L10        34529 SEA ABB=ON  PLU=ON  L6
L11           36 SEA ABB=ON  PLU=ON  L7
L12          850 SEA ABB=ON  PLU=ON  L8
L13            1 SEA ABB=ON  PLU=ON  L9 AND L10
                 D SCAN
L14            1 SEA ABB=ON  PLU=ON  L1 AND L13
L15              QUE ABB=ON  PLU=ON  (FATTY# OR LONGCHAIN? OR LONG##(W)C
                 HAIN## OR ALIPHATIC? OR ALIPH# OR ALKANOIC?)(2A)ACID#
L16              QUE ABB=ON  PLU=ON  (HEXANOIC# OR CAPROIC# OR HEPTANOIC
                 # OR ENANTHIC# OR OCTANOIC# OR CAPRYLIC#)(2A)ACID#
L17              QUE ABB=ON  PLU=ON  (NONANOIC# OR PELARGONIC# OR
                 DEC!NOIC# OR CAPRIC# OR OBTUSILIC# OR CAPROLEIC#)(2A)AC
                 ID#
L18              QUE ABB=ON  PLU=ON  (UNDECANOIC# OR DODEC!NOIC# OR
                  LAURIC# OR LAUROLEIC# OR TRIDECANOIC# OR TETRADEC!NOIC#
                  )(2A)ACID#
L19               QUE ABB=ON  PLU=ON  (MYRISTIC# OR MYRISTOLEIC# OR
                  PENTADECANOIC# OR HEXADEC!NOIC# OR PALMITIC#)(2A)ACID#
L20               QUE ABB=ON  PLU=ON  (PALMITOLEIC# OR HEPTADECANOIC# OR
                  MARGARIC# OR DATURIC# OR OCTADEC!NOIC#)(2A)ACID#
L21               QUE ABB=ON  PLU=ON  (STEARIC# OR OLEIC OR PETROSELINIC#
                   OR VACCENIC# OR NONADECANOIC#)(2A)ACID#
L22               QUE ABB=ON  PLU=ON  (EICOS!NIC# OR ARACHIDIC# OR
                  GADOLEIC# OR HENEISANOIC# OR DOCOS!NOIC# OR BEHENIC#)(2
                  A)ACID#
L23               QUE ABB=ON  PLU=ON  (CETOLEIC# OR ERUCIC# OR TRICOS!NOI
                  C# OR TETRACOS!NOIC# OR LIGNOCERIC# OR SELACHOLEIC#)(2A
                  )ACID#
L24               QUE ABB=ON  PLU=ON  (PENTACOSANOIC# OR HEXACOS!NOIC#
                  OR CEROTIC# OR HEPTACOSANOIC#)(2A)ACID#
L25               QUE ABB=ON  PLU=ON  (OCTACOSANOIC# OR MONTANIC# OR
                  NONACOSANOIC# OR TRIACONTANOIC#)(2A)ACID#
L26               QUE ABB=ON  PLU=ON  (MELISSIC# OR DOTRIACONTANOIC# OR
                  LACCEROIC# OR TRITRIACONTANOIC#)(2A)ACID#
L27               QUE ABB=ON  PLU=ON  (TETRATRIACONTANOIC# OR PENTATRIACO
                  NTANOIC# OR HEXATRIACONTANOIC#)(2A)ACID#
L28               QUE ABB=ON  PLU=ON  (HEPTATRIACONTANOIC# OR OCTATRIACON
                  TANOIC# OR TALLOW# OR COCO#)(2A)ACID#
L29               QUE ABB=ON  PLU=ON  (COCONUT# OR LINOLEIC# OR LINOLENIC
                  # OR ARACHIDONIC# OR ELAIDIC# OR RICINOLEIC#)(2A)ACID#
L30               QUE ABB=ON  PLU=ON  EMERSOL# OR HYDROFOL# OR HYSTRENE#
                  OR LUNAC OR PRIOLENE#
L31               QUE ABB=ON  PLU=ON  (HEPTANEDIOIC OR DECANEDIOIC OR
                  NONANEDIOIC OR  PAMOIC OR OCTANEDIOIC OR TRIDECANEDIOIC
                   OR HEXADECANEDIOIC)(A)ACID?
L32               QUE ABB=ON  PLU=ON  (DODECANEDIOIC OR TETRADECANEDIOIC
                  OR OCTADECANEDIOIC OR PENTADECANEDIOIC OR UNDECANEDIOIC
                   OR HEPTADECANEDIOIC)(A)ACID?
L33             2 SEA ABB=ON  PLU=ON  L9 AND ((L15 OR L16 OR L17 OR L18
                  OR L19 OR L20 OR L21 OR L22 OR L23 OR L24 OR L25 OR
                  L26 OR L27 OR L28 OR L29 OR L30 OR L31 OR L32))

     FILE 'REGISTRY' ENTERED AT 14:30:37 ON 23 DEC 2005
L34             1 SEA ABB=ON  PLU=ON  111-16-0/RN
L35             1 SEA ABB=ON  PLU=ON  123-99-9/RN
L36             1 SEA ABB=ON  PLU=ON  86-48-6/RN
L37             1 SEA ABB=ON  PLU=ON  111-20-6/RN
L38             1 SEA ABB=ON  PLU=ON  130-85-8/RN
L39             1 SEA ABB=ON  PLU=ON  505-48-6/RN
L40             1 SEA ABB=ON  PLU=ON  505-52-2/RN
L41             1 SEA ABB=ON  PLU=ON  505-54-4/RN
L42             1 SEA ABB=ON  PLU=ON  693-23-2/RN
L43             1 SEA ABB=ON  PLU=ON  821-38-5/RN
L44             1 SEA ABB=ON  PLU=ON  871-70-5/RN
L45             1 SEA ABB=ON  PLU=ON  1460-18-0/RN
L46             1 SEA ABB=ON  PLU=ON  1852-04-6/RN
L47             1 SEA ABB=ON  PLU=ON  2424-90-0/RN

     FILE 'HCAPLUS' ENTERED AT 14:35:36 ON 23 DEC 2005
L48          1726 SEA ABB=ON  PLU=ON  L34
L49          3308 SEA ABB=ON  PLU=ON  L35
L50           631 SEA ABB=ON  PLU=ON  L36
L51          4645 SEA ABB=ON  PLU=ON  L37
L52           161 SEA ABB=ON  PLU=ON  L38
L53          1931 SEA ABB=ON  PLU=ON  L39
L54           442 SEA ABB=ON  PLU=ON  L40
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L55          421 SEA ABB=ON  PLU=ON  L41
L56         1648 SEA ABB=ON  PLU=ON  L42
L57          505 SEA ABB=ON  PLU=ON  L43
L58          222 SEA ABB=ON  PLU=ON  L44
L59          169 SEA ABB=ON  PLU=ON  L45
L60          371 SEA ABB=ON  PLU=ON  L46
L61           81 SEA ABB=ON  PLU=ON  L47
L62        10229 SEA ABB=ON  PLU=ON  (L48 OR L49 OR L50 OR L51 OR L52
                 OR L53 OR L54 OR L55 OR L56 OR L57 OR L58 OR L59 OR
                 L60 OR L61)
L63            1 SEA ABB=ON  PLU=ON  L9 AND L62
L64            2 SEA ABB=ON  PLU=ON  L13 OR L33 OR L63
L65           21 SEA ABB=ON  PLU=ON  SUMANIROLE
L66            2 SEA ABB=ON  PLU=ON  L65 AND (L10 OR L62 OR ((L15 OR
                 L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23
                 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29 OR L30 OR
                 L31 OR L32)))
L67        69605 SEA ABB=ON  PLU=ON  (MIXT# OR MIXTURE? OR BLEND? OR
                 ADMIX? OR COMMIX? OR IMMIX? OR INTERMIX? OR COMPOSIT?
                 OR COMPN# OR COMPSN# OR FORMULAT? OR INTERSPER?)/TI
                 AND (L10 OR L62 OR ((L15 OR L16 OR L17 OR L18 OR L19
                 OR L20 OR L21 OR L22 OR L23 OR L24 OR L25 OR L26 OR
                 L27 OR L28 OR L29 OR L30 OR L31 OR L32)))
L68            6 SEA ABB=ON  PLU=ON  L9 AND (MIXT# OR MIXTURE? OR
                 BLEND? OR ADMIX? OR COMMIX? OR IMMIX? OR INTERMIX? OR
                 COMPOSIT? OR COMPN# OR COMPSN# OR FORMULAT? OR
                 INTERSPER?)/TI
L69              QUE ABB=ON  PLU=ON  PRODUC? OR PROD# OR GENERAT? OR
                 MANUF? OR MFR# OR CREAT? OR FORM## OR FORMING# OR
                 FORMAT? OR MAKE# OR MADE# OR MAKING# OR FABRICAT? OR
                 SYNTHESI? OR PREPAR? OR PREP# OR PROCESS? OR METHOD?
L70       377851 SEA ABB=ON  PLU=ON  L69 AND (L10 OR L62 OR ((L15 OR
                 L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR L22 OR L23
                 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29 OR L30 OR
                 L31 OR L32)))
L71           71 SEA ABB=ON  PLU=ON  L9 AND L69
L72           32 SEA ABB=ON  PLU=ON  L11 AND L71
L73            7 SEA ABB=ON  PLU=ON  L66 OR L68
L74           34 SEA ABB=ON  PLU=ON  L72 OR L73
L75           27 SEA ABB=ON  PLU=ON  L74 NOT L73


=> => d que stat 173
L3                STR
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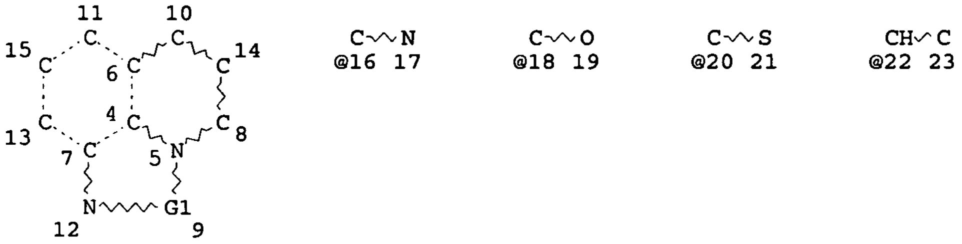

```
   N 24

VAR G1=CH/16/18/20/22
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  21

STEREO ATTRIBUTES: NONE
L4           355 SEA FILE=REGISTRY SSS FUL L3
L5               STR
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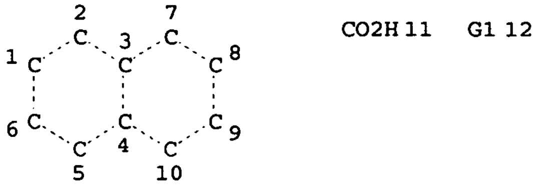

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VAR G1=OH/N/NO2
NODE ATTRIBUTES:
DEFAULT MLEVEL IS ATOM
DEFAULT ECLEVEL IS LIMITED

GRAPH ATTRIBUTES:
RSPEC I
NUMBER OF NODES IS  12

STEREO ATTRIBUTES: NONE
L6         49327 SEA FILE=REGISTRY SSS FUL L5
L9            89 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L4
L10        34529 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L6
L15              QUE  ABB=ON  PLU=ON  (FATTY# OR LONGCHAIN? OR LONG##(W)
                 CHAIN## OR ALIPHATIC? OR ALIPH# OR ALKANOIC?)(2A)ACID#
L16              QUE  ABB=ON  PLU=ON  (HEXANOIC# OR CAPROIC# OR HEPTANOI
                 C# OR ENANTHIC# OR OCTANOIC# OR CAPRYLIC#)(2A)ACID#
L17              QUE  ABB=ON  PLU=ON  (NONANOIC# OR PELARGONIC# OR DEC!N
                 OIC# OR CAPRIC# OR OBTUSILIC# OR CAPROLEIC#)(2A)ACID#
L18              QUE  ABB=ON  PLU=ON  (UNDECANOIC# OR DODEC!NOIC# OR LAU
                 RIC# OR LAUROLEIC# OR TRIDECANOIC# OR TETRADEC!NOIC#)(2
                 A)ACID#
L19              QUE  ABB=ON  PLU=ON  (MYRISTIC# OR MYRISTOLEIC# OR PENT
                 ADECANOIC# OR HEXADEC!NOIC# OR PALMITIC#)(2A)ACID#
L20              QUE  ABB=ON  PLU=ON  (PALMITOLEIC# OR HEPTADECANOIC# OR
                  MARGARIC# OR DATURIC# OR OCTADEC!NOIC#)(2A)ACID#
L21              QUE  ABB=ON  PLU=ON  (STEARIC# OR OLEIC OR PETROSELINIC
                 # OR VACCENIC# OR NONADECANOIC#)(2A)ACID#
L22              QUE  ABB=ON  PLU=ON  (EICOS!NIC# OR ARACHIDIC# OR GADOL
                 EIC# OR HENEISANOIC# OR DOCOS!NOIC# OR BEHENIC#)(2A)ACI
                 D#
L23              QUE  ABB=ON  PLU=ON  (CETOLEIC# OR ERUCIC# OR TRICOS!NO
                 IC# OR TETRACOS!NOIC# OR LIGNOCERIC# OR SELACHOLEIC#)(2
                 A)ACID#
L24              QUE  ABB=ON  PLU=ON  (PENTACOSANOIC# OR HEXACOS!NOIC# O
                 R CEROTIC# OR HEPTACOSANOIC#)(2A)ACID#
L25              QUE  ABB=ON  PLU=ON  (OCTACOSANOIC# OR MONTANIC# OR NON
                 ACOSANOIC# OR TRIACONTANOIC#)(2A)ACID#
L26              QUE  ABB=ON  PLU=ON  (MELISSIC# OR DOTRIACONTANOIC# OR
                 LACCEROIC# OR TRITRIACONTANOIC#)(2A)ACID#
L27              QUE  ABB=ON  PLU=ON  (TETRATRIACONTANOIC# OR PENTATRIAC
                 ONTANOIC# OR HEXATRIACONTANOIC#)(2A)ACID#
L28              QUE  ABB=ON  PLU=ON  (HEPTATRIACONTANOIC# OR OCTATRIACO
                 NTANOIC# OR TALLOW# OR COCO#)(2A)ACID#
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L29              QUE  ABB=ON  PLU=ON  (COCONUT# OR LINOLEIC# OR LINOLENI
                 C# OR ARACHIDONIC# OR ELAIDIC# OR RICINOLEIC#)(2A)ACID#
L30              QUE  ABB=ON  PLU=ON  EMERSOL# OR HYDROFOL# OR HYSTRENE#
                  OR LUNAC OR PRIOLENE#
L31              QUE  ABB=ON  PLU=ON  (HEPTANEDIOIC OR DECANEDIOIC OR NO
                 NANEDIOIC OR  PAMOIC OR OCTANEDIOIC OR TRIDECANEDIOIC O
                 R HEXADECANEDIOIC)(A)ACID?
L32              QUE  ABB=ON  PLU=ON  (DODECANEDIOIC OR TETRADECANEDIOIC
                  OR OCTADECANEDIOIC OR PENTADECANEDIOIC OR UNDECANEDIOI
                 C OR HEPTADECANEDIOIC)(A)ACID?
L34            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  111-16-0/RN
L35            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  123-99-9/RN
L36            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  86-48-6/RN
L37            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  111-20-6/RN
L38            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  130-85-8/RN
L39            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  505-48-6/RN
L40            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  505-52-2/RN
L41            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  505-54-4/RN
L42            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  693-23-2/RN
L43            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  821-38-5/RN
L44            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  871-70-5/RN
L45            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  1460-18-0/RN
L46            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  1852-04-6/RN
L47            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  2424-90-0/RN
L48         1726 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34
L49         3308 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35
L50          631 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L36
L51         4645 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37
L52          161 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L38
L53         1931 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L39
L54          442 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L40
L55          421 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L41
L56         1648 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L42
L57          505 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L43
L58          222 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44
L59          169 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L45
L60          371 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L46
L61           81 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L47
L62        10229 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L48 OR L49 OR L50 OR
                 L51 OR L52 OR L53 OR L54 OR L55 OR L56 OR L57 OR L58
                 OR L59 OR L60 OR L61)
L65           21 SEA FILE=HCAPLUS ABB=ON  PLU=ON  SUMANIROLE
L66            2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L65 AND (L10 OR L62
                 OR ((L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR
                 L22 OR L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29
                 OR L30 OR L31 OR L32)))
L68            6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (MIXT# OR
                 MIXTURE? OR BLEND? OR ADMIX? OR COMMIX? OR IMMIX? OR
                 INTERMIX? OR COMPOSIT? OR COMPN# OR COMPSN# OR
                 FORMULAT? OR INTERSPER?)/TI
L73            7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L66 OR L68


=> d l73 1-7 ibib abs hitstr hitind

L73  ANSWER 1 OF 7  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:1171443  HCAPLUS
DOCUMENT NUMBER:          143:432676
TITLE:                    New pharmaceutical compositions for
                          the treatment of sexual disorders
INVENTOR(S):              Mendla, Klaus; Pyke, Robert; Eisenreich,
                          Wolfram; Friedl, Thomas
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PATENT ASSIGNEE(S):      Boehringer Ingelheim International GmbH,
                         Germany; Boehringer Ingelheim Pharmaceuticals,
                         Inc.; Boehringer Ingelheim Pharma GmbHH & Co.
                         KG
SOURCE:                  PCT Int. Appl., 71 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

    PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
    ---------------     ----   --------    --------------------
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    WO 2005102342        A1    20051103    WO 2005-EP4081
                                                                  2005
                                                                  0418
        W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
            CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
            ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
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            MD, MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH,
            PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM,
            TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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            CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
    US 2005245539        A1    20051103    US 2005-110449
                                                                  2005
                                                                  0420
PRIORITY APPLN. INFO.:                     US 2004-564662P      P
                                                                  2004
                                                                  0422

                                           US 2004-631800P      P
                                                                  2004
                                                                  1130

AB   The invention relates to new pharmaceutical compns. for the
     treatment of sexual disorders and methods for the preparation thereof.
     In a preferred embodiment, the instant invention is directed to
     pharmaceutical combinations comprising flibanserin as one active
     ingredient in combination with at least one addnl. active
     ingredient for the treatment of sexual disorders and methods for
     the preparation thereof.
IT   132874-73-8, U-86170 179386-43-7, Sumanirole
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (new pharmaceutical compns. for treatment of sexual disorders)
RN   132874-73-8  HCAPLUS
CN   4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-
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Absolute stereochemistry.
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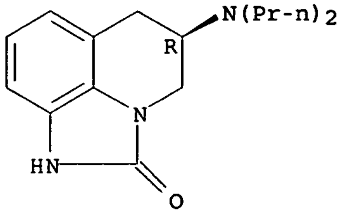
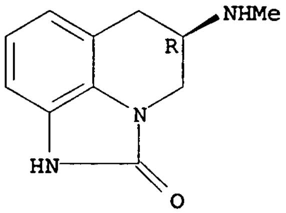


RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K031-496
ICS A61K045-06; A61P015-00
CC 1-11 (Pharmacology)
Section cross-reference(s): 2, 63
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        (new pharmaceutical compns. for treatment of sexual disorders)
REFERENCE COUNT:         6     THERE ARE 6 CITED REFERENCES AVAILABLE
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT

L73  ANSWER 2 OF 7  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2004:331922  HCAPLUS
DOCUMENT NUMBER:         140:363026
TITLE:                   Pharmaceutical compositions of salts
                         of tricyclic, nitrogen-containing compounds
                         with low-solubility organic acids to treat
                         Parkinson's disease
INVENTOR(S):             Hawley, Michael; Bergren, Michael S.; Nixon,
                         Phillip R.; Halstead, Gordon W.; Chao, Robert
                         S.; Ju, Tzu-chi R.
PATENT ASSIGNEE(S):      Pharmacia Corporation, USA
SOURCE:                  PCT Int. Appl., 21 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
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OTHER SOURCE(S):          MARPAT 140:363026
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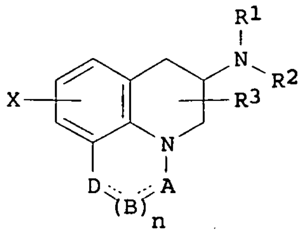


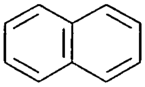
I

AB A pharmaceutical composition of tricyclic,nitrogen-containing compds. comprising a salt, preferably a crystalline salt, of an organic acid of low water solubility and a compound of formula I (R1, R2, R3 = H, C1-C6 alkyl, C3-C5 alkenyl, C3-C5 alkynyl, C3-C5 cycloalkyl,C4-C10 cycloalkyl,-NR1R2 where R1 and R2 are cyclized with the attached nitrogen atom to produce pyrrolidiyl, piperidinyl, morphoninyl,piperazinyl or imidazolyl; X = -H,C1-C6 alkyl,-F,-Cl,-Br,-I,-OH,C1-C6 alkoxy,cyano,carboxamide,carboxy,(C1-C6 alkoxy)carbonyl; A = CH,CH2,CH-(halogen) (where halogen is Cl,F,Br,or I),CHCH3,C=O,C=S,C-SCH3,C=NH,C-NH2,C-NHCH3,C-NHCOOCH3,C-NHCN,SO2,N; B = CH2,CH,CH-(halogen) where halogen is as defined above,C=O,N,NH,N-CH3; D = CH,CH2,CH-(halogen) where halogen is as defined above,C-O,O,N,NH,N-CH3; n = 0 - 1) is provided for treatment of Parkinson's disease. The salts are suitable for use inextended release formulations for treatment of Parkinson's disease.

IT **30440-92-7 80191-41-9 115452-07-8**
179386-43-7D, Sumanirole, salts with organic acids
185943-33-3D, salts with organic acids **282522-93-4D**
, salts with organic acids **681811-95-0 681811-96-1**
681811-97-2 681811-98-3 681811-99-4
681812-00-0
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmaceutical compns. of salts of tricyclic, nitrogen-containing compds. with low-solubility organic acids to treat Parkinson's disease)

RN 30440-92-7 HCAPLUS

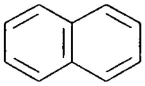
CN Naphthalenecarboxylic acid, hydroxy- (9CI) (CA INDEX NAME)



D1—OH

D1—CO_2H

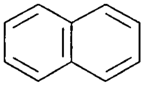
RN 80191-41-9 HCAPLUS
CN Naphthalenecarboxylic acid, nitro- (9CI) (CA INDEX NAME)



D1—NO_2

D1—CO_2H

RN 115452-07-8 HCAPLUS
CN Naphthalenecarboxylic acid, amino- (9CI) (CA INDEX NAME)

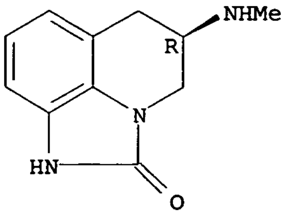


D1—NH_2

D1—CO_2H

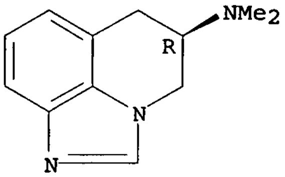
RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



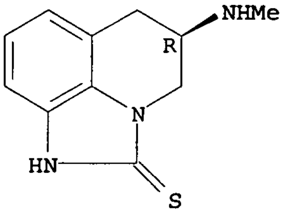
RN 185943-33-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 681811-95-0 HCAPLUS
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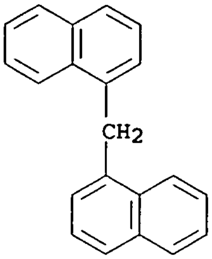
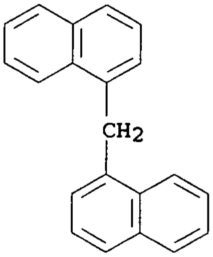


2 [D1—CO_2H]

2 (D1—OH)

RN 681811-96-1 HCAPLUS
CN Naphthalenecarboxylic acid, amino-1-[(carboxyhydroxy-1-naphthalenyl)methyl]- (9CI) (CA INDEX NAME)

PAGE 1-A



2 [D1—CO_2H]

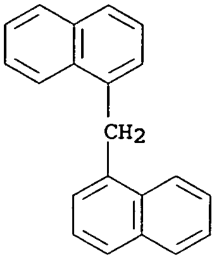
D1—NH_2

PAGE 2-A

D1—OH

RN 681811-97-2 HCAPLUS
CN Naphthalenecarboxylic acid, 1-[(carboxyhydroxy-1-naphthalenyl)methyl]nitro- (9CI) (CA INDEX NAME)

PAGE 1-A



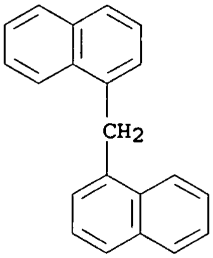
$2\left[\mathrm{D1-CO_2H}\right]$

$\mathrm{D1-NO_2}$

PAGE 2-A

$\mathrm{D1-OH}$

RN 681811-98-3 HCAPLUS
CN Naphthalenecarboxylic acid, 1,1'-methylenebis[amino- (9CI) (CA INDEX NAME)

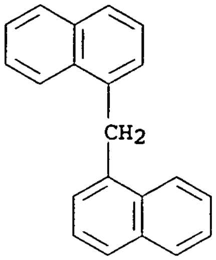


$2\left[\mathrm{D1-CO_2H}\right]$

$2\left[\mathrm{D1-NH_2}\right]$

RN 681811-99-4 HCAPLUS
CN Naphthalenecarboxylic acid, 1-[(aminocarboxy-1-naphthalenyl)methyl]nitro- (9CI) (CA INDEX NAME)

PAGE 1-A



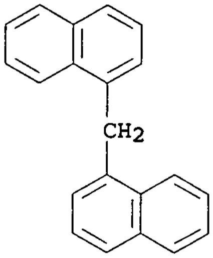
2 [D1— CO_2H]

D1— NO_2

PAGE 2-A

D1— NH_2

RN 681812-00-0 HCAPLUS
CN Naphthalenecarboxylic acid, 1,1'-methylenebis[nitro- (9CI) (CA INDEX NAME)



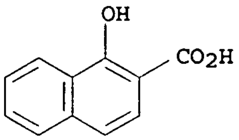
2 [D1— CO_2H]

2 [D1— NO_2]

IT **86-48-6**, 1-Hydroxy-2-naphthoic acid **111-16-0**,
Heptanedioic acid 111-20-6,
Decanedioic acid, reactions **123-99-9**,
Nonanedioic acid, reactions **130-85-8**,
Pamoic acid 505-48-6,

Octanedioic acid 505-52-2,
Tridecanedioic acid 505-54-4,
Hexadecanedioic acid 693-23-2,
Dodecanedioic acid 821-38-5,
Tetradecanedioic acid 871-70-5,
Octadecanedioic acid 1460-18-0,
Pentadecanedioic acid 1852-04-6,
Undecanedioic acid 2424-90-0,
Heptadecanedioic acid
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. of salts of tricyclic, nitrogen-containing compds. with low-solubility organic acids to treat Parkinson's disease)

RN 86-48-6 HCAPLUS
CN 2-Naphthalenecarboxylic acid, 1-hydroxy- (9CI) (CA INDEX NAME)



RN 111-16-0 HCAPLUS
CN Heptanedioic acid (9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_5-CO_2H$

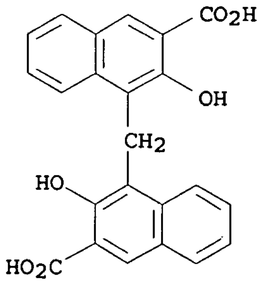
RN 111-20-6 HCAPLUS
CN Decanedioic acid (9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_8-CO_2H$

RN 123-99-9 HCAPLUS
CN Nonanedioic acid (9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_7-CO_2H$

RN 130-85-8 HCAPLUS
CN 2-Naphthalenecarboxylic acid, 4,4'-methylenebis[3-hydroxy- (9CI) (CA INDEX NAME)



RN 505-48-6 HCAPLUS
CN Octanedioic acid (6CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_6-CO_2H$

RN 505-52-2 HCAPLUS
CN Tridecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{11}-CO_2H$

RN 505-54-4 HCAPLUS
CN Hexadecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{14}-CO_2H$

RN 693-23-2 HCAPLUS
CN Dodecanedioic acid (6CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{10}-CO_2H$

RN 821-38-5 HCAPLUS
CN Tetradecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{12}-CO_2H$

RN 871-70-5 HCAPLUS
CN Octadecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{16}-CO_2H$

RN 1460-18-0 HCAPLUS
CN Pentadecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{13}-CO_2H$

RN 1852-04-6 HCAPLUS
CN Undecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_9-CO_2H$

RN 2424-90-0 HCAPLUS
CN Heptadecanedioic acid (6CI, 7CI, 8CI, 9CI) (CA INDEX NAME)

$HO_2C-(CH_2)_{15}-CO_2H$

IC ICM A61K
CC 63-6 (Pharmaceuticals)
ST pharmaceutical extended release antiParkinson **sumanirole**
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release pharmaceutical ntiParkinson
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179386-43-7D, **Sumanirole**, salts with organic acids
185943-33-3D, salts with organic acids **282522-93-4D**
, salts with organic acids **681811-95-0 681811-96-1**
681811-97-2 681811-98-3 681811-99-4
681812-00-0
RL: PRP (Properties); THU (Therapeutic use); BIOL (Biological
study); USES (Uses)
(pharmaceutical compns. of salts of tricyclic, nitrogen-containing
compds. with low-solubility organic acids to treat Parkinson's disease)
IT 57-10-3, **Hexadecanoic acid**, reactions
57-11-4, **Octadecanoic acid**, reactions
75-05-8, Acetonitrile, reactions **86-48-6**,
1-Hydroxy-2-naphthoic acid 110-86-1, Pyridine, reactions
111-14-8, **Heptanoic acid 111-16-0**,
Heptanedioic acid 111-20-6,
Decanedioic acid, reactions 112-05-0,
Nonanoic acid 112-37-8, **Undecanoic**
acid 123-99-9, **Nonanedioic**
acid, reactions 124-07-2, **Octanoic**
acid, reactions **130-85-8**, **Pamoic**
acid 143-07-7, **Dodecanoic acid**,
reactions 334-48-5, **Decanoic acid**
505-48-6, **Octanedioic acid**
505-52-2, **Tridecanedioic acid**
505-54-4, **Hexadecanedioic acid**
506-12-7, **Heptadecanoic acid** 544-63-8,
Tetradecanoic acid, reactions 638-53-9,
Tridecanoic acid 693-23-2,
Dodecanedioic acid 821-38-5,
Tetradecanedioic acid 871-70-5,
Octadecanedioic acid 1002-84-2,
Pentadecanoic acid 1460-18-0,
Pentadecanedioic acid 1852-04-6,
Undecanedioic acid 2424-90-0,
Heptadecanedioic acid 9002-86-2, Polyvinyl
chloride 9003-20-7, Polyvinyl acetate 9004-34-6D, Cellulose,
derivs. 9004-35-7, Cellulose acetate 9005-25-8, Starch,
reactions 9063-38-1, Sodium starch glycolate
RL: RCT (Reactant); RACT (Reactant or reagent)
(pharmaceutical compns. of salts of tricyclic, nitrogen-containing
compds. with low-solubility organic acids to treat Parkinson's disease)

L73 ANSWER 3 OF 7 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2004:331921 HCAPLUS
DOCUMENT NUMBER: 140:344909
TITLE: **Compositions** containing a heterocyclic amine compound for treating sexual dysfunction
INVENTOR(S): Hawley, Michael; Kontny, Mark J.; Halstead, Gordon W.
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 26 pp.

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                              CODEN: PIXXD2
DOCUMENT TYPE:                Patent
LANGUAGE:                     English
FAMILY ACC. NUM. COUNT:       1
PATENT INFORMATION:

     PATENT NO.               KIND   DATE         APPLICATION NO.          DATE
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             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
             MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
             SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA,
             UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
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     CA 2500919                AA    20040422     CA 2003-2500919
                                                                           2003
                                                                           1002
     US 2005043296             A1    20050224     US 2003-678212
                                                                           2003
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     EP 1545521                A2    20050629     EP 2003-808156
                                                                           2003
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             EE, HU, SK
     BR 2003014525             A     20050726     BR 2003-14525
                                                                           2003
                                                                           1002
PRIORITY APPLN. INFO.:                            US 2002-416294P      P
                                                                           2002
                                                                           1004

                                                  WO 2003-US31656      W
                                                                           2003
                                                                           1002

OTHER SOURCE(S):              MARPAT 140:344909
AB    A pharmaceutical composition comprising a nitrogen-containing tricyclic
      compound, i.e., a heterocyclic amine and its salts with an acid of
      an artificial sweetener is provided.  Also, methods of making a
      salt or a crystalline salt of heterocyclic amine compound, and methods of
      treatment of sexual dysfunction using the salt or the crystalline salt
      of heterocyclic amine compound are described.  For example, a crystalline
      (R)-5,6-dihydro-5-(methylamino)-4H-imidazo(4,5-i,j)quinoline-
      2(1H)thione cyclamate salt (I) was prepared by the reaction of 64 mg
      of (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-i]quinoline-
      2(1H)thione and 36 mg of cyclamic acid (molar ratio of about 1 to
      0.7).  The cyclamate I was collected by filtration in a yield of
      about 76% (57 mg).
IT    282522-94-5P 680179-95-7P 680179-96-8P
```

RL: PRP (Properties); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(preparation of salts of heterocyclic amines with sweetener acid for
treating sexual dysfunction)

RN 282522-94-5 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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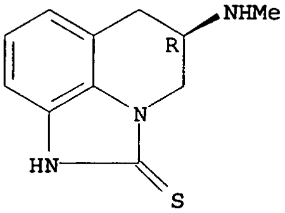
CRN 282522-93-4
CMF C11 H13 N3 S

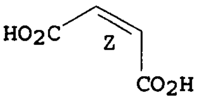
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



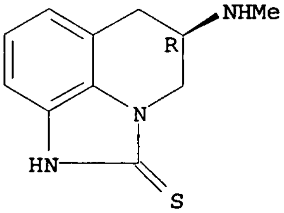
RN 680179-95-7 HCAPLUS

CN Sulfamic acid, cyclohexyl-, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



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CRN 100-88-9
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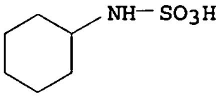
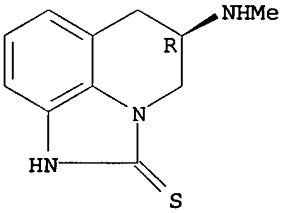


RN 680179-96-8 HCAPLUS
CN 1,2-Benzisothiazol-3(2H)-one, 1,1-dioxide, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

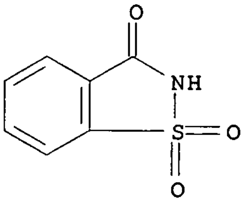
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



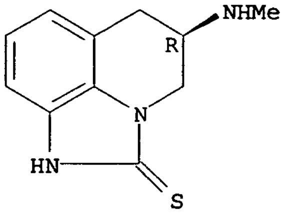
CM 2

CRN 81-07-2
CMF C7 H5 N O3 S



IT **282522-93-4**
RL: RCT (Reactant); RACT (Reactant or reagent)
(preparation of salts of heterocyclic amines with sweetener acid for treating sexual dysfunction)
RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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IC    ICM  A61K
CC    63-6 (Pharmaceuticals)
      Section cross-reference(s): 1, 27, 28
IT    282522-94-5P 680179-95-7P 680179-96-8P
      RL: PRP (Properties); SPN (Synthetic preparation); THU
      (Therapeutic use); BIOL (Biological study); PREP (Preparation);
      USES (Uses)
         (preparation of salts of heterocyclic amines with sweetener acid for
         treating sexual dysfunction)
IT    81-07-2, Saccharin   100-88-9, Cyclamic acid   22839-47-0,
      Aspartame   33665-90-6, Acesulfame   80863-62-3, Alitame
      165450-17-9, Neotame 282522-93-4
      RL: RCT (Reactant); RACT (Reactant or reagent)
         (preparation of salts of heterocyclic amines with sweetener acid for
         treating sexual dysfunction)

L73  ANSWER 4 OF 7  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:          2003:511118  HCAPLUS
DOCUMENT NUMBER:           139:90451
TITLE:                     Zero-order sustained-release dosage forms
INVENTOR(S):               Heimlich, John M.; Noack, Robert M.; Cox,
                           Steve R.; Ganorkar, Loksidh D.; Verhage,
                           Ronald R.; John, Lee E.
PATENT ASSIGNEE(S):        Pharmacia Corporation, USA
SOURCE:                    PCT Int. Appl., 34 pp.
                           CODEN: PIXXD2
DOCUMENT TYPE:             Patent
LANGUAGE:                  English
FAMILY ACC. NUM. COUNT:    2
PATENT INFORMATION:

      PATENT NO.            KIND   DATE        APPLICATION NO.         DATE
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      WO 2003053402          A1    20030703    WO 2002-US41104
                                                                       2002
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          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
              CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
              GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
              KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
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              SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
              VC, VN, YU, ZA, ZM, ZW
          RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
              AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
              DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT,
              SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW,
              ML, MR, NE, SN, TD, TG
      CA 2470636             AA    20030703    CA 2002-2470636
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    US 2003133982        A1    20030717    US 2002-324719
                                                              2002
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    EP 1455751           A1    20040915    EP 2002-792508
                                                              2002
                                                              1219
        R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
            MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
            EE, SK
    BR 2002015262        A     20041228    BR 2002-15262
                                                              2002
                                                              1219
    JP 2005516020        T2    20050602    JP 2003-554161
                                                              2002
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PRIORITY APPLN. INFO.:                     US 2001-342642P     P
                                                              2001
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                                           US 2001-342819P     P
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                                           WO 2002-US41104     W
                                                              2002
                                                              1219
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AB The present invention relates to zero-order sustained-release solid dosage forms suitable for administration of a wide range of drugs, especially those that are water-soluble The solid dosage form comprises (a) a matrix core comprising Et cellulose and the active agent and (b) a hydrophobic polymer coating encasing the entire matrix core. Thus, tablets contained clindamycin-HCl 76.44, Et cellulose 18.08, and Mg stearate 0.25%. Extra-granular formulations comprised Ethocel 4.99, and Mg stearate 0.25%. The coating composition comprised HPMC 10.8, and Surelease 43.2%.

IC ICM A61K009-00

CC 63-6 (Pharmaceuticals)

IT 50-48-6, Amitriptyline 50-70-4, Sorbitol, biological studies 50-99-7, Glucose, biological studies 52-53-9, Verapamil 57-11-4, **Stearic acid**, biological studies 57-11-4D, **Stearic acid**, salts 57-48-7, Fructose, biological studies 57-50-1, Sucrose, biological studies 59-23-4, Galactose, biological studies 60-87-7, Promethazine 63-42-3, Lactose 68-04-2, Sodium citrate 69-65-8, Mannitol 72-69-5, Nortriptyline 79-10-7D, Acrylic acid, polymers 79-41-4D, MethAcrylic acid, polymers 127-09-3, Sodium acetate 151-21-3, Sodium lauryl sulfate, biological studies 315-30-0, Allopurinol 469-62-5, Propoxyphene 525-66-6, Propranolol 554-13-2, Lithium carbonate 557-04-0 564-25-0, Doxycycline 657-24-9, Metformin 1343-98-2, Silicic acid 1668-19-5, Doxepin 3458-28-4, Mannose 4070-80-8, Sodium stearyl fumarate 7447-40-7, Potassium chloride (KCl), biological studies 7647-14-5, Sodium chloride, biological studies 7647-15-6, Sodium bromide, biological studies 7757-93-9, Dicalcium phosphate 7778-80-5, Sulfuric acid dipotassium salt, biological studies 9000-01-5, Acacia gum 9003-39-8, Polyvinylpyrrolidone 9003-39-8D, Polyvinylpyrrolidone, crosslinked derivs. 9004-34-6D, Cellulose, ethers 9004-35-7, Cellulose acetate 9004-54-0, Dextran, biological studies 9004-57-3, Ethyl cellulose 9004-65-3, Hydroxypropyl methyl

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     cellulose   9005-25-8, Starch, biological studies   9005-32-7,
     Alginic acid   9057-02-7, Pullulan   16051-77-7, Isosorbide
     mononitrate   16068-46-5, Potassium phosphate   22204-53-1,
     Naproxen   25322-68-3, Polyethylene glycol   26787-78-0,
     Amoxicillin   27203-92-5, Tramadol   29122-68-7, Atenolol
     34911-55-2, Bupropion   42399-41-7, Diltiazem   51384-51-1,
     Metoprolol   51481-61-9, Cimetidine   59277-89-3, Acyclovir
     60142-96-3, Gabapentin   62571-86-2, Captopril   66357-35-5,
     Ranitidine   66376-36-1, Alendronate   71620-89-8, Reboxetine
     83799-24-0, Fexofenadine   83905-01-5, Azithromycin   85441-61-8,
     Quinapril   85721-33-1, Ciprofloxacin   92665-29-7, Cefprozil
     93413-69-5, Venlafaxine   93957-54-1, Fluvastatin   98048-97-6,
     Fosinopril   100986-85-4, Levofloxacin   103628-46-2, Sumatriptan
     104632-26-0, Pramipexole   114798-26-4, Losartan   124832-26-4,
     Valacyclovir   139755-83-2, Sildenafil   146798-66-5   156907-84-5
     179386-43-7, Sumanirole
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (zero-order sustained-release dosage forms)
REFERENCE COUNT:        2     THERE ARE 2 CITED REFERENCES AVAILABLE
                              FOR THIS RECORD. ALL CITATIONS AVAILABLE
                              IN THE RE FORMAT

L73  ANSWER 5 OF 7  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:       2003:197162  HCAPLUS
DOCUMENT NUMBER:        138:198654
TITLE:                  combination of a CB1 receptor antagonist and a
                        brain dopaminergic neurotransmission
                        activator, pharmaceutical compositions
                        containing them, and their use in the
                        treatment of Parkinson's disease
INVENTOR(S):            Benavides, Jesus; Boccio, Daniel; Henin,
                        Yvette; Piot, Grosjean Odile
PATENT ASSIGNEE(S):     Aventis Pharma SA, Fr.
SOURCE:                 Fr. Demande, 96 pp.
                        CODEN: FRXXBL
DOCUMENT TYPE:          Patent
LANGUAGE:               French
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     FR 2829028           A1    20030307    FR 2001-11200
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     FR 2829028           B1    20041217
     CA 2458348           AA    20030313    CA 2002-2458348
                                                                   2002
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     WO 2003020314        A1    20030313    WO 2002-FR2946
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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
             MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT,
             BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE,
          IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM,
          GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     EP 1423145           A1     20040602    EP 2002-772514
                                                                 2002
                                                                 0828
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             EE, SK
     JP 2005505551        T2     20050224    JP 2003-524621
                                                                 2002
                                                                 0828
     US 2004209861        A1     20041021    US 2004-786810
                                                                 2004
                                                                 0225
PRIORITY APPLN. INFO.:                       FR 2001-11200      A
                                                                 2001
                                                                 0829

                                             WO 2002-FR2946     W
                                                                 2002
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OTHER SOURCE(S):         MARPAT 138:198654
AB   The invention discloses the combination of one or more azetidine
     derivative CB1 antagonists and one or more substances which activate
     dopaminergic neurotransmission in the brain, as well as
     pharmaceutical compns. containing them and their use for the treatment
     of Parkinson's disease.  Methods for azetidine derivative preparation are
     described.
IT   179386-44-8, PNU-95666
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (dopaminergic neurotransmission activator-CB1 receptor
        antagonist combination, pharmaceutical compns., and use in
        Parkinson's disease treatment)
RN   179386-44-8  HCAPLUS
CN   4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
     (methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI)  (CA INDEX
     NAME)

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     CRN  179386-43-7
     CMF  C11 H13 N3 O

Absolute stereochemistry.  Rotation (-).
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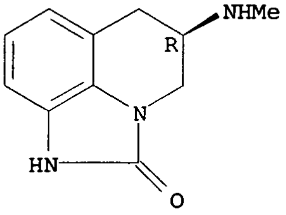

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     CRN  110-16-7
     CMF  C4 H4 O4
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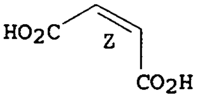
Double bond geometry as shown.



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IC    ICM  A61K031-4738
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CC    1-11 (Pharmacology)
      Section cross-reference(s): 27
IT    58-96-8, Uridine   59-92-7, Levodopa, biological studies
      503-29-7D, Azetidine, derivs.   7101-51-1, CHF 1301   14611-51-9,
      Selegiline   25614-03-3, Bromocriptine   57308-51-7, Duodopa
      57308-51-7   81409-90-7, Cabergoline   91374-21-9, Ropinirole
      99755-59-6, Rotigotine   101626-70-4, Talipexole   104632-26-0,
      Pramipexole   130929-57-6, Entacapone   134308-13-7, Tolcapone
      136236-51-6, Rasagiline   155210-57-4, BAM-1110   171752-56-0,
      Adrogolide 179386-44-8, PNU-95666   499771-49-2
      499771-50-5   499771-51-6   499771-52-7   499771-53-8
      499771-54-9   499771-55-0   499771-56-1   499771-57-2
      499771-58-3   499771-59-4   499771-60-7   500019-91-0, TV 1203
      500019-92-1, SL 340026
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
         (dopaminergic neurotransmission activator-CB1 receptor
         antagonist combination, pharmaceutical compns., and use in
         Parkinson's disease treatment)

L73  ANSWER 6 OF 7  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2003:173468  HCAPLUS
DOCUMENT NUMBER:          138:198652
TITLE:                    Composition for treating Parkinson's
                          disease containing a CB1 receptor antagonist
                          and an agent activating dopaminergic
                          neurotransmission in the brain
INVENTOR(S):              Benavides, Jesus; Boccio, Daniel; Henin,
                          Yvette; Piot-Grosjean, Odile
PATENT ASSIGNEE(S):       Aventis Pharma S.A., Fr.
SOURCE:                   PCT Int. Appl., 53 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 French
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     WO 2003018060        A1    20030306    WO 2002-FR2945
                                                                   2002
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             IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM,
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     JP 2005505539       T2     20050224    JP 2003-522575
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PRIORITY APPLN. INFO.:                      FR 2001-11201       A
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                                            WO 2002-FR2945      W
                                                                2002
                                                                0828

OTHER SOURCE(S):         MARPAT 138:198652
AB   The invention discloses a combination of one or several azetidine
     derivative CB1 antagonists and one or several agents activating
     dopaminergic neurotransmission in the brain, as well as
     pharmaceutical compns. containing them and their use for treating
     Parkinson's disease.
IT   179386-44-8, PNU-95666
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (CB1 receptor antagonist combination with agent activating
        dopaminergic neurotransmission in brain for treating
        Parkinson's disease)
RN   179386-44-8  HCAPLUS
CN   4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
     (methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI)  (CA INDEX
     NAME)

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     CRN  179386-43-7
     CMF  C11 H13 N3 O

Absolute stereochemistry.  Rotation (-).
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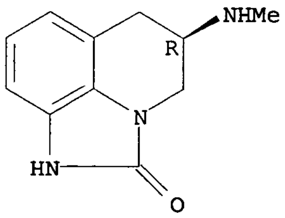
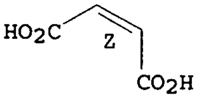


CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IC ICM A61K045-06
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CC 1-11 (Pharmacology)
Section cross-reference(s): 63
IT 58-96-8, Uridine 59-92-7, Levodopa, biological studies
503-29-7D, Azetidine, derivs. 14611-51-9, Selegiline
25614-03-3, Bromocriptine 57308-51-7, CHF 1512 80373-22-4,
Quinpirole 80751-65-1, SKF 82958 81409-90-7, Cabergoline
91374-21-9, Ropinirole 99755-59-6, Rotigotine 104632-26-0,
Pramipexole 130929-57-6, Entacapone 134308-13-7, Tolcapone
136236-51-6, Rasagiline 155210-57-4, BAM-1110 158681-13-1,
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     (Biological study); USES (Uses)
        (CB1 receptor antagonist combination with agent activating
        dopaminergic neurotransmission in brain for treating
        Parkinson's disease)
REFERENCE COUNT:         4      THERE ARE 4 CITED REFERENCES AVAILABLE
                                FOR THIS RECORD. ALL CITATIONS AVAILABLE
                                IN THE RE FORMAT

L73  ANSWER 7 OF 7  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        1999:233800  HCAPLUS
DOCUMENT NUMBER:         130:272022
TITLE:                   Sustained-release tablet formulation
                         to treat Parkinson disease
INVENTOR(S):             Ju, Tzu-chi Robert
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PATENT ASSIGNEE(S):      Pharmacia & Upjohn Company, USA
SOURCE:                  PCT Int. Appl., 17 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

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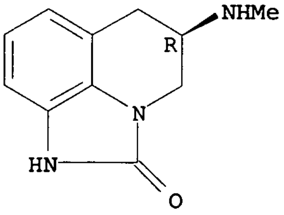
AB A sustained-release tablet which permits twice daily administration for the treatment of Parkinson's disease, comprises (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5-ij]-quinolin-2(1H)-one (Z)-2-butenedioate (1:1) 0.3-16 %, starch 60-69 %, and hydroxypropyl Me cellulose 30-40 %.

IT **179386-43-7P 222415-94-3P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(preparation of methylaminoimidazoquinolinone to treat Parkinson's disease)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

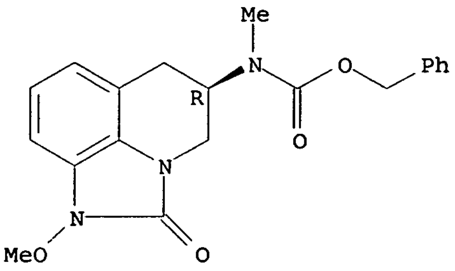
Absolute stereochemistry. Rotation (-).



RN 222415-94-3 HCAPLUS

CN Carbamic acid, methyl[(5R)-1,2,5,6-tetrahydro-1-methoxy-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]-, phenylmethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



IT **179386-44-8P**
RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(sustained-release tablets containing methylaminoimidazoquinolinone to treat Parkinson's disease)

RN 179386-44-8 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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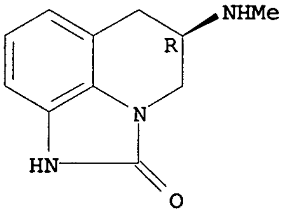
CRN 179386-43-7
CMF C11 H13 N3 O

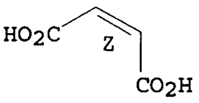
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IC ICM A61K031-47
ICS A61K009-20

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 28

IT 67401-65-4P 166742-73-0P 166742-96-7P 166742-97-8P 166742-98-9P 166742-99-0P **179386-43-7P**
222415-94-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP

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     (Preparation); RACT (Reactant or reagent)
        (preparation of methylaminoimidazoquinolinone to treat Parkinson's
        disease)
IT   179386-44-8P
     RL: SPN (Synthetic preparation); THU (Therapeutic use); BIOL
     (Biological study); PREP (Preparation); USES (Uses)
        (sustained-release tablets containing methylaminoimidazoquinolinone
        to treat Parkinson's disease)


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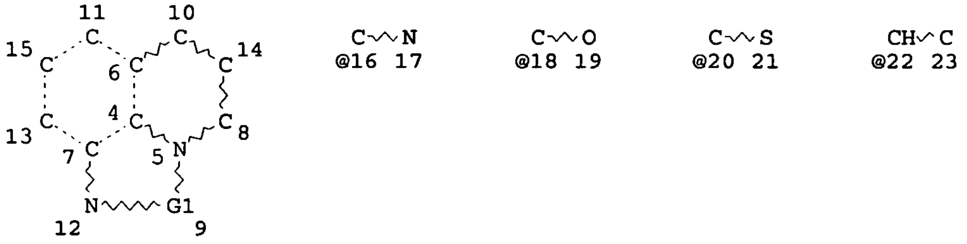

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N 24


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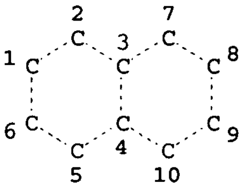

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CO2H 11   G1 12
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NUMBER OF NODES IS  12

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L26              QUE  ABB=ON  PLU=ON  (MELISSIC# OR DOTRIACONTANOIC# OR
                 LACCEROIC# OR TRITRIACONTANOIC#)(2A)ACID#
L27              QUE  ABB=ON  PLU=ON  (TETRATRIACONTANOIC# OR PENTATRIAC
                 ONTANOIC# OR HEXATRIACONTANOIC#)(2A)ACID#
L28              QUE  ABB=ON  PLU=ON  (HEPTATRIACONTANOIC# OR OCTATRIACO
                 NTANOIC# OR TALLOW# OR COCO#)(2A)ACID#
L29              QUE  ABB=ON  PLU=ON  (COCONUT# OR LINOLEIC# OR LINOLENI
                 C# OR ARACHIDONIC# OR ELAIDIC# OR RICINOLEIC#)(2A)ACID#
L30              QUE  ABB=ON  PLU=ON  EMERSOL# OR HYDROFOL# OR HYSTRENE#
                  OR LUNAC OR PRIOLENE#
L31              QUE  ABB=ON  PLU=ON  (HEPTANEDIOIC OR DECANEDIOIC OR NO
                 NANEDIOIC OR  PAMOIC OR OCTANEDIOIC OR TRIDECANEDIOIC O
                 R HEXADECANEDIOIC)(A)ACID?
L32              QUE  ABB=ON  PLU=ON  (DODECANEDIOIC OR TETRADECANEDIOIC
                  OR OCTADECANEDIOIC OR PENTADECANEDIOIC OR UNDECANEDIOI
                 C OR HEPTADECANEDIOIC)(A)ACID?
L34            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  111-16-0/RN
L35            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  123-99-9/RN
L36            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  86-48-6/RN
L37            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  111-20-6/RN
L38            1 SEA FILE=REGISTRY ABB=ON  PLU=ON  130-85-8/RN
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L39           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  505-48-6/RN
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L42           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  693-23-2/RN
L43           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  821-38-5/RN
L44           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  871-70-5/RN
L45           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  1460-18-0/RN
L46           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  1852-04-6/RN
L47           1 SEA FILE=REGISTRY ABB=ON  PLU=ON  2424-90-0/RN
L48        1726 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L34
L49        3308 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L35
L50         631 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L36
L51        4645 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L37
L52         161 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L38
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L54         442 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L40
L55         421 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L41
L56        1648 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L42
L57         505 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L43
L58         222 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L44
L59         169 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L45
L60         371 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L46
L61          81 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L47
L62       10229 SEA FILE=HCAPLUS ABB=ON  PLU=ON  (L48 OR L49 OR L50 OR
                L51 OR L52 OR L53 OR L54 OR L55 OR L56 OR L57 OR L58
                OR L59 OR L60 OR L61)
L65          21 SEA FILE=HCAPLUS ABB=ON  PLU=ON  SUMANIROLE
L66           2 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L65 AND (L10 OR L62
                OR ((L15 OR L16 OR L17 OR L18 OR L19 OR L20 OR L21 OR
                L22 OR L23 OR L24 OR L25 OR L26 OR L27 OR L28 OR L29
                OR L30 OR L31 OR L32)))
L68           6 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND (MIXT# OR
                MIXTURE? OR BLEND? OR ADMIX? OR COMMIX? OR IMMIX? OR
                INTERMIX? OR COMPOSIT? OR COMPN# OR COMPSN# OR
                FORMULAT? OR INTERSPER?)/TI
L69             QUE  ABB=ON  PLU=ON  PRODUC? OR PROD# OR GENERAT? OR MA
                NUF? OR MFR# OR CREAT? OR FORM## OR FORMING# OR FORMAT?
                 OR MAKE# OR MADE# OR MAKING# OR FABRICAT? OR SYNTHESI?
                 OR PREPAR? OR PREP# OR PROCESS? OR METHOD?
L71          71 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L9 AND L69
L72          32 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L11 AND L71
L73           7 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L66 OR L68
L74          34 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L72 OR L73
L75          27 SEA FILE=HCAPLUS ABB=ON  PLU=ON  L74 NOT L73

=> d l75 1-27 ibib abs hitstr hitind

L75  ANSWER 1 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2005:1090345  HCAPLUS
DOCUMENT NUMBER:          144:11378
TITLE:                    Experiences with Commercial Production
                          Scale Operation of Dissolving Metal Reduction
                          Using Lithium Metal and Liquid Ammonia
AUTHOR(S):                Joshi, D. K.; Sutton, J. W.; Carver, S.;
                          Blanchard, J. P.
CORPORATE SOURCE:         Pfizer Global Research and Development and
                          Pfizer Global Manufacturing, Kalamazoo, MI,
                          49001, USA
SOURCE:                   Organic Process Research & Development (2005),
                          9(6), 997-1002
                          CODEN: OPRDFK; ISSN: 1083-6160
```

PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The final step which **generates** free base in the **synthesis** of Sumanirole Maleate (PNU-95666E) consists of a cryogenic dissolving metal reduction using lithium metal and liquid ammonia. This chemical was new to the Pfizer API **production** plant. Due to the hazards associated with the handling of lithium metal and ammonia gas at cryogenic reaction temperature, special challenges were encountered related to the design of the equipment, choice and handling of materials, operations, waste treatment, and both safety and economic issues. The topics discussed in this article include the use of Li instead of Na or K, impact of the choice of phys. **form** of lithium metal, design of the lithium addition apparatus, and problems experienced during the addition We also discuss techniques for addition of ammonia to the reactor, evaporation of ammonia from the reaction mixture, options for ammonia disposal, and internal reuse of ammonia. Comments on hazards for this reaction are also provided. It is hoped that this document will be of benefit to other professionals who may want to develop and scale-up dissolving metal reduction **processes**.

IT **179386-44-8P**, PNU 95666E
RL: BMF (Bioindustrial manufacture); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(PNU 95666E; cryogenic dissolving metal reduction using lithium metal and liquid ammonia in **production** of sumanirole)

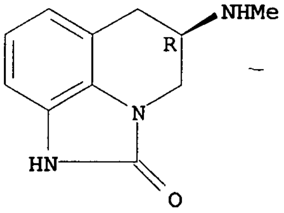
RN 179386-44-8 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

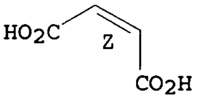
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



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IT    179386-43-7P, Sumanirole
      RL: BMF (Bioindustrial manufacture); THU (Therapeutic use); BIOL
      (Biological study); PREP (Preparation); USES (Uses)
         (cryogenic dissolving metal reduction using lithium metal and liquid
         ammonia in production of sumanirole)
RN    179386-43-7  HCAPLUS
CN    4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
      (methylamino)-, (5R)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (-).
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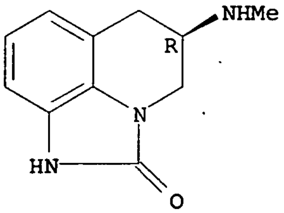

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CC    63-5 (Pharmaceuticals)
ST    sumanirole manuf lithium redn ammonia hazard
IT    Apparatus
      Occupational health hazard
      Reduction
         (cryogenic dissolving metal reduction using lithium metal and liquid
         ammonia in production of sumanirole)
IT    179386-44-8P, PNU 95666E
      RL: BMF (Bioindustrial manufacture); THU (Therapeutic use); BIOL
      (Biological study); PREP (Preparation); USES (Uses)
         (PNU 95666E; cryogenic dissolving metal reduction using lithium
         metal and liquid ammonia in production of sumanirole)
IT    179386-43-7P, Sumanirole
      RL: BMF (Bioindustrial manufacture); THU (Therapeutic use); BIOL
      (Biological study); PREP (Preparation); USES (Uses)
         (cryogenic dissolving metal reduction using lithium metal and liquid
         ammonia in production of sumanirole)
IT    7439-93-2, Lithium, reactions   7664-41-7, Ammonia, reactions
      RL: RGT (Reagent); RACT (Reactant or reagent)
         (cryogenic dissolving metal reduction using lithium metal and liquid
         ammonia in production of sumanirole)
REFERENCE COUNT:         8     THERE ARE 8 CITED REFERENCES AVAILABLE
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT

L75  ANSWER 2 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2005:1004355  HCAPLUS
DOCUMENT NUMBER:         143:279430
TITLE:                   Use of D4 and 5-HT2a antagonists, inverse
                         agonists or partial agonists
INVENTOR(S):             Buntinx, Erik
PATENT ASSIGNEE(S):      Belg.
SOURCE:                  U.S. Pat. Appl. Publ., 126 pp., Cont.-in-part
                         of U.S. Ser. No. 803,793.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  6
PATENT INFORMATION:
     PATENT NO.          KIND    DATE          APPLICATION NO.        DATE
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     US 2005203130        A1     20050915      US 2004-984683
                                                                      2004
                                                                      1109
     US 2005119253        A1     20050602      US 2003-725965
                                                                      2003
                                                                      1202
     US 2005119248        A1     20050602      US 2004-752423
                                                                      2004
                                                                      0106
     US 2005119249        A1     20050602      US 2004-803793
                                                                      2004
                                                                      0318
     EP 1541197           A1     20050615      EP 2004-25035
                                                                      2004
                                                                      1021
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
             MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
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     WO 2005053796        A1     20050616      WO 2004-BE172
                                                                      2004
                                                                      1202
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             ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
             MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
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             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                         US 2003-725965      A2
                                                                      2003
                                                                      1202

                                               EP 2004-447001      A
                                                                      2004
                                                                      0105

                                               US 2004-752423      A2
                                                                      2004
                                                                      0106

                                               US 2004-803793      A2
                                                                      2004
                                                                      0318

                                               EP 2004-25035       A
                                                                      2004
                                                                      1021

                                               CA 2003-2451798     A
                                                                      2003
                                                                      1202

                                               EP 2003-447279      A
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1202

CA 2004-2461248 A
2004
0318

EP 2004-447066 A
2004
0318

JP 2004-349085 A
2004
1104

US 2004-984683 A
2004
1109

CA 2004-2487529 A
2004
1115

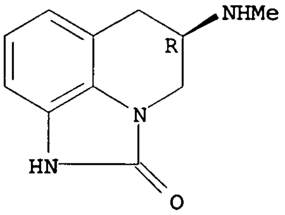
AB The present invention relates to the use of compds. and compns. of compds. having D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic activity for the treatment of the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperesthesia-dissociative phenomena-etc.). The invention also relates to **methods** comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

IT **179386-43-7**, Sumanirole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of D4 and 5-HT2A antagonists or inverse agonists or partial agonists in treatment of emotional dysregulation in mental disorders combined with other agents)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K031-4545
INCL 514316000
CC 1-11 (Pharmacology)

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IT   52-86-8, Haloperidol   59-92-7, Levodopa, biological studies
     146-48-5, Yohimbine   1841-19-6, Fluspirilene   5786-21-0,
     Clozapine   26615-21-4, Zotepine   29110-48-3, SPD 503
     37178-37-3, Etilevodopa   59729-33-8, Citalopram   72797-41-2,
     Tianeptine   75558-90-6, Amperozide   79944-58-4, Idazoxan
     81226-60-0, L741626   82248-59-7, Atomoxetine hydrochloride
     83015-26-3, Tomoxetine   84225-95-6, Raclopride   85650-56-2,
     ORG5222   86811-09-8, Litoxetine   87691-91-6, Tiospirone
     92623-85-3, Milnacipran   93413-62-8, Desvenlafaxine   98206-10-1,
     Flesinoxan   106266-06-2, Risperidone   106516-24-9, Sertindole
     111974-72-2, Seroquel   121524-09-2, SR 58611   129029-23-8,
     Ocaperidone   129101-54-8, Rivastigmine tartrate   132539-06-1,
     Olanzapine   134564-82-2, Befloxatone   135354-02-8, Xaliproden
     136236-51-6, Rasagiline   138112-76-2, Agomelatine   139290-65-6,
     MDL100907   144598-75-4, Paliperidone   146939-27-7, Ziprasidone
     148408-65-5, Sunepitron   156910-61-1   161735-79-1, TVP-1012
     162607-84-3, ORG 34850   169167-86-6, S16924   170729-80-3,
     Aprepitant 179386-43-7, Sumanirole   189035-07-2, ORG
     34517   196597-26-9, Ramelteon   200398-40-9, S18327
     204992-09-6, INN 00835   273203-30-8, S33084   350992-10-8,
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     864249-75-2, R 1321919
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (use of D4 and 5-HT2A antagonists or inverse agonists or
        partial agonists in treatment of emotional dysregulation in
        mental disorders combined with other agents)

L75  ANSWER 3 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2005:696745  HCAPLUS
DOCUMENT NUMBER:         143:199853
TITLE:                   Monoamine neurotransmitter re-uptake inhibitor
                         comprising a 2,3-disubstituted tropane moiety
                         for the sustained reduction of body weight
INVENTOR(S):             Reess, Juergen; Raschig, Andreas; Pollentier,
                         Stephane; Graff, Ole; Mikkelsen, Birgit Ohrt;
                         Priskorn, Morten
PATENT ASSIGNEE(S):      Boehringer Ingelheim International G.m.b.H.,
                         Germany; Boehringer Ingelheim Pharma G.m.b.H.
                         & Co. K.-G.; Neurosearch A/S
SOURCE:                  PCT Int. Appl., 35 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.       DATE
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     WO 2005070427        A1    20050804   WO 2005-EP165
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         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
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             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
             MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
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             CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT,
             LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF,
             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
     US 2005203124        A1     20050915    US 2005-39991
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                                                             0121
PRIORITY APPLN. INFO.:                       EP 2004-1282        A
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                                                             0122

                                             EP 2004-5816        A
                                                             2004
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OTHER SOURCE(S):          MARPAT 143:199853
GI
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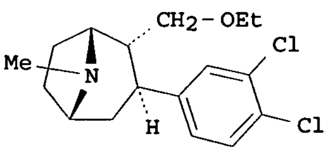


I

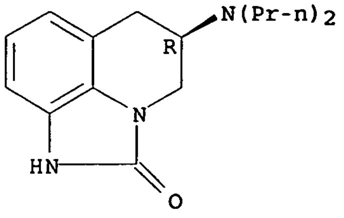
AB The invention relates to the use of a monoamine neurotransmitter re-uptake inhibitor comprising a 2,3-disubstituted tropane moiety, or a tautomer, a pharmaceutically acceptable salt, solvate, or physiol. functional derivative thereof for the **manufacture** of a medicament for the sustained reduction of body weight Thus, a tablet was **prepared** containing a tropane derivative (I) mg, mannitol 121.50 mg, maize starch 79.85 mg, highly dispersed anhydrous silicon dioxide 2.30 mg, Polyvidon K25 2.35 mg, magnesium stearate 3 mg.

IT **132874-78-3**, U 86170F **162616-64-0**, U 91356A **179386-43-7**, Sumanirole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination with; monoamine neurotransmitter re-uptake inhibitor comprising a 2,3-disubstituted tropane moiety for sustained reduction of body weight)

RN 132874-78-3 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dipropylamino)-5,6-dihydro-, monohydrobromide, (5R)- (9CI) (CA INDEX NAME)

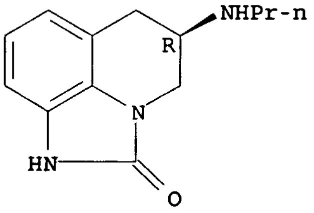
Absolute stereochemistry.



● HBr

RN 162616-64-0 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
(propylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

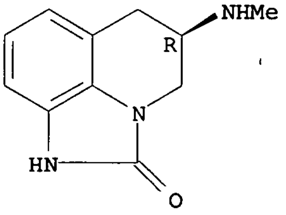
Absolute stereochemistry.



● HCl

RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K031-46
ICS A61P003-04
CC 63-6 (Pharmaceuticals)
IT 125-58-6, Levomethadone 134-80-5, Amfepramon hydrochloride
299-42-3, Ephedrine 314-19-2, Apomorphine hydrochloride
7101-51-1, Melevodopa 9031-46-3 16562-13-3, (-)-Stepholidine
25614-03-3, Bromocriptine 34911-55-2, Bupropion 37178-37-3,
Etilevodopa 37686-84-3, Terguride 57308-51-7, CHF 1512
67227-56-9, Fenoldopam 67287-49-4, SKF 38393 67469-57-2,

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      GBR-12783   71636-61-8, SKF 81297   71636-62-9, SKF-80723
      79380-99-7, MR 708   80751-65-1, SKF-82958   80751-85-5, SKF-83959
      81409-90-7, Cabergoline   85966-89-8, Preclamol   90058-29-0,
      Alaptide   90060-42-7, Nolomirole   91374-21-9   94424-50-7,
      Quinagolide hydrochloride   96829-58-2, Orlistat   99755-59-6,
      Rotigotine   101626-70-4, Talipexole   103836-88-0, RD 211
      104422-04-0, SKF-77434   104632-26-0, Pramipexole   106650-56-0,
      Sibutramine   111073-34-8, OPC-4392   112891-97-1, Alentemol
      115688-97-6, PD 128483   123039-93-0, Dihydrexidine   129722-12-9,
      Aripiprazole   130465-39-3, A 68930 132874-78-3, U
      86170F   145307-34-2, A 77636   150013-70-0, CI 1007
      155210-57-4, BAM-1110   157502-62-0, JA 116a   160161-67-1,
      LEK-8829 162616-64-0, U 91356A   171752-56-0, Adrogolide
      173934-91-3, A-86929 179386-43-7, Sumanirole
      189152-50-9, PD-158771   220932-70-7, S-32504   249278-12-4,
      AIT-203   254885-69-3, GMC-1111   269718-83-4, SLV-308
      314776-92-6, BP-897   335158-95-7   350992-13-1   437723-96-1,
      (R)-Bupropion   500604-72-8, Spheramine   861853-49-8, SLV 318
      RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
         (combination with; monoamine neurotransmitter re-uptake
         inhibitor comprising a 2,3-disubstituted tropane moiety for
         sustained reduction of body weight)
REFERENCE COUNT:         2     THERE ARE 2 CITED REFERENCES AVAILABLE
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT

L75  ANSWER 4 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2005:523293  HCAPLUS
DOCUMENT NUMBER:         143:65412
TITLE:                   Combination of dopamine agonists and aralkyl
                         and aralkylidene heterocyclic lactams and
                         imides
INVENTOR(S):             Glue, Paul William; Saltarelli, Mario David;
                         Marek, Gerard Joseph
PATENT ASSIGNEE(S):      Pfizer Products Inc., USA
SOURCE:                  PCT Int. Appl., 39 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

      PATENT NO.          KIND   DATE         APPLICATION NO.         DATE
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      WO 2005053701        A1    20050616     WO 2004-IB3737
                                                                      2004
                                                                      1115
          W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
              CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG,
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              KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
              MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
              PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
              TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
          RW: BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM,
              ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH,
              CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT,
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              CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                        US 2003-525302P      P
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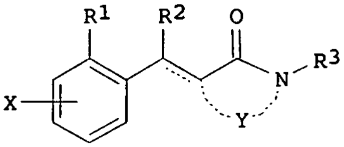
2003
1126

OTHER SOURCE(S): MARPAT 143:65412
GI



I

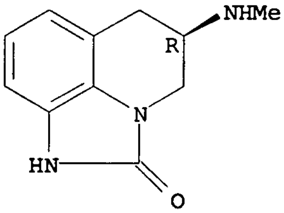
AB The present invention relates to a pharmaceutical composition containing (i) a dopamine agonist or a pharmaceutically acceptable salt thereof, (ii) a compound of the formula (I) [R1 = N-containing group; X = H, Cl, Bro, I, cyano, C1-6 alkyl, etc; Y = (substituted) C1-4 heteroalkyl bridge that, together with the atoms to which it is attached, **forms** a 5-7 seven membered heterocycle containing 2-4 heteroatoms; R2 = H, C1-4 alkyl, Ph, naphthyl, etc.; R3 = (CH2)m B (m = 0-3; B = H, Ph, natphthyl, etc.)] as defined in the specification, and optionally (iii) a pharmaceutically acceptable carrier, and to their medicinal use. These compns. are useful as psychotherapeutic agents.

IT **179386-43-7**, Sumanirole
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination of dopamine agonists and aralkyl and aralkylidene heterocyclic lactams and imides)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K031-538

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT 81409-90-7, Cabergoline 104632-26-0, Pramipexole
179386-43-7, Sumanirole 205683-18-7 205683-24-5
205683-25-6 205683-28-9 205683-31-4 205683-39-2
205683-42-7 205683-43-8 205683-52-9 205683-53-0
205683-54-1 205683-55-2 205683-56-3 205683-57-4
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     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (combination of dopamine agonists and aralkyl and aralkylidene
        heterocyclic lactams and imides)
REFERENCE COUNT:         1      THERE ARE 1 CITED REFERENCES AVAILABLE
                                FOR THIS RECORD. ALL CITATIONS AVAILABLE
                                IN THE RE FORMAT

L75  ANSWER 5 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2005:516281  HCAPLUS
DOCUMENT NUMBER:         143:38421
TITLE:                   Use of D4 and 5-HT2A antagonists, inverse
                         agonists or partial agonists
INVENTOR(S):             Buntinx, Erik
PATENT ASSIGNEE(S):      B&B Beheer N. V., Belg.
SOURCE:                  Eur. Pat. Appl., 145 pp.
                         CODEN: EPXXDW
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  6
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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     EP 1541197           A1    20050615    EP 2004-25035
                                                                   2004
                                                                   1021
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
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             EE, HU, PL, SK, HR
     EP 1547650           A1    20050629    EP 2003-447279
                                                                   2003
                                                                   1202
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             MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
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     EP 1576985           A1    20050921    EP 2004-447066
                                                                   2004
                                                                   0318
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
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             EE, HU, PL, SK
     JP 2005194263        A2    20050721    JP 2004-349085
                                                                   2004
                                                                   1104
     US 2005203130        A1    20050915    US 2004-984683
                                                                   2004
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     CA 2487529           AA    20050602    CA 2004-2487529
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     WO 2005053796        A1    20050616    WO 2004-BE172
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             PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
             TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
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             CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                      EP 2003-447279      A
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                                            EP 2004-447001      A
                                                                   2004
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                                            EP 2004-447066      A
                                                                   2004
                                                                   0318

                                            CA 2003-2451798     A
                                                                   2003
                                                                   1202

                                            US 2003-725965      A2
                                                                   2003
                                                                   1202

                                            US 2004-752423      A2
                                                                   2004
                                                                   0106

                                            CA 2004-2461248     A
                                                                   2004
                                                                   0318

                                            US 2004-803793      A2
                                                                   2004
                                                                   0318

                                            EP 2004-25035       A
                                                                   2004
                                                                   1021

                                            JP 2004-349085      A
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                                                                   1104

                                            US 2004-984683      A
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                                                                   1109

                                            CA 2004-2487529     A
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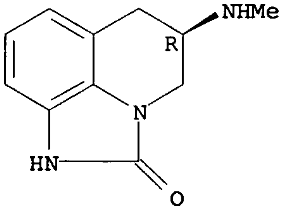
AB The present invention relates to the use of compds. and compns. of compds. having D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic activity for the treatment of the underlying dysregulation of the emotional functionality of mental disorders (i.e. affect instability-hypersensitivity-hyperesthesia-dissociative phenomena-etc.). The invention also relates to **methods** comprising administering to a patient diagnosed as having a neuropsychiatric disorder a pharmaceutical composition containing (i) compds. having D4 antagonistic, partial agonistic or inverse agonistic activity and (ii) compds. having 5-HT2A antagonistic, partial agonistic or inverse agonistic, and (iii) any known medicinal compound and compns. of said compds. The combined D4 and 5-HT2A antagonistic, partial agonistic or inverse agonistic effects may reside within the same chemical or biol. compound or in two different chemical and/or biol. compds.

IT **179386-43-7**, Sumanirole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of D4 and 5-HT2A antagonists or inverse agonists or partial agonists in treatment of emotional dysregulation in mental disorders combined with other agents)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61P025-24
ICS A61K031-343; A61K031-4545; G01N033-48; A61K031-00; A61P025-00; A61P025-28; A61P025-22; A61P025-16

CC 1-11 (Pharmacology)

IT 52-86-8, Haloperidol 59-92-7, Levodopa, biological studies 146-48-5, Yohimbine 1841-19-6, Fluspirilene 1893-33-0, Pipamperone 5786-21-0, Clozapine 26615-21-4, Zotepine 28860-95-9, Carbidopa 29110-48-3, SPD 503 37178-37-3, Etilevodopa 59729-33-8, Citalopram 72797-41-2, Tianeptine 75558-90-6, Amperozide 79944-58-4, Idazoxan 81226-60-0, L741626 82248-59-7, Atomoxetine hydrochloride 83015-26-3, Tomoxetine 83928-76-1, Gepirone 84225-95-6, Raclopride 85650-56-2, ORG5222 86811-09-8, Litoxetine 87691-91-6, Tiospirone 92623-85-3, Milnacipran 93413-62-8, Desvenlafaxine 98206-10-1, Flesinoxan 105182-45-4, Fluparoxan 106266-06-2, Risperidone 106516-24-9, Sertindole 111974-72-2, Seroquel 121524-09-2, SR 58611 129029-23-8, Ocaperidone 129101-54-8, Rivastigmine tartrate 130929-57-6, Entacapone 132539-06-1, Olanzapine 134564-82-2, Befloxatone 135354-02-8, Xaliproden 136236-51-6, Rasagiline 138112-76-2, Agomelatine 139290-65-6, MDL100907 144598-75-4, Paliperidone 146939-27-7, Ziprasidone 148408-65-5, Sunepitron 156910-61-1 161735-79-1, TVP-1012 162607-84-3 169167-86-6, S16924 170729-80-3, Aprepitant **179386-43-7**, Sumanirole 189035-07-2, ORG 34517 195055-03-9, R121919 196597-26-9, Ramelteon 200398-40-9, S18327 204992-09-6, INN00835 273203-30-8, S33084 350992-10-8, Bifeprunox 561069-23-6, R 228060 853785-00-9, GGR 218321
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(use of D4 and 5-HT2A antagonists or inverse agonists or partial agonists in treatment of emotional dysregulation in

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     mental disorders combined with other agents)
REFERENCE COUNT:         24    THERE ARE 24 CITED REFERENCES AVAILABLE
                               FOR THIS RECORD. ALL CITATIONS AVAILABLE
                               IN THE RE FORMAT

L75  ANSWER 6 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2004:872683  HCAPLUS
DOCUMENT NUMBER:         141:370536
TITLE:                   Combination chemotherapy for treatment of
                         parkinson's disease by using safinamides and
                         MAO-B inhibitors together with other
                         antiparkinsonian agents
INVENTOR(S):             Ruggero, Fariello; Cattaneo, Carlo; Salvati,
                         Patricia; Benatti, Luca
PATENT ASSIGNEE(S):      Newron Pharmaceuticals, Inc., Italy
SOURCE:                  PCT Int. Appl., 43 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

    PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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    WO 2004089353        A2    20041021    WO 2004-IB1408
                                                                  2004
                                                                  0408
    WO 2004089353        A3    20041216
    WO 2004089353        C1    20050901
        W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ,
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            KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
            MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
            PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
            TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW
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            NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM,
            GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                         US 2003-462205P     P
                                                                  2003
                                                                  0411

AB   New uses of safinamide, safinamide derivs. and MAO-B inhibitors in
     novel types of treatment for Parkinson's Disease are described.
     More specifically, the invention relates to methods for
     treating Parkinson's Disease through the administration of
     safinamide, a safinamide derivative, or a MAO-B inhibitor, in
     combination with other Parkinson's Disease agents or treatments,
     such as levodopa/PDI or dopamine agonists.  For example,
     safinamide as an anticonvulsant was proved through clin. trials to
     be potent and safe to treat idiopathic early Parkinson's disease.
IT   179386-43-7, Sumanirole
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (combination chemotherapy for treatment of parkinson's disease
        by using safinamides and MAO-B inhibitors together with
        dopamine agonists)
RN   179386-43-7  HCAPLUS
CN   4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
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(methylamino)-, (5R)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (-).
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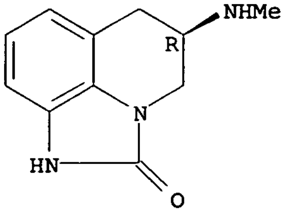

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IC    ICM  A61K031-00
CC    63-6 (Pharmaceuticals)
      Section cross-reference(s): 1
IT    58-00-4, Apomorphine   768-94-5, Amantadine   14611-51-9,
      Selegiline   18016-80-3, Lisuride   18464-39-6, Caroxazone
      25447-66-9, Dihydroergocriptine   25614-03-3, Bromocriptine
      66104-22-1, Pergolide   81409-90-7, Cabergoline   91374-21-9,
      Ropinirole   99755-59-6, Rotigotine   101626-70-4, Talipexole
      103878-84-8, Lazabemide   104632-26-0, Pramipexole   130929-57-6,
      Entacapone   133865-11-9   133865-15-3   133865-20-0   133865-32-4
      133865-88-0   133865-89-1D, Safinamide, derivs.   133866-00-9
      133866-29-2   134308-13-7, Tolcapone   136236-51-6, Rasagiline
      179386-43-7, Sumanirole   187868-20-8   187868-30-0
      202825-43-2   777085-35-5
      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
         (combination chemotherapy for treatment of parkinson's disease
         by using safinamides and MAO-B inhibitors together with
         dopamine agonists)

L75  ANSWER 7 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:857418  HCAPLUS
DOCUMENT NUMBER:          141:320127
TITLE:                    Oral extended release compressed tablets of
                          multiparticulates
INVENTOR(S):              Noack, Robert M.; Heimlich, John M.; Lee,
                          Ernest J.
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   PCT Int. Appl., 25 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.       DATE
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     WO 2004087175        A1    20041014    WO 2004-IB1117
                                                                  2004
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             ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP,
             KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD,
             MG, MK, MN, MW, MX, MZ, NA, NI, NO, NZ, OM, PG, PH, PL,
             PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR,
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     CA 2520321          AA     20041014     CA 2004-2520321
                                                                   2004
                                                                   0329
     US 2004228915       A1     20041118     US 2004-816699
                                                                   2004
                                                                   0402
PRIORITY APPLN. INFO.:                       US 2003-460851P      P
                                                                   2003
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                                             WO 2004-IB1117       W
                                                                   2004
                                                                   0329
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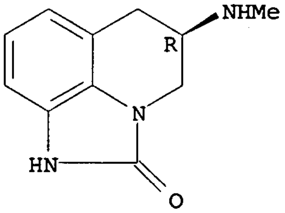
AB The present invention is directed to an extended release multiparticulate formulation of a therapeutic agent, wherein coated core multiparticulate particles of the therapeutic agent are overcoated with a binder-dispersing agent, such as povidone or cross-povidone. The invention is also directed to compressed tablets of the extended release multiparticulate formulation of the invention, and to a **method** of oral administration of compressed tablets of clindamycin to a subject to treat or prevent a gram-pos. bacterial infection therein. The binder-dispersing agent in the formulations of the present invention ensure that compressed tablets **formed** therefrom will remain intact through oral administration, and dissolve shortly thereafter, enabling the multiparticulates to release the therapeutic agent contained therein over an extended period of time.

IT **179386-43-7**, Sumanirole
RL: PEP (Physical, engineering or chemical process); PYP (Physical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(oral extended release compressed tablets of multiparticulates)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K031-7036
ICS A61K009-20; A61K009-50

CC 63-6 (Pharmaceuticals)

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     Naproxen   26787-78-0, Amoxicillin   27203-92-5, Tramadol
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     Diltiazem   51384-51-1, Metoprolol   51481-61-9, Cimetidine
     59277-89-3, Acyclovir   60142-96-3, Gabapentin   62571-86-2,
     Captopril   66357-35-5, Ranitidine   66376-36-1, Alendronate
     71620-89-8, Reboxetine   83799-24-0, Fexofenadine   83905-01-5,
     Azithromycin   85441-61-8, Quinapril   85721-33-1, CIPROFLOXACIN
     92665-29-7, Cefprozil   93413-69-5, Venlafaxine   93957-54-1,
     Fluvastatin   100986-85-4, Levofloxacin   103628-46-2, Sumatriptan
     104632-26-0, Pramipexole   114798-26-4, Losartan   139755-83-2,
     Sildenafil   146798-66-5 179386-43-7, Sumanirole
     RL: PEP (Physical, engineering or chemical process); PYP (Physical
     process); THU (Therapeutic use); BIOL (Biological study); PROC
     (Process); USES (Uses)
        (oral extended release compressed tablets of multiparticulates)
REFERENCE COUNT:         4      THERE ARE 4 CITED REFERENCES AVAILABLE
                                FOR THIS RECORD. ALL CITATIONS AVAILABLE
                                IN THE RE FORMAT

L75  ANSWER 8 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2004:739755  HCAPLUS
DOCUMENT NUMBER:         141:265960
TITLE:                   Enhanced pharmacokinetic profile of
                         hydrophobic substances
INVENTOR(S):             Pinkerton, Thomas C.
PATENT ASSIGNEE(S):      USA
SOURCE:                  U.S. Pat. Appl. Publ., 16 pp., Cont.-in-part
                         of U.S. Ser. No. 897,801.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  5
PATENT INFORMATION:

     PATENT NO.          KIND    DATE        APPLICATION NO.        DATE
     ---------------     ----    --------    --------------------
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     US 2004175401        A1     20040909    US 2003-480975
                                                                    2003
                                                                    1215
     US 2003073609        A1     20030417    US 2001-897801
                                                                    2001
                                                                    0629
     WO 2003002094        A2     20030109    WO 2002-US20080
                                                                    2002
                                                                    0624
     WO 2003002094        C1     20031218
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
             MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                       US 2001-897801      A2
                                                                    2001
                                                                    0629
```

WO 2002-US20080 W 2002
0624

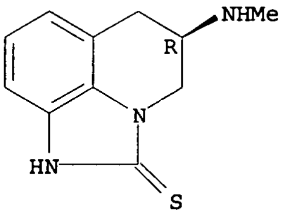
AB A **method** for systemic administration of a hydrophobic substance to a mammal is disclosed. The **method** involves delivering the hydrophobic substance to the dermis of the mammal whereby improved systemic absorption is obtained compared to absorption **produced** upon delivering the substance s.c. by bolus administration.

IT **282522-93-4**
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(enhanced pharmacokinetic profile of hydrophobic substances)

RN 282522-93-4 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K009-00
ICS A61M031-00

INCL 424400000; 604500000

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT 25322-68-3D, PEG, -protein conjugates 56420-45-2, Epirubicin 169590-42-5, Celecoxib 174484-41-4 181695-72-7, Valdecoxib 198470-84-7, Parecoxib **282522-93-4**
RL: PKT (Pharmacokinetics); BIOL (Biological study)
(enhanced pharmacokinetic profile of hydrophobic substances)

L75 ANSWER 9 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:722730 HCAPLUS
DOCUMENT NUMBER:	141:219002
TITLE:	Enhanced pharmacokinetic profile of hydrophobic dopamine agonists administered to the dermis
INVENTOR(S):	Pinkerton, Thomas C.
PATENT ASSIGNEE(S):	USA
SOURCE:	U.S. Pat. Appl. Publ., 18 pp., Cont.-in-part of U.S. Ser. No. 897,801. CODEN: USXXCO
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	5
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
US 2004170654	A1	20040902	US 2003-480973	2003 1215

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     US 2003073609       A1     20030417    US 2001-897801
                                                                  2001
                                                                  0629
     WO 2003002103       A2     20030109    WO 2002-US19918
                                                                  2002
                                                                  0624
     WO 2003002103       A3     20030410
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
             MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE,
             SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
             VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, CH, CY, DE, DK,
             ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF,
             BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG
PRIORITY APPLN. INFO.:                      US 2001-897801      A2
                                                                  2001
                                                                  0629

                                            WO 2002-US19918     W
                                                                  2002
                                                                  0624
```

OTHER SOURCE(S): MARPAT 141:219002

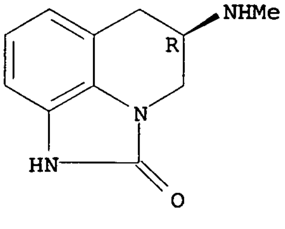
AB A **method** for systemic administration of a hydrophobic dopamine agonist to a mammal is disclosed. The **method** involves delivering the hydrophobic dopamine agonist to the dermis of the mammal whereby improved systemic absorption is obtained compared to absorption **produced** upon delivering the substance s.c. by bolus administration.

IT **179386-43-7 282522-93-4**
RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(enhanced pharmacokinetic profile of hydrophobic dopamine agonists administered to dermis)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

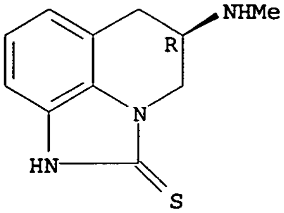
Absolute stereochemistry. Rotation (-).



RN 282522-93-4 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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IC    ICM  A61K031-542
      ICS  A61K031-538; A61K031-498
INCL  424400000; 514224500; 514230200; 514250000; 514234200
CC    1-12 (Pharmacology)
      Section cross-reference(s): 63
IT    Electric potential
      Heat
         (cutaneous micropore created by; enhanced
         pharmacokinetic profile of hydrophobic dopamine agonists
         administered to dermis)
IT    Projectiles
         (gas ballistics and solid projection, cutaneous micropore
         created by; enhanced pharmacokinetic profile of
         hydrophobic dopamine agonists administered to dermis)
IT    179386-43-7 282522-93-4
      RL: PKT (Pharmacokinetics); THU (Therapeutic use); BIOL
      (Biological study); USES (Uses)
         (enhanced pharmacokinetic profile of hydrophobic dopamine
         agonists administered to dermis)
```

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L75  ANSWER 10 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2004:371045  HCAPLUS
DOCUMENT NUMBER:         140:350610
TITLE:                   Neuroprotectant heterocyclic amine compounds
INVENTOR(S):             Wu, Haiyan; Oostveen, Jo Ann; Sethy, Vimala
                         Hiralal; Hall, Edward Dallas; Mccall, Robert
                         Bradford
PATENT ASSIGNEE(S):      Pharmacia & Upjohn Company, LLC, USA
SOURCE:                  PCT Int. Appl., 26 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
     ---------------     ----   --------   --------------------
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     WO 2004037971        A2    20040506   WO 2003-IB4548
                                                                  2003
                                                                  1013
     WO 2004037971        A3    20050526
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES,
             FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE,
             KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG,
             MK, MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO,
             RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ,
             UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
             AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
             DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
             PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
             GQ, GW, ML, MR, NE, SN, TD, TG
     CA 2502729          AA     20040506    CA 2003-2502729
                                                                2003
                                                                1013
     BR 2003015517       A      20050809    BR 2003-15517
                                                                2003
                                                                1013
     EP 1569649          A2     20050907    EP 2003-748464
                                                                2003
                                                                1013
         R:  AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE,
             MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ,
             EE, HU, SK
     US 2004142937       A1     20040722    US 2003-690010
                                                                2003
                                                                1021
PRIORITY APPLN. INFO.:                      US 2002-421352P     P
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OTHER SOURCE(S):         MARPAT 140:350610
GI
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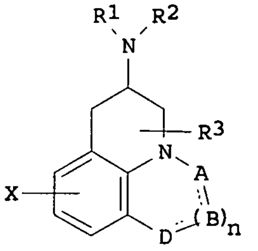


I

AB The invention discloses the **methods** of preventing or reducing neuronal damage or the progression of neuronal damage in a human suffering from or susceptible to disease states causing such neuronal damages, which **method** comprises administration to the human a neuroprotective amount of a heterocyclic amine compound of formula I (R1, R2, R3 = H, C1-C6 alkyl, C3-C5 alkenyl, C3-C5 alkynyl, C3-C5 cycloalkyl, C4-C10 cycloalkyl, Ph substituted C1-C6 alkyl, -NR1R2 where R1 and R2 are cyclized with the attached nitrogen atom to **produce** pyrrolidiyl, piperidinyl,morphoninyl,piperazinyl or imidazolyl ; X = -H,C1-C6 alkyl, halogen, -OH,C1-C6 alkoxy,cyano,carboxamide,carboxy,(C1-C6 alkoxy)carbonyl; A = CH,CH2,CH-(halogen) where halogen is -F,-Cl,-Br,-I,CHCH3,C=O,C=S,C-SCH3,C=NH,C-NH2,C-NHCH3,C-NHCOOCH3,C-NHCN,SO2,N ; B = CH2,CH,CH-(halogen) where halogen is as defined above,C=O,N,NH,N-CH3; D = CH,CH2,CH-(halogen) where halogen is as defined above,C=O,O,N,NH,N-CH3 ; n = 0 - 1), or a pharmaceutically acceptable salt thereof.

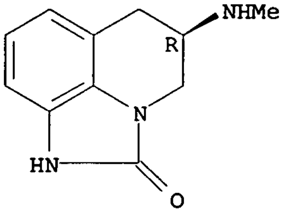
IT **179386-43-7P 282522-93-4P**
RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic

preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); RACT (Reactant or reagent); USES (Uses)
(neuroprotectant heterocyclic amine compds.)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

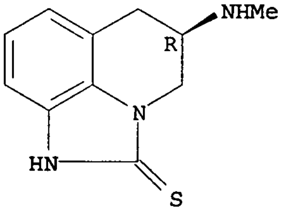
Absolute stereochemistry. Rotation (-).



RN 282522-93-4 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IT **179386-44-8P 371163-30-3P**
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(neuroprotectant heterocyclic amine compds.)

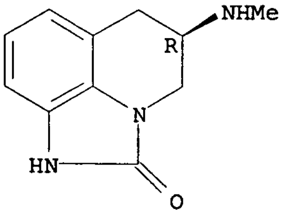
RN 179386-44-8 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

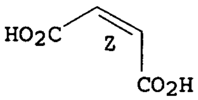
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

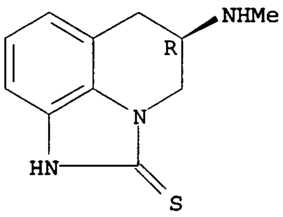


RN 371163-30-3 HCAPLUS
CN Propanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



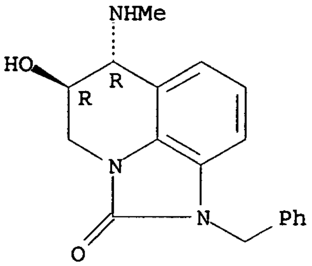
CM 2

CRN 141-82-2
CMF C3 H4 O4

$HO_2C-CH_2-CO_2H$

IT **269731-84-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(neuroprotectant heterocyclic amine compds.)
RN 269731-84-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-hydroxy-6-(methylamino)-1-(phenylmethyl)-, (5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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IC    ICM  C12N
CC    1-11 (Pharmacology)
      Section cross-reference(s): 28
IT    179386-43-7P 282522-93-4P
      RL: PAC (Pharmacological activity); RCT (Reactant); SPN (Synthetic
      preparation); THU (Therapeutic use); BIOL (Biological study); PREP
      (Preparation); RACT (Reactant or reagent); USES (Uses)
         (neuroprotectant heterocyclic amine compds.)
IT    179386-44-8P 371163-30-3P
      RL: PAC (Pharmacological activity); SPN (Synthetic preparation);
      THU (Therapeutic use); BIOL (Biological study); PREP
      (Preparation); USES (Uses)
         (neuroprotectant heterocyclic amine compds.)
IT    105927-04-6P   227025-33-4P 269731-84-2P   282522-96-7P
      282522-98-9P   369595-91-5P
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP
      (Preparation); RACT (Reactant or reagent)
         (neuroprotectant heterocyclic amine compds.)

L75  ANSWER 11 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:310986  HCAPLUS
DOCUMENT NUMBER:          140:281397
TITLE:                    Combined treatment of acromegaly with a growth
                          hormone receptor antagonist and a dopamine
                          agonist
INVENTOR(S):              Slatter, John G.; Harris, Philip; Trainer,
                          Peter
PATENT ASSIGNEE(S):       Pharmacia & Upjohn Company, USA
SOURCE:                   PCT Int. Appl., 9 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.       DATE
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     WO 2004030692        A1    20040415    WO 2003-US31438
                                                                  2003
                                                                  1002
         W:  AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA,
             CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI,
             GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG,
             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
             MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SC, SD,
             SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ,
             VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ,
DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
GQ, GW, ML, MR, NE, SN, TD, TG

PRIORITY APPLN. INFO.: US 2002-415667P P
2002
1003

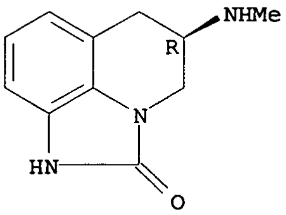
AB A combination of a growth hormone receptor antagonist (e.g. pegvisomant) and a dopamine agonist (e.g. cabergoline) is useful for treating patients with acromegaly with a synergic effect and a better side-effect profile.

IT **179386-43-7**, Sumanirole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(growth hormone receptor antagonist and dopamine agonist combination for treatment of acromegaly)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K038-27
ICS A61K031-48; A61K031-428; A61K031-403; A61P005-06

CC 1-10 (Pharmacology)
Section cross-reference(s): 2

IT 9002-72-6D, Growth hormone, PEGylated **forms**, variants, and prodrugs 25614-03-3, Bromocriptine 66104-22-1, Pergolide 81409-90-7, Cabergoline 91374-21-9, Ropinirole 104632-26-0, Pramipexole **179386-43-7**, Sumanirole 218620-50-9, Pegvisomant
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(growth hormone receptor antagonist and dopamine agonist combination for treatment of acromegaly)

REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L75 ANSWER 12 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2004:154412 HCAPLUS
DOCUMENT NUMBER:	140:210794
TITLE:	Novel imidazoquinolinones and their use as antiparkinsonian agents
INVENTOR(S):	Takado, Toru; Toyota, Tomohiro; Fujibayashi, Nao; Tanaka, Masashi
PATENT ASSIGNEE(S):	Sumitomo Pharmaceutical Co., Ltd., Japan
SOURCE:	Jpn. Kokai Tokkyo Koho, 31 pp. CODEN: JKXXAF
DOCUMENT TYPE:	Patent
LANGUAGE:	Japanese

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FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
     ---------------     ----   --------   --------------------
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     JP 2004059538        A2    20040226   JP 2002-223188
                                                                  2002
                                                                  0731
PRIORITY APPLN. INFO.:                     JP 2002-223188
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OTHER SOURCE(S):         MARPAT 140:210794
GI
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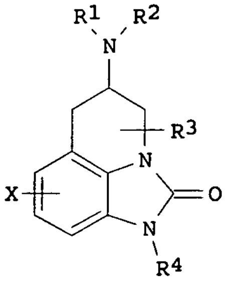


I

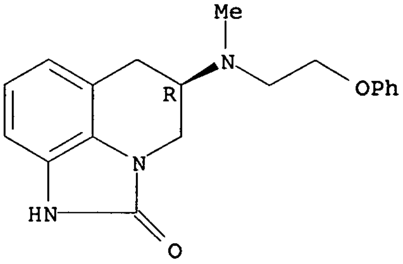
AB Claimed are title compds. I [R1 = (CR10R11)nEBQ; R10, R11 = H, halo, OH, (un)substituted alkyl, etc.; E = bond, O, OCH2, S, SCH2; B = 6- to 16-membered aromatic hydrocarbyl, 3- to 8-membered aliphatic hydrocarbyl, etc; Q = H, halo, (un)substituted alkyl, alkenyl, (un)substituted NH2, NO2, (un)substituted alkylcarbonyl, etc.; when E = bond and b = 3- to 8-membered aliphatic hydrocarbyl or C6H4, then Q ≠ H; n = 0-6; R2-R4 = H, (un)substituted alkyl; X = H, halo, (un)substituted alkyl, OH, (un)substituted alkylthio, cyano, NO2, etc.] or their pharmacol. acceptable salts. Thus, 2-[4-[methyl[(5R)-2-oxo-1,2,5,6-tetrahydro-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]butyl]hexahydro-1H-4,7-methanoisoindole-1,3-dione showed strong binding affinity and agonist activity to both dopamine D2 and serotonin 5-HT1A receptors.

IT **663932-57-8P 663932-58-9P 663932-59-0P**
663932-60-3P 663932-61-4P 663932-62-5P
663932-63-6P 663932-64-7P 663932-66-9P
663932-68-1P 663932-70-5P 663932-72-7P
663932-74-9P 663932-75-0P 663932-76-1P
663932-77-2P 663932-78-3P 663932-79-4P
663932-80-7P 663932-81-8P 663932-82-9P
663932-83-0P 663932-84-1P 663932-85-2P
663932-86-3P 663932-87-4P 663932-88-5P
663932-89-6P 663932-90-9P 663932-92-1P
663932-94-3P 663932-96-5P 663932-97-6P
663932-98-7P 663932-99-8P 663933-00-4P
663933-01-5P 663933-02-6P 663933-03-7P
663933-04-8P 663933-05-9P 663933-06-0P
663933-08-2P 663933-09-3P 663933-10-6P
663933-11-7P 663933-12-8P 663933-13-9P
663933-14-0P 664347-78-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation);

THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)

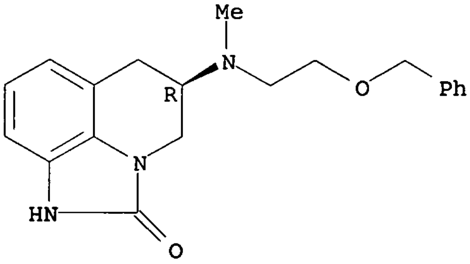
RN 663932-57-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(2-phenoxyethyl)amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



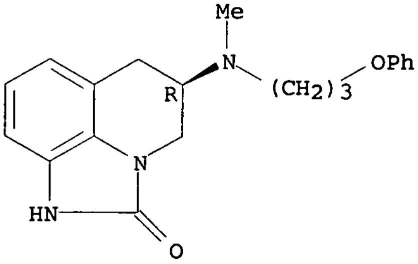
RN 663932-58-9 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[2-(phenylmethoxy)ethyl]amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



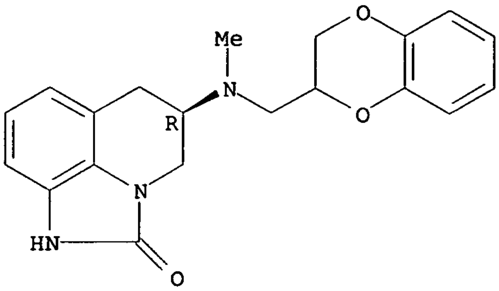
RN 663932-59-0 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(3-phenoxypropyl)amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



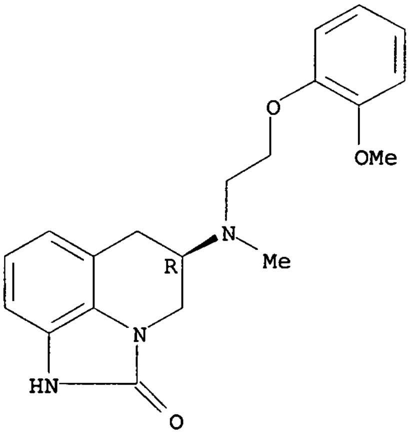
RN 663932-60-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[(2,3-dihydro-1,4-benzodioxin-2-yl)methyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



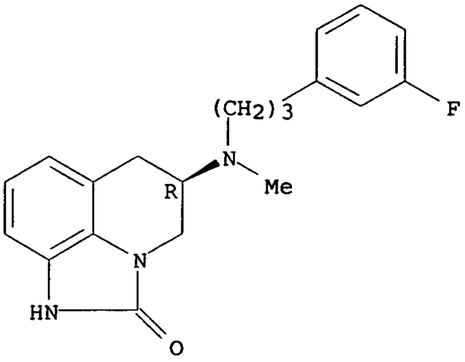
RN 663932-61-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[[2-(2-methoxyphenoxy)ethyl]methylamino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



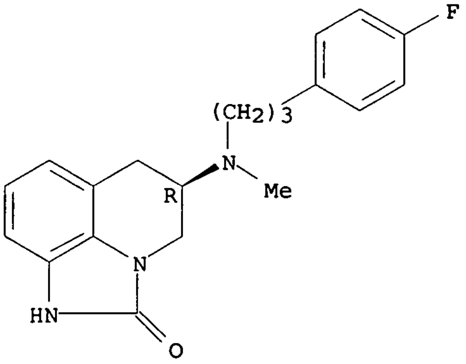
RN 663932-62-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(3-fluorophenyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



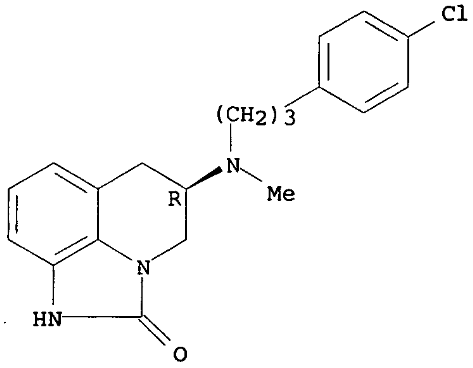
RN 663932-63-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(4-fluorophenyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



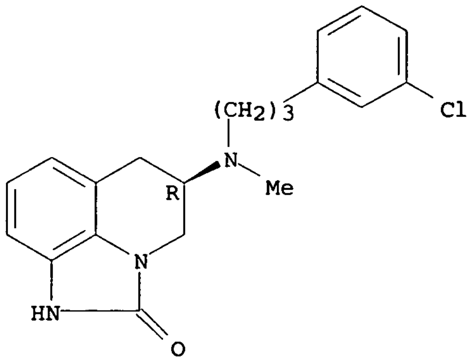
RN 663932-64-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(4-chlorophenyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



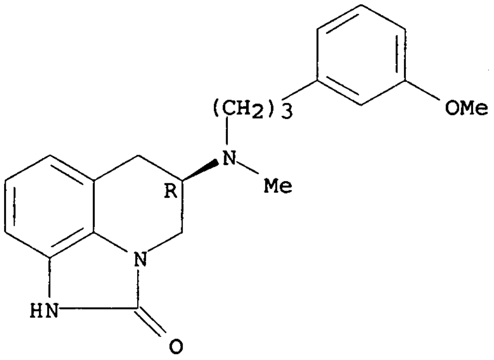
RN 663932-66-9 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(3-chlorophenyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



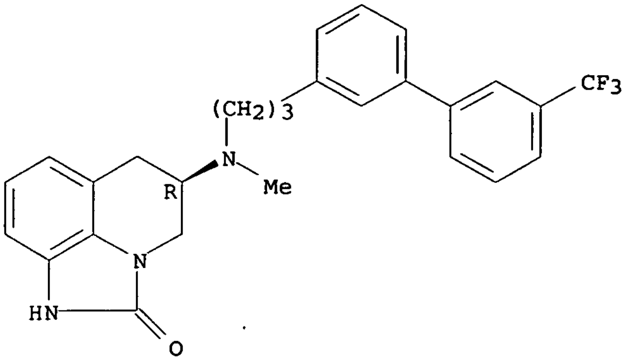
RN 663932-68-1 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[[3-(3-methoxyphenyl)propyl]methylamino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



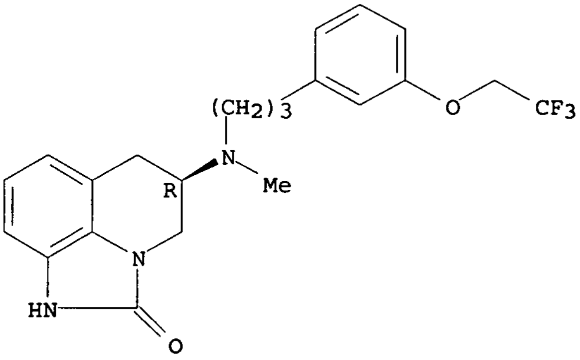
RN 663932-70-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-[3'-(trifluoromethyl)[1,1'-biphenyl]-3-yl]propyl]amino]-, (5R)-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



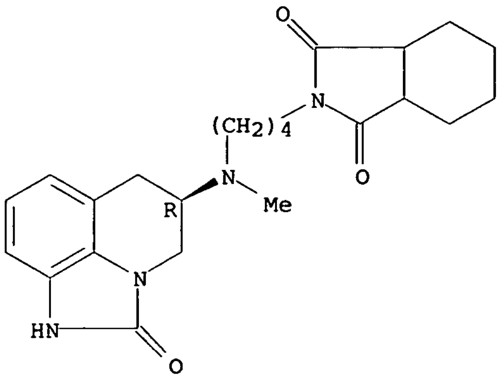
RN 663932-72-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-[3-(2,2,2-trifluoroethoxy)phenyl]propyl]amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



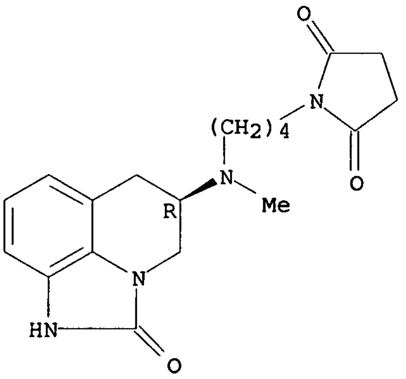
RN 663932-74-9 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, hexahydro-2-[4-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]butyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



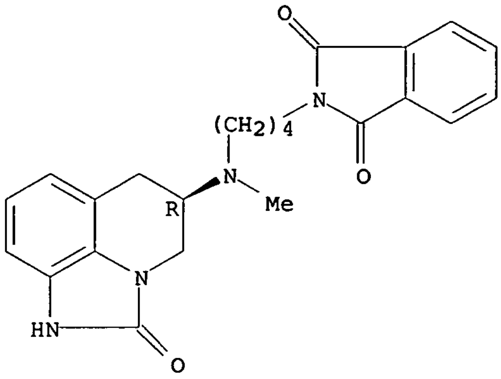
RN 663932-75-0 HCAPLUS
CN 2,5-Pyrrolidinedione, 1-[4-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



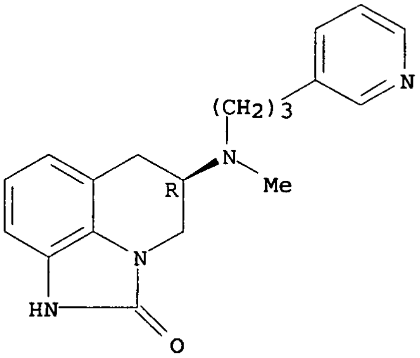
RN 663932-76-1 HCAPLUS
CN 1H-Isoindole-1,3(2H)-dione, 2-[4-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



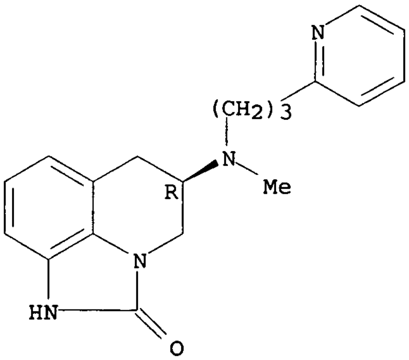
RN 663932-77-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(3-pyridinyl)propyl]amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



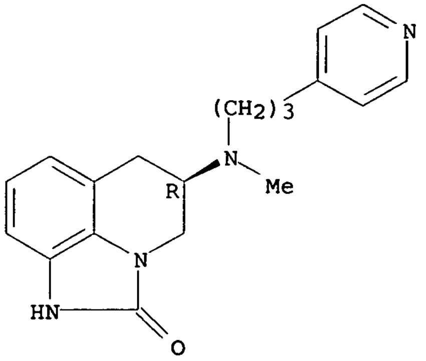
RN 663932-78-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(2-pyridinyl)propyl]amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



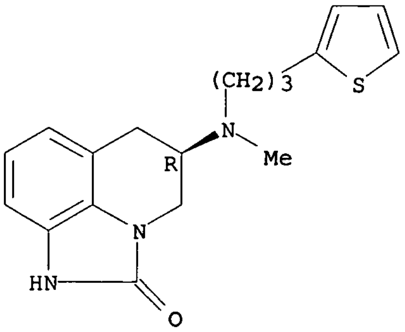
RN 663932-79-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(4-pyridinyl)propyl]amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



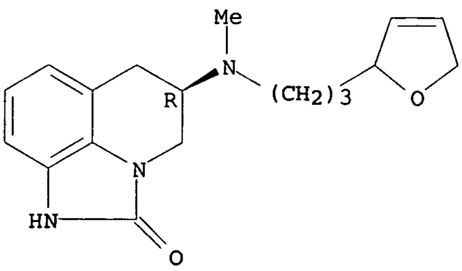
RN 663932-80-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(2-thienyl)propyl]amino]-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



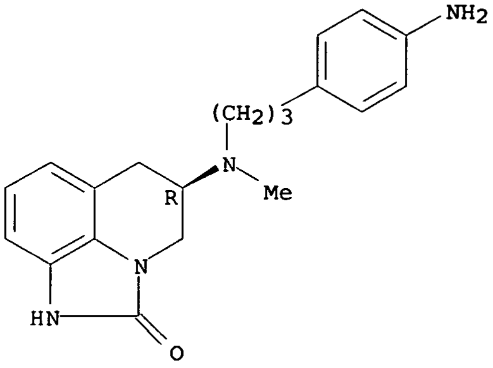
RN 663932-81-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(2,5-dihydro-2-furanyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663932-82-9 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(4-aminophenyl)propyl]methylamino]-5,6-dihydro-, dihydrochloride, (5R)- (9CI) (CA INDEX NAME)

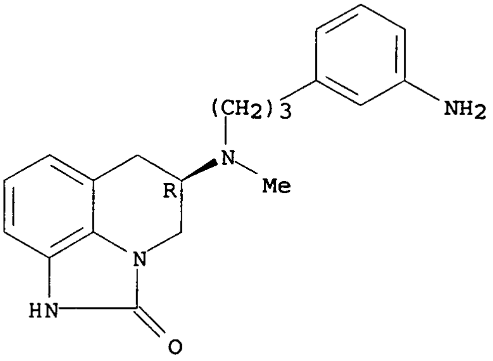
Absolute stereochemistry.



●2 HCl

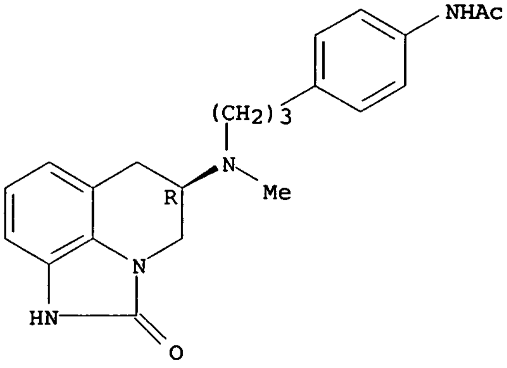
RN 663932-83-0 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(3-aminophenyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



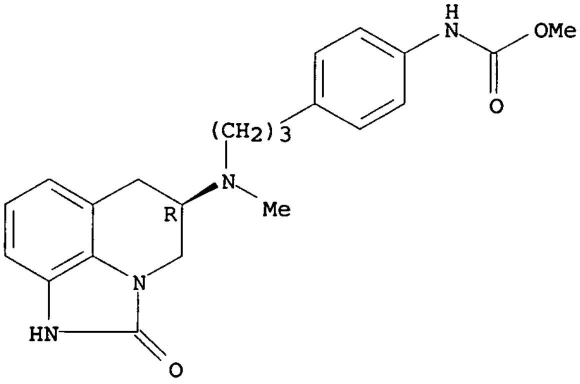
RN 663932-84-1 HCAPLUS
CN Acetamide, N-[4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



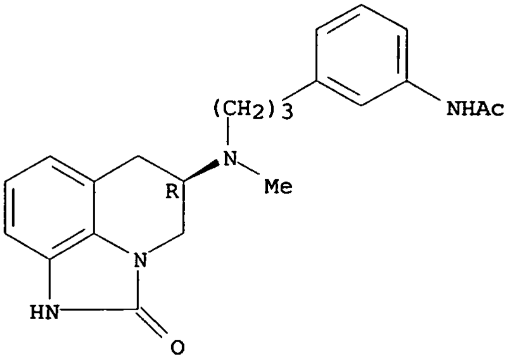
RN 663932-85-2 HCAPLUS
CN Carbamic acid, [4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]phenyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



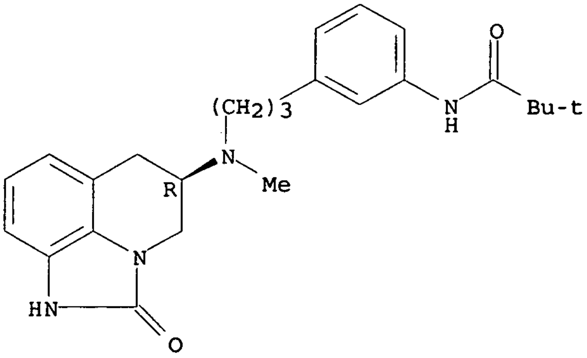
RN 663932-86-3 HCAPLUS
CN Acetamide, N-[3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



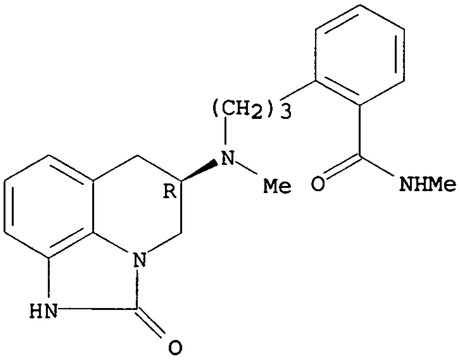
RN 663932-87-4 HCAPLUS
CN Propanamide, 2,2-dimethyl-N-[3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]phenyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



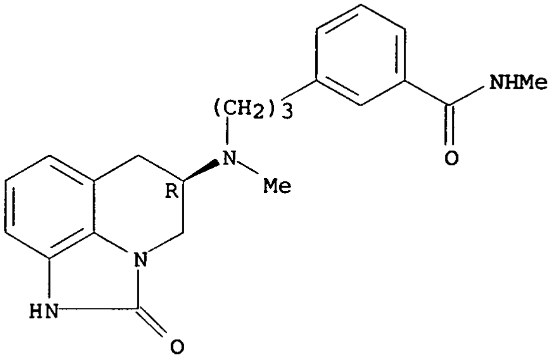
RN 663932-88-5 HCAPLUS
CN Benzamide, N-methyl-2-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



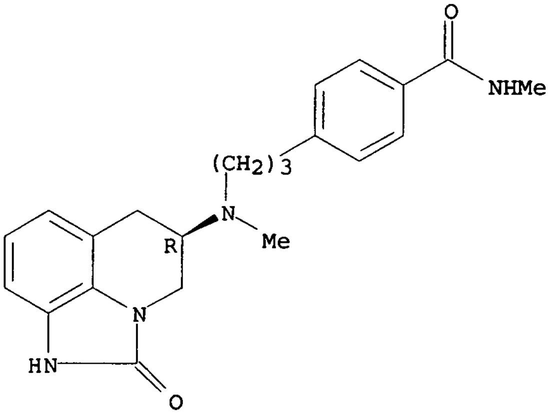
RN 663932-89-6 HCAPLUS
CN Benzamide, N-methyl-3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663932-90-9 HCAPLUS
CN Benzamide, N-methyl-4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

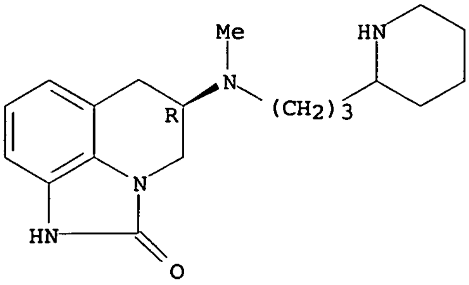


RN 663932-92-1 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(2-piperidinyl)propyl]amino]-, (5R)-, trifluoroacetate (9CI) (CA INDEX NAME)

CM 1

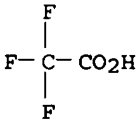
CRN 663932-91-0
CMF C19 H28 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2

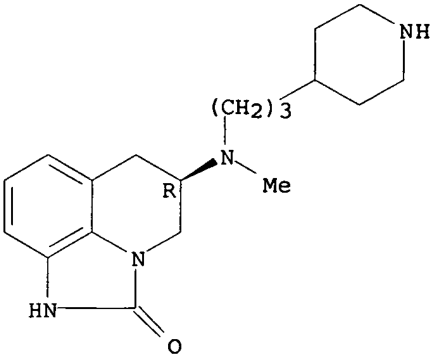


RN 663932-94-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(4-piperidinyl)propyl]amino]-, (5R)-, bis(trifluoroacetate) (9CI)
(CA INDEX NAME)

CM 1

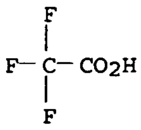
CRN 663932-93-2
CMF C19 H28 N4 O

Absolute stereochemistry.



CM 2

CRN 76-05-1
CMF C2 H F3 O2



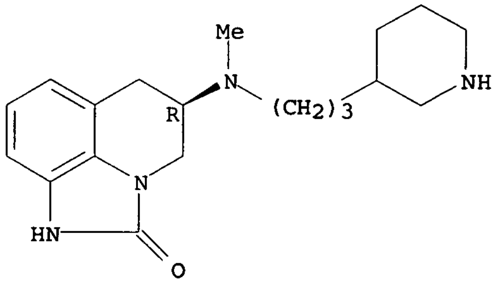
$F-\underset{F}{\overset{F}{C}}-CO_2H$

RN 663932-96-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[3-(3-piperidinyl)propyl]amino]-, (5R)-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

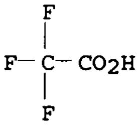
CRN 663932-95-4
CMF C19 H28 N4 O

Absolute stereochemistry.



CM 2

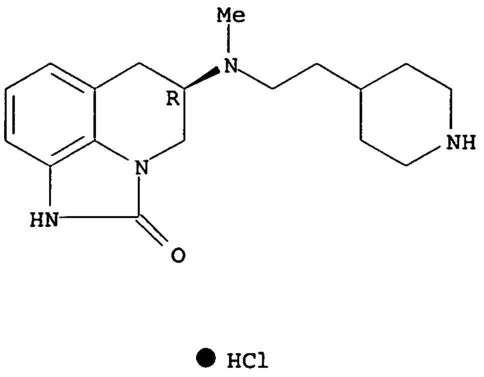
CRN 76-05-1
CMF C2 H F3 O2



$F-\underset{F}{\overset{F}{C}}-CO_2H$

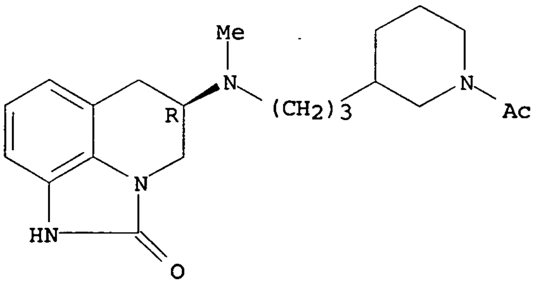
RN 663932-97-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl[2-(4-piperidinyl)ethyl]amino]-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



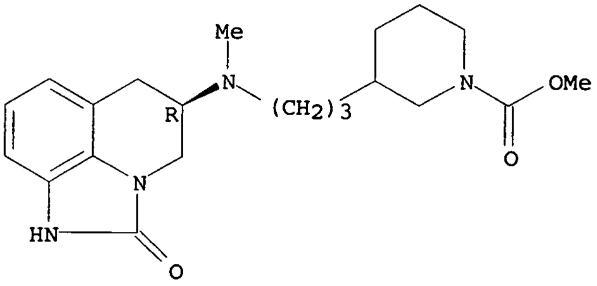
RN 663932-98-7 HCAPLUS
CN Piperidine, 1-acetyl-3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



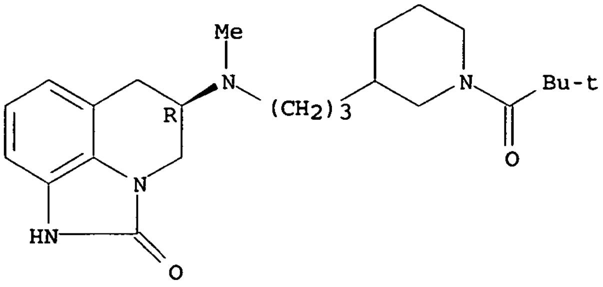
RN 663932-99-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



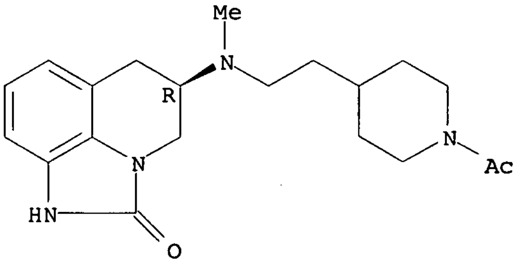
RN 663933-00-4 HCAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



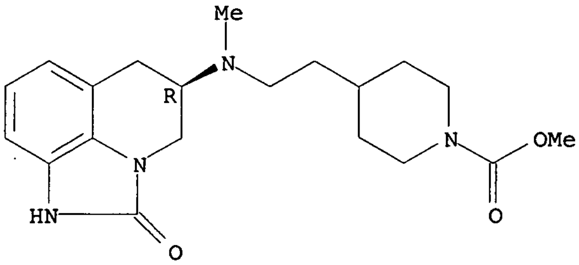
RN 663933-01-5 HCAPLUS
CN Piperidine, 1-acetyl-4-[2-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]ethyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



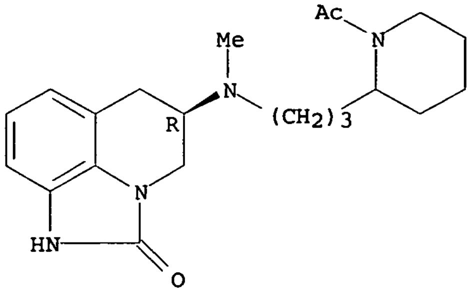
RN 663933-02-6 HCAPLUS
CN 1-Piperidinecarboxylic acid, 4-[2-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]ethyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



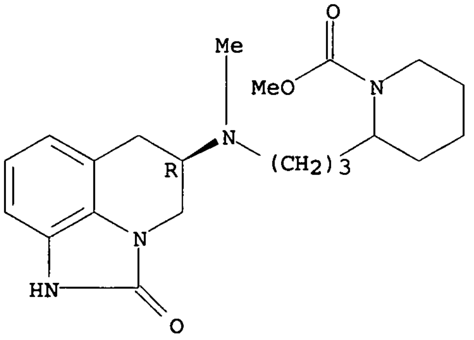
RN 663933-03-7 HCAPLUS
CN Piperidine, 1-acetyl-2-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



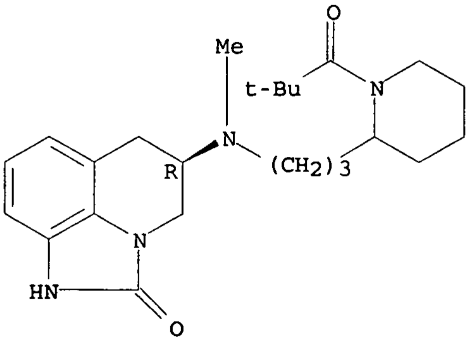
RN 663933-04-8 HCAPLUS
CN 1-Piperidinecarboxylic acid, 2-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663933-05-9 HCAPLUS
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-2-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-(9CI) (CA INDEX NAME)

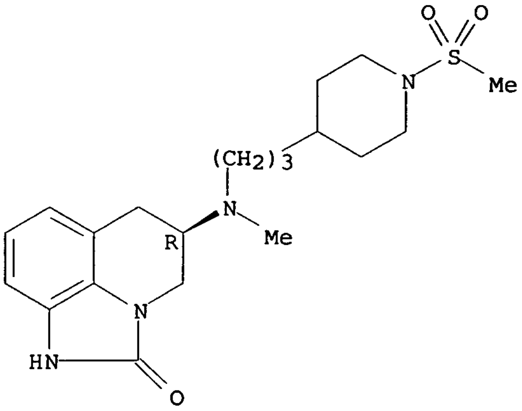
Absolute stereochemistry.



RN 663933-06-0 HCAPLUS
CN Piperidine, 1-(methylsulfonyl)-4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-

(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663933-08-2 HCAPLUS

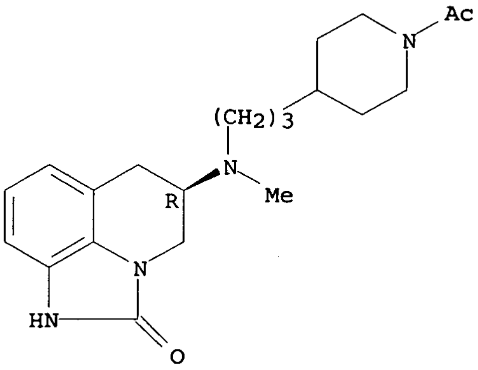
CN Piperidine, 1-acetyl-4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-, bis(trifluoroacetate) (9CI) (CA INDEX NAME)

CM 1

CRN 663933-07-1

CMF C21 H30 N4 O2

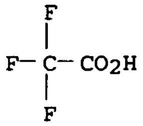
Absolute stereochemistry.



CM 2

CRN 76-05-1

CMF C2 H F3 O2



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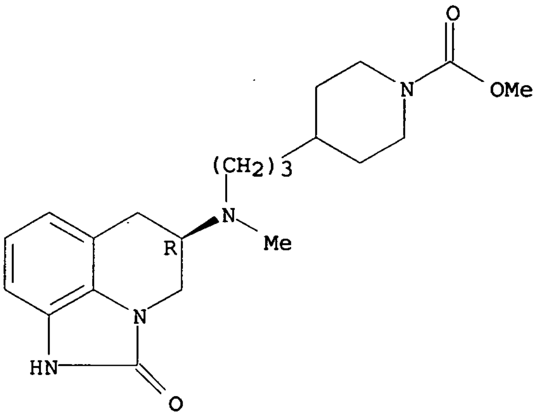
CN 1-Piperidinecarboxylic acid, 4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-, methyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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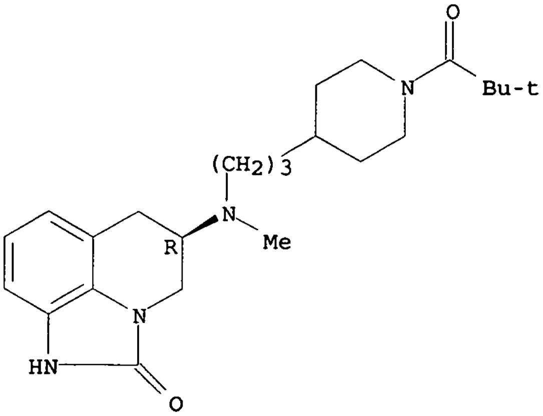
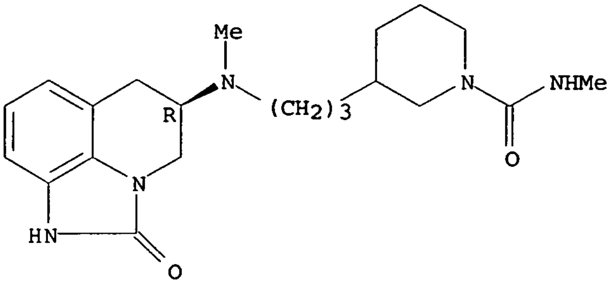
CN Piperidine, 1-(2,2-dimethyl-1-oxopropyl)-4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663933-11-7 HCAPLUS
CN 1-Piperidinecarboxamide, N-methyl-3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



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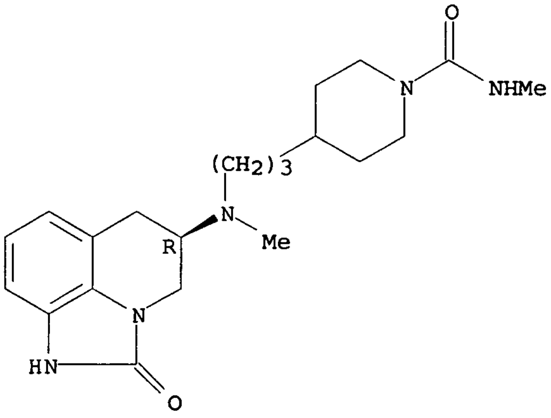
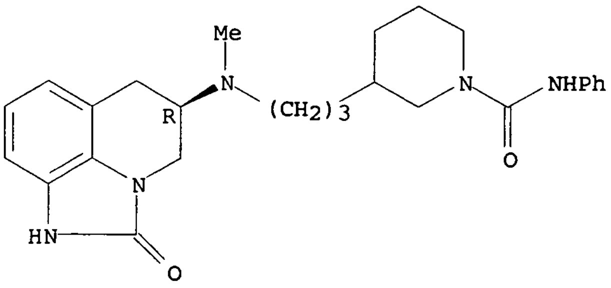
CN 1-Piperidinecarboxamide, N-methyl-4-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-(9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663933-13-9 HCAPLUS
CN 1-Piperidinecarboxamide, 3-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-N-phenyl-(9CI) (CA INDEX NAME)

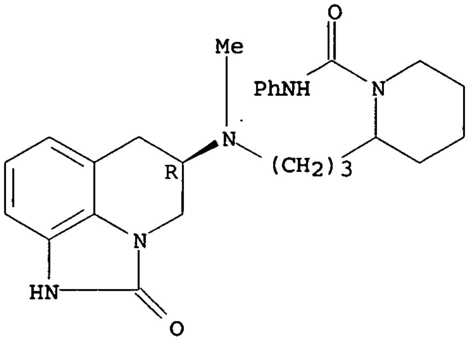
Absolute stereochemistry.



RN 663933-14-0 HCAPLUS

CN 1-Piperidinecarboxamide, 2-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-N-phenyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



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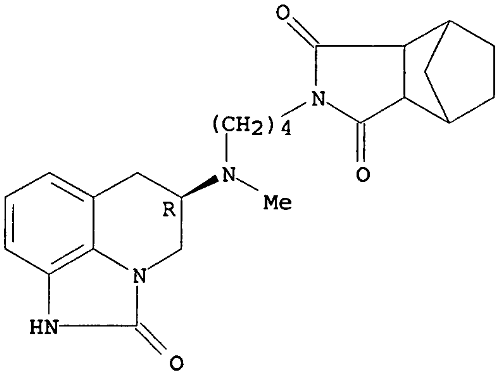
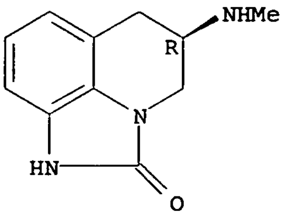
CN 4,7-Methano-1H-isoindole-1,3(2H)-dione, hexahydro-2-[4-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]butyl]- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



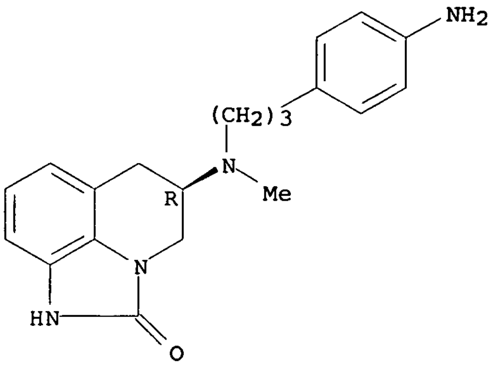
IT **179386-43-7 663933-16-2**
RL: RCT (Reactant); RACT (Reactant or reagent)
(**preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



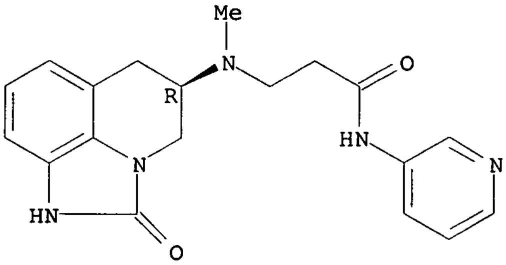
RN 663933-16-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-[[3-(4-aminophenyl)propyl]methylamino]-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



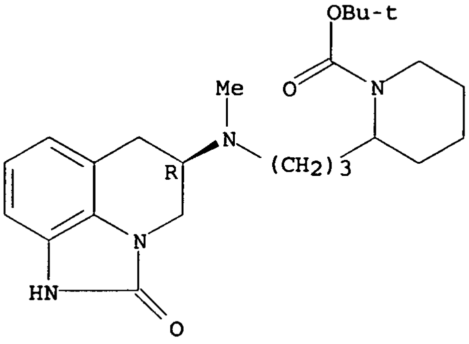
IT **663933-15-1P 663933-18-4P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
RN 663933-15-1 HCAPLUS
CN Propanamide, 3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]-N-3-pyridinyl- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 663933-18-4 HCAPLUS
CN 1-Piperidinecarboxylic acid, 2-[3-[methyl[(5R)-1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl]amino]propyl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D471-16
ICS A61K031-4745; A61P025-16
CC 1-11 (Pharmacology)
Section cross-reference(s): 28
IT 5-HT agonists
(5-HT1A; **preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
IT Dopamine agonists
(D2; **preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
IT Antiparkinsonian agents
Human
Parkinson's disease
(**preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
IT **663932-57-8P 663932-58-9P 663932-59-0P**
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663932-68-1P 663932-70-5P 663932-72-7P
663932-74-9P 663932-75-0P 663932-76-1P
663932-77-2P 663932-78-3P 663932-79-4P
663932-80-7P 663932-81-8P 663932-82-9P
663932-83-0P 663932-84-1P 663932-85-2P
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663933-11-7P 663933-12-8P 663933-13-9P
663933-14-0P 664347-78-8P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**preparation** of imidazoquinolinones as dopamine D2 and serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
IT 103-71-9, Phenyl isocyanate, reactions 622-86-6, (2-Chloroethoxy)benzene 3724-19-4, 3-Pyridinepropionic acid
179386-43-7 278789-10-9 **663933-16-2**
663933-17-3
RL: RCT (Reactant); RACT (Reactant or reagent)
(**preparation** of imidazoquinolinones as dopamine D2 and

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        serotonin 5-HT1A receptor agonists for antiparkinsonian agents)
IT    663933-15-1P 663933-18-4P
      RL: RCT (Reactant); SPN (Synthetic preparation); PREP
      (Preparation); RACT (Reactant or reagent)
        (preparation of imidazoquinolinones as dopamine D2 and
        serotonin 5-HT1A receptor agonists for antiparkinsonian agents)

L75  ANSWER 13 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2004:100996  HCAPLUS
DOCUMENT NUMBER:          140:169640
TITLE:                    Sustained-release tablets comprising a
                          dopamine receptor agonist
INVENTOR(S):              Ganorkar, Loksidh D.; Reo, Joseph P.; Martino,
                          Alice C.; Amidon, Gregory E.; Skoug, Connie J.
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   PCT Int. Appl., 28 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   4
PATENT INFORMATION:

      PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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      WO 2004011002        A1    20040205   WO 2003-US23403
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              MN, MW, MX, MZ, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU,
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PRIORITY APPLN. INFO.:                      US 2002-398427P     P
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                                WO 2003-US23403     W
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```

OTHER SOURCE(S): MARPAT 140:169640

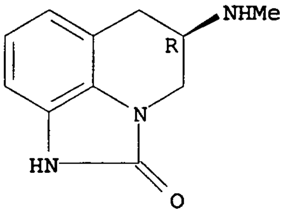
AB A sustained-release tablet comprises as an active compound, e.g., sumanirole. The agent is dispersed in a matrix comprising a hydrophilic polymer and a starch having a tensile strength of at least about 0.15 kN cm-2 at a solid fraction representative of the tablet. The composition exhibits sustained-release properties effective for the treatment of Parkinson's disease. The tablet is optionally coated. Tablets have improved resistance to attrition or erosion during **manufacture**, packaging and handling. Thus, tablets contained sumanirole maleate 0.45, HPMC 35.00, pregelatinized starch 63.65, colloidal silica 0.40, and Mg stearate 0.50 mg.

IT **179386-43-7 179386-44-8 282522-94-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(sustained-release tablets comprising dopamine receptor agonist)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



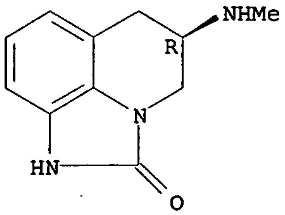
RN 179386-44-8 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

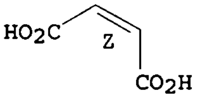
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

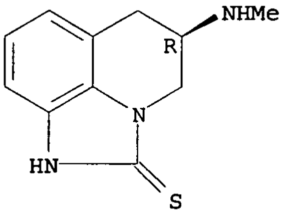


RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

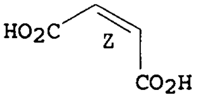
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IC ICM A61K031-4745

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CC   63-6 (Pharmaceuticals)
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     179386-44-8 282522-94-5
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (sustained-release tablets comprising dopamine receptor
        agonist)
REFERENCE COUNT:         8      THERE ARE 8 CITED REFERENCES AVAILABLE
                                FOR THIS RECORD. ALL CITATIONS AVAILABLE
                                IN THE RE FORMAT

L75  ANSWER 14 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2004:59549  HCAPLUS
DOCUMENT NUMBER:         140:117387
TITLE:                   Transdermal delivery of antiparkinson agents
                         with skin penetration enhancer and volatile
                         liquid
INVENTOR(S):             Klose, Kathryn Traci-Jane; Tran, Ngan Thi Kim;
                         Morgon, Timothy Matthias; Finnin, Barrie
                         Charles; Reed, Barry Leonard
PATENT ASSIGNEE(S):      Monash University, Australia; Acrux Dds Pty
                         Ltd.
SOURCE:                  U.S. Pat. Appl. Publ., 8 pp., Cont.-in-part of
                         U.S. Ser. No. 910,780.
                         CODEN: USXXCO
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  6
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     US 2004013620        A1    20040122   US 2003-428016
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     US 6929801           B2    20050816
     WO 9729735           A1    19970821   WO 1997-AU91
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             TM, TR, TT, UA, UG, US, UZ, VN, YU
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             GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI,
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     US 2002028235        A1    20020307   US 2001-910780
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     US 6818226           B2    20041116
PRIORITY APPLN. INFO.:                     AU 1996-8144         A
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                                                                  0219
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WO 1997-AU91 W 1997 0219

US 1998-125436 A3 1998 1218

US 2001-910780 A2 2001 0724

AU 1997-17134 A3 1997 0219

OTHER SOURCE(S): MARPAT 140:117387

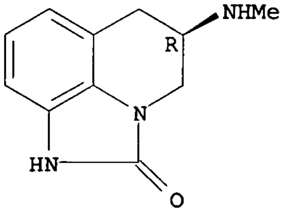
AB The present invention provides a transdermal drug delivery system which comprises: a therapeutically effective amount of an antiParkinson agent; at least one dermal penetration enhancer, which is a safe skin-tolerant ester sunscreen ester; and at least one volatile liquid The invention also provides a **method** for administering at least one systemic acting antiParkinson agent to an animal which comprises applying an effective amount of the antiParkinson agent in the **form** of the drug delivery system of the present invention. The addition of the sunscreen ester dermal penetration enhancer, octyl salicylate, surprisingly caused a marked increase (>15-fold) in the transdermal delivery of ropinirole across the skin ($p<0.05$). A topical spray contains 5 % volume/volume ropinirole, 5 % volume/volume octyl salicylate, and aqueous ethanol.

IT **179386-43-7**, Sumanirole
RL: BSU (Biological study, unclassified); PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(antiParkinson agent; transdermal delivery of antiparkinson agents with skin penetration enhancer and volatile liquid)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K007-42
ICS A61K009-70

INCL 424059000; 424449000

CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1

IT 59-92-7, Levodopa, biological studies 77-37-2, Procyclidine 83-98-7, Orphenadrine 132-17-2, Benztropine methanesulfonate 144-11-6 322-35-0, Benserazide 514-65-8, Biperiden 522-00-9,

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     Quinagolide   91374-21-9, Ropinirole   99755-59-6, Rotigotine
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     RL: BSU (Biological study, unclassified); PAC (Pharmacological
     activity); THU (Therapeutic use); BIOL (Biological study); USES
     (Uses)
        (antiParkinson agent; transdermal delivery of antiparkinson
        agents with skin penetration enhancer and volatile liquid)

L75  ANSWER 15 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2003:855794  HCAPLUS
DOCUMENT NUMBER:          139:345938
TITLE:                    Combination therapy including cyclooxygenase 2
                          (COX2) inhibitor(s) for the treatment of
                          Parkinson's disease
INVENTOR(S):              Stephenson, Diane T.; Isakson, Peter C.;
                          Maziasz, Timothy J.
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   PCT Int. Appl., 266 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
             MN, MW, MX, MZ, NI, NO, NZ, OM, PH, PL, PT, RO, RU, SC,
             SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US,
             UZ, VC, VN, YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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             DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL,
             PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN,
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     EP 1494664           A2     20050112    EP 2003-719717
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     BR 2003009259        A      20050209    BR 2003-9259
                                                                 2003
                                                                 0414
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PRIORITY APPLN. INFO.:                       US 2002-373311P     P
                                                                 2002
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                                             WO 2003-US11269     W
                                                                 2003
                                                                 0414
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OTHER SOURCE(S): MARPAT 139:345938

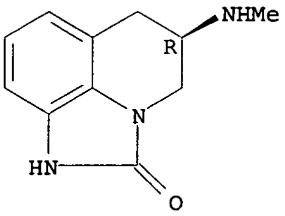
AB The invention discloses a **method** for treating, preventing, or inhibiting Parkinson's disease (PD) in a subject in need of such treatment, inhibition, or prevention. The **method** comprises treating the subject with one or more COX2 selective inhibitor(s) or isomer(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof, in combination with one or more second drugs, wherein the amount of the COX2 selective inhibitor(s) or isomer(s) or pharmaceutically acceptable salt(s), ester(s), or prodrug(s) thereof in combination with the amount of second drug(s) constitutes a PD treatment-, inhibition- or prevention-effective amount

IT **179386-43-7**, Sumanirole
RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(combination therapy including cyclooxygenase 2 inhibitor for treatment of Parkinson's disease)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



IC ICM A61K031-35
ICS A61P025-16

CC 1-11 (Pharmacology)

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Cycrimine hydrochloride 132-17-2, Benzotropine methanesulfonate
144-11-6 147-24-0, Diphenhydramine hydrochloride 303-98-0,
Coenzyme Q10 341-69-5, Orphenadrine hydrochloride 514-65-8,
Biperiden 522-00-9, Ethopropazine 525-66-6, Propranolol
562-09-4, Chlorphenoxamine hydrochloride 616-91-1,
Acetylcysteine 768-94-5, Amantadine 1094-08-2, Ethopropazine
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Remantadine 1508-76-5, Procyclidine hydrochloride 1668-19-5,
Doxepin 1744-22-5, Riluzole 5786-21-0, Clozapine 7235-40-7,
β-Carotene 7782-49-2, Selenium, biological studies
9001-05-2, Catalase 9013-66-5, Glutathione peroxidase
9054-89-1, Superoxide dismutase 11096-26-7, Erythropoietin
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     215122-19-3   215122-20-6
     RL: PAC (Pharmacological activity); THU (Therapeutic use); BIOL
     (Biological study); USES (Uses)
        (combination therapy including cyclooxygenase 2 inhibitor for
        treatment of Parkinson's disease)

L75  ANSWER 16 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2003:633448  HCAPLUS
DOCUMENT NUMBER:          139:185666
TITLE:                    Coated pharmaceutical tablets with speckled
                          appearance
INVENTOR(S):              Martino, Alice C.; Noack, Robert M.; Pierman,
                          Steven A.
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   PCT Int. Appl., 30 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.           KIND   DATE       APPLICATION NO.        DATE
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     WO 2003066030         A2    20030814   WO 2003-US3837
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             YU, ZA, ZM, ZW
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM,
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PRIORITY APPLN. INFO.:                         US 2002-355705P      P
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                                                                   0207

                                               WO 2003-US3837       W
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                                                                   0206
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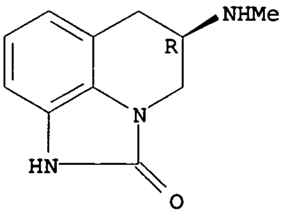
OTHER SOURCE(S): MARPAT 139:185666

AB A pharmaceutical tablet is provide comprising a core and a coating adherent thereto, wherein (a) the core comprises solid particles of a water-soluble dye distributed in a matrix and (b) the coating comprises gellan gum. The tablet is suitable for peroral or intraoral administration, for example for delivery of a drug contained in the core of the tablet to a subject. The tablet has a speckled appearance that renders the tablet readily identifiable.

IT **179386-43-7 282522-93-4 282522-94-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(active ingredients for coated pharmaceutical tablets with speckled appearance)

RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 282522-93-4 HCAPLUS
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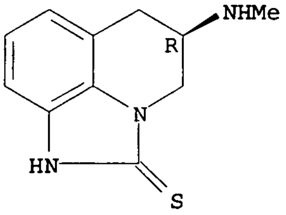
Absolute stereochemistry.



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CRN 282522-93-4
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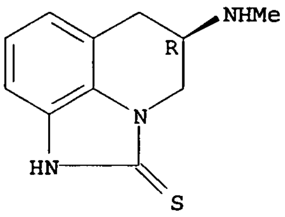
Absolute stereochemistry.



CM 2

CRN 110-16-7
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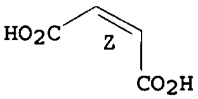
Double bond geometry as shown.



IC ICM A61K009-34
CC 63-6 (Pharmaceuticals)
IT Natural **products**, pharmaceutical
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(opium; active ingredients for coated pharmaceutical tablets
with speckled appearance)
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Ammonium salicylate 31842-01-0, Indoprofen 32527-55-2,
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Clidanac 34552-84-6, Isoxicam 34911-55-2, Bupropion
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Piroxicam 36330-85-5, Fenbufen 36364-49-5, Imidazole
salicylate 36894-69-6, Labetalol 36981-91-6, Fepradinol
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RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (active ingredients for coated pharmaceutical tablets with speckled appearance)

L75 ANSWER 17 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	2003:633447 HCAPLUS
DOCUMENT NUMBER:	139:185665
TITLE:	Pharmaceutical dosage **form** for mucosal delivery
INVENTOR(S):	Martino, Alice C.; Pierman, Steven A.; Noack, Robert M.; Britten, Nancy
PATENT ASSIGNEE(S):	Pharmacia Corporation, USA
SOURCE:	PCT Int. Appl., 34 pp. CODEN: PIXXD2
DOCUMENT TYPE:	Patent
LANGUAGE:	English
FAMILY ACC. NUM. COUNT:	1
PATENT INFORMATION:	

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003066029	A2	20030814	WO 2003-US3836	20030206

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PRIORITY APPLN. INFO.:                         US 2002-355703P      P
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                                                                0207

                                               WO 2003-US3836       W
                                                                2003
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AB   A pharmaceutical tablet is provided comprising an intraorally
     disintegratable core and an excipient coating adherent thereto,
     wherein the coating comprises gellan gum.  The tablet is suitable
     for intraoral administration, for example for delivery of a drug
     contained in the core of the tablet to a subject, at least in part
     by absorption of the drug via oral mucosa of the subject.
IT   179386-43-7 282522-93-4 282522-94-5
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (active ingredients for coated sublingual tablets)
RN   179386-43-7  HCAPLUS
CN   4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
     (methylamino)-, (5R)- (9CI)  (CA INDEX NAME)

Absolute stereochemistry.  Rotation (-).
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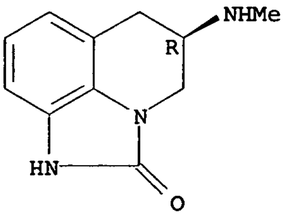

RN 282522-93-4 HCAPLUS
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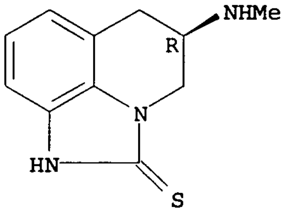
Absolute stereochemistry.



RN 282522-94-5 HCAPLUS
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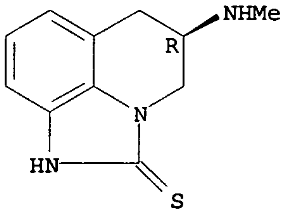
CRN 282522-93-4
CMF C11 H13 N3 S

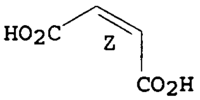
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



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      RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
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      Terofenamate   29121-60-6, Vaninolol   29122-68-7, Atenolol
      29679-58-1, Fenoprofen   29908-03-0   30544-47-9, Etofenamate
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      Ammonium salicylate   31842-01-0, Indoprofen   32527-55-2,
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      salicylate   36894-69-6, Labetalol   36981-91-6, Fepradinol
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     282522-93-4 282522-94-5
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (active ingredients for coated sublingual tablets)

L75  ANSWER 18 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2003:22671  HCAPLUS
DOCUMENT NUMBER:          138:78475
TITLE:                    Enhanced pharmacokinetic profile of
                          hydrophobic dopamine agonists administered to
                          the dermis
INVENTOR(S):              Pinkerton, Thomas C.
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   PCT Int. Appl., 52 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

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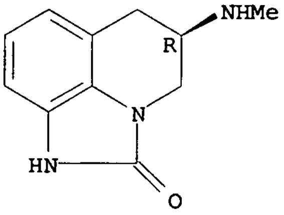
OTHER SOURCE(S): MARPAT 138:78475

AB A **method** for systemic administration of a hydrophobic dopamine agonist to a mammal is disclosed. The **method** involves delivering the hydrophobic dopamine agonist to the dermis of the mammal, whereby improved systemic absorption is obtained compared to absorption **produced** upon delivering the substance s.c. by bolus administration.

IT **179386-43-7 282522-93-4**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetic profile enhancement of hydrophobic dopamine agonist delivered to dermis)

RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 282522-93-4 HCAPLUS
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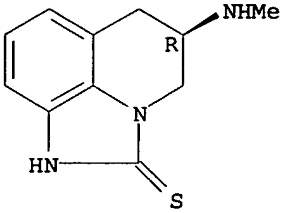
Absolute stereochemistry.



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         (cutaneous micropore created by gas ballistics;
         pharmacokinetic profile enhancement of hydrophobic dopamine
         agonist delivered to dermis)
IT    Electric potential
         (cutaneous micropore created by solid projection or;
         pharmacokinetic profile enhancement of hydrophobic dopamine
         agonist delivered to dermis)
IT    Temperature effects, biological
         (heat, cutaneous micropore created by thermal energy;
         pharmacokinetic profile enhancement of hydrophobic dopamine
         agonist delivered to dermis)
IT    179386-43-7 282522-93-4
      RL: PAC (Pharmacological activity); PRP (Properties); THU
      (Therapeutic use); BIOL (Biological study); USES (Uses)
         (pharmacokinetic profile enhancement of hydrophobic dopamine
         agonist delivered to dermis)

L75  ANSWER 19 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2003:22662  HCAPLUS
DOCUMENT NUMBER:          138:78468
TITLE:                    Enhanced pharmacokinetic profile of
                          hydrophobic substances delivered to the dermis
INVENTOR(S):              Pinkerton, Thomas C.
PATENT ASSIGNEE(S):       Pharmacia Corporation, USA
SOURCE:                   PCT Int. Appl., 50 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   5
PATENT INFORMATION:

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PRIORITY APPLN. INFO.:                         US 2001-897801      A2
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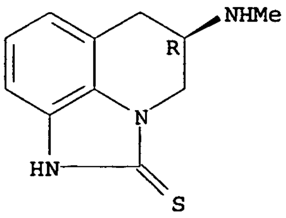
AB   A **method** for systemic administration of a hydrophobic substance to a mammal is disclosed. The **method** involves delivering the hydrophobic substance to the dermis of the mammal whereby improved systemic absorption is obtained compared to absorption **produced** upon delivering the substance s.c. by bolus administration.

IT   **282522-93-4**
RL: PAC (Pharmacological activity); PRP (Properties); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetic profile enhancement of hydrophobic substance delivered to dermis)

RN   282522-93-4 HCAPLUS

CN   4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K009-00
CC 63-6 (Pharmaceuticals)
Section cross-reference(s): 1
IT Gases
(cutaneous micropore **created** by gas ballistics;
pharmacokinetic profile enhancement of hydrophobic substance
delivered to dermis)
IT Electric potential
(cutaneous micropore **created** by solid projection or;
pharmacokinetic profile enhancement of hydrophobic substance
delivered to dermis)
IT Temperature effects, biological
(heat, cutaneous micropore **created** by thermal energy;
pharmacokinetic profile enhancement of hydrophobic substance
delivered to dermis)
IT 25322-68-3D, Polyethylene glycol, protein conjugates 56420-45-2,
Epirubicin 169590-42-5, Celecoxib 174484-41-4 181695-72-7,
Valdecoxib 198470-84-7, Parecoxib **282522-93-4**
RL: PAC (Pharmacological activity); PRP (Properties); THU
(Therapeutic use); BIOL (Biological study); USES (Uses)
(pharmacokinetic profile enhancement of hydrophobic substance
delivered to dermis)

L75 ANSWER 20 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2002:615377 HCAPLUS
DOCUMENT NUMBER: 137:174924
TITLE: Rapid-onset medicament for the treatment of sexual dysfunction
INVENTOR(S): Martino, Alice C.; McCurdy, Vincent E.; Pierman, Steven A.; Reo, Joseph P.; Tyle, Praveen; Wu, Sy Juen
PATENT ASSIGNEE(S): Pharmacia Corporation, USA
SOURCE: PCT Int. Appl., 47 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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     PATENT NO.          KIND   DATE         APPLICATION NO.        DATE
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     WO 2002062315        A1    20020815     WO 2002-US3680
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             KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK,
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            ML, MR, NE, SN, TD, TG
    CA 2435546          AA     20020815    CA 2002-2435546
                                                                2002
                                                                0207
    US 2003022912       A1     20030130    US 2002-72368
                                                                2002
                                                                0207
    EP 1365740          A1     20031203    EP 2002-709405
                                                                2002
                                                                0207
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    BR 2002007024       A      20040225    BR 2002-7024
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    JP 2004520389       T2     20040708    JP 2002-562322
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PRIORITY APPLN. INFO.:                     US 2001-267519P     P
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OTHER SOURCE(S):         MARPAT 137:174924
GI
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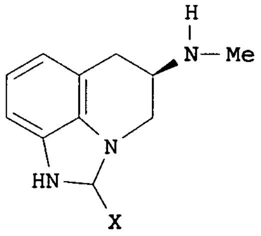


I

AB A rapid-onset pharmaceutical composition is provided, useful for treatment of sexual dysfunction, stimulation of sexual activity and enhancement of sexual desire, interest and performance in men and women. The composition is a dosage **form** comprising (a) a therapeutically or sexual-stimulatorily effective amount of a therapeutic agent having a mol. weight, excluding counterions, not greater than 250, and (b) at least one pharmaceutically acceptable excipient; and is adapted for delivery by a route of administration that entails interaction with the organs of taste yet has acceptable organoleptic properties. Illustrative therapeutic agents useful in dosage **forms** of the

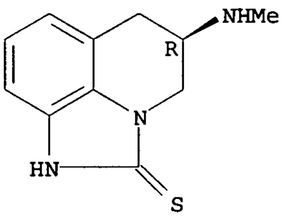
invention are I or its maleate salt.

IT **282522-93-4**, 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione,
5,6-dihydro-5-(methylamino)-, (5R)- **282522-94-5**
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(rapid-onset medicament for the treatment of sexual
dysfunction)

RN 282522-93-4 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-
(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



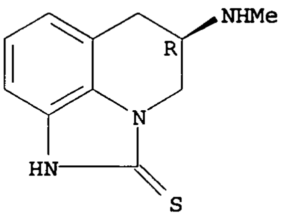
RN 282522-94-5 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-
(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX
NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

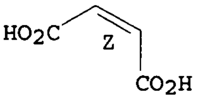
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IC ICM A61K009-10
ICS A61K009-20; A61K031-437; A61P015-00

CC 63-6 (Pharmaceuticals)

IT **282522-93-4**, 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione,

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     5,6-dihydro-5-(methylamino)-, (5R)- 282522-94-5
     RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses)
        (rapid-onset medicament for the treatment of sexual
        dysfunction)
REFERENCE COUNT:         3      THERE ARE 3 CITED REFERENCES AVAILABLE
                                FOR THIS RECORD. ALL CITATIONS AVAILABLE
                                IN THE RE FORMAT

L75  ANSWER 21 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:        2002:353281  HCAPLUS
DOCUMENT NUMBER:         136:355238
TITLE:                   Preparation of imidazoquinolines and
                         phenylazacycloalkanes as treatments for
                         restless legs syndrome
INVENTOR(S):             McBrinn, Sylvia; Anderson, Richard W.
PATENT ASSIGNEE(S):      Pharmacia & Upjohn Company, USA
SOURCE:                  PCT Int. Appl., 30 pp.
                         CODEN: PIXXD2
DOCUMENT TYPE:           Patent
LANGUAGE:                English
FAMILY ACC. NUM. COUNT:  1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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             SG, SI, SK, SL, TJ, TM, TR, TT, TZ, UA, UG, US, UZ, VN,
             YU, ZA, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM
         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
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     AU 2002011226        A5    20020515   AU 2002-11226
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     US 2002107257        A1    20020808   US 2001-39446
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     US 6602868           B2    20030805
     BR 2001015071        A     20030729   BR 2001-15071
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     EP 1330248           A2    20030730   EP 2001-979241
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     JP 2004512367        T2    20040422   JP 2002-538934
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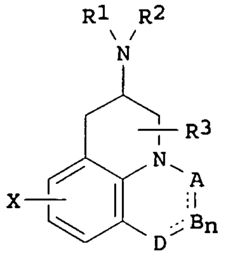
	ZA 2003001865	A	20040625	ZA 2003-1865	20030306
	US 2003212065	A1	20031113	US 2003-423078	20030425
	US 6716854	B2	20040406		
	NO 2003001923	A	20030627	NO 2003-1923	20030429
PRIORITY APPLN. INFO.:				US 2000-244666P P	20001031
				US 2001-39446 A3	20011029
				WO 2001-US27785 W	20011029

OTHER SOURCE(S): MARPAT 136:355238

GI



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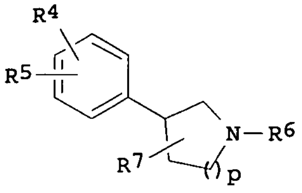

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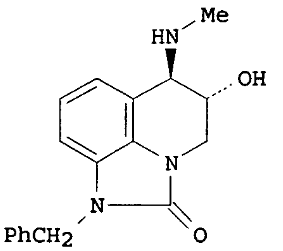
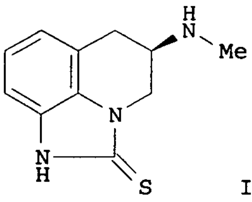


III



IV

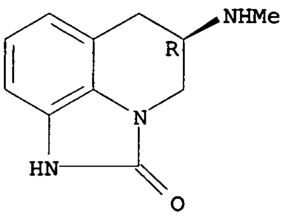
AB Invention compds. I and II [R1-3 = H, alk(en/yn)yl, cycloalkyl, cycloalkyl or R1-2 are joined to **form** a cyclic amine; X = H, alkyl, halo, hydroxy, alkoxy, cyano, carboxamide, carboxy,

carboalkoxyl; A = CH, CH2, CH-halo, CHCH3, C=O, C=S, C-SCH3, C=NH, C-NH2, C-NHCH3, C-NHCOOCH3, C-NHCN, SO2, N; B = CH2, CH, CH-halo, C=O, N, NH, N-CH3; n = 0-1; D = CH, CH2, CH-halo, C=O, O, N, NH, N-CH3; p = 0-3; R4-5 = H (provided only one is H at the same time), OH (provided R7 is other than hydrogen), CN, CH2CN, 2- or 4-CF3, CH2CF3, CH2CHF2, CH=CF2, (CH2)2CF3, ethenyl, 2-propenyl, OSO2CH3, OSO2CF3, SSO2CF3, COR7, COOR7, CON(R7)2, SO0-2CH3, SO0-2CF3, etc.; R6 = H, CF3, CH2CF3, alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, 3,3,3-trifluoropropyl, 4,4,4-trifluorobutyl, etc.; R7 = H, CF3, CH2CF3, alkyl, cycloalkyl, cycloalkylmethyl, alkenyl, alkynyl, 3,3,3-trifluoropropyl, 4,4,4-trifluorobutyl,etc.] were **prepared** For instance, (R)-Naproxen chloride (**prepn** . given) was coupled to 1-Benzyl-5-bromo-6-hydroxy-5,6-dihydro-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (**preparation** given) and the resulting ester treated with MeNH2 in CH3CN to afford intermediate amino alc. III. III was converted to the aziridine via the benzenesulfonate and subsequently treated with Li/NH3 to effect debenzylation and aziridine ring opening. The resulting amide was converted to thioamide IV (pyridine, P4S10, 125°C, 5 h). I and II are useful for treating restless leg syndrome (RLS).

IT **179386-43-7P 179386-44-8P 282522-93-4P**
282522-94-5P
RL: BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(drug; **preparation** of imidazoquinolines and phenylazacycloalkanes as treatments for restless legs syndrome)

RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

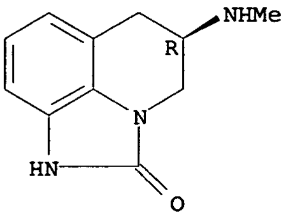


RN 179386-44-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

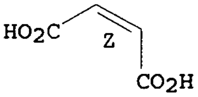
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 282522-93-4 HCAPLUS
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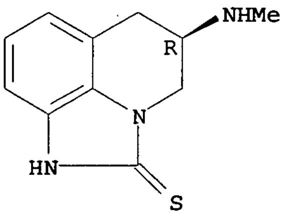
Absolute stereochemistry.



RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

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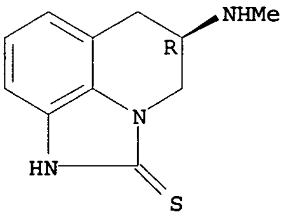
CRN 282522-93-4
CMF C11 H13 N3 S

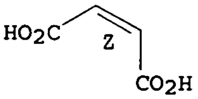
Absolute stereochemistry.



CM 2

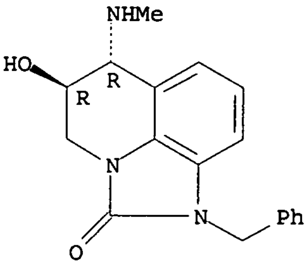
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IT **269731-84-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(intermediate; **preparation** of imidazoquinolines and
phenylazacycloalkanes as treatments for restless legs syndrome)
RN 269731-84-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-hydroxy-6-
(methylamino)-1-(phenylmethyl)-, (5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-445
ICS A61K031-48; A61P019-00
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 63
ST treatment restless leg syndrome imidazoquinoline quinoline
imidazole **prepn** piperidine
IT Human
(**preparation** of imidazoquinolines and
phenylazacycloalkanes as treatments for restless legs syndrome)
IT 146798-66-5P 156907-84-5P 173590-06-2P **179386-43-7P**
179386-44-8P **282522-93-4P** **282522-94-5P**
369595-93-7P
RL: BSU (Biological study, unclassified); SPN (Synthetic
preparation); THU (Therapeutic use); BIOL (Biological study); PREP
(Preparation); USES (Uses)
(drug; **preparation** of imidazoquinolines and
phenylazacycloalkanes as treatments for restless legs syndrome)
IT 105927-04-6P 227025-33-4P **269731-84-2P** 282522-95-6P
282522-96-7P 282522-98-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP
(Preparation); RACT (Reactant or reagent)
(intermediate; **preparation** of imidazoquinolines and
phenylazacycloalkanes as treatments for restless legs syndrome)
IT 23979-41-1 83848-83-3, 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one

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     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reactant; preparation of imidazoquinolines and
        phenylazacycloalkanes as treatments for restless legs syndrome)

L75  ANSWER 22 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2001:816667  HCAPLUS
DOCUMENT NUMBER:          135:344486
TITLE:                    (5R)-(methylamino)-5,6-dihydro-4h-
                          imidazo[4,5,1-ij]quinoline-2(1H)-thione
INVENTOR(S):              Acker, Brad A.; Heier, Richard F.; Jin, Alan
                          Q.; Moon, Malcolm W.
PATENT ASSIGNEE(S):       Pharmacia & Upjohn Company, USA
SOURCE:                   PCT Int. Appl., 17 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE       APPLICATION NO.        DATE
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     WO 2001083483        A1    20011108   WO 2001-US10814
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         RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZW, AT, BE,
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PRIORITY APPLN. INFO.:                     US 2000-199954P     P
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US 2000-234101P	P	2000 0921
US 2001-838054	A1	2001 0419
WO 2001-US10814	W	2001 0419
US 2003-634355	A1	2003 0805

OTHER SOURCE(S): MARPAT 135:344486

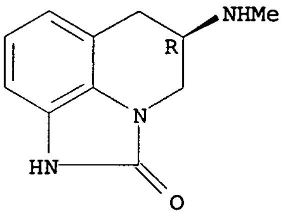
AB (5R)-5-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione and its pharmaceutically acceptable salts were **prepared** from 4H-imidazo[4,5,1-ij]quinolin-2(1H)-one in 7 steps via N-benzylation, bromohydroxylation, resolution with (R)-Naproxen, aminolysis with MeNH2, dehydration, acid hydrolysis of the resulting aziridine ring, reaction with Lawesson's reagent, and salt **formation.**

IT **166743-01-7P 179386-43-7P 179386-44-8P 269731-84-2P 282522-93-4P 371163-35-8P 371163-36-9P 371163-37-0P 371163-38-1P 371163-39-2P 371163-40-5P 371163-41-6P 371163-42-7P 371163-43-8P 371163-44-9P 371163-45-0P 371163-46-1P 371163-47-2P 371163-48-3P 371163-49-4P 371163-50-7P 371163-51-8P**
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)

RN 166743-01-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

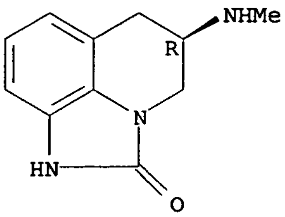


● HCl

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

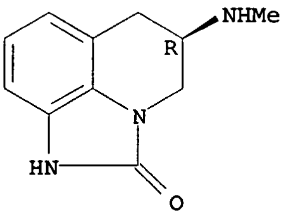


RN 179386-44-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

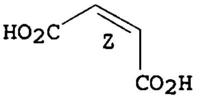
Absolute stereochemistry. Rotation (-).



CM 2

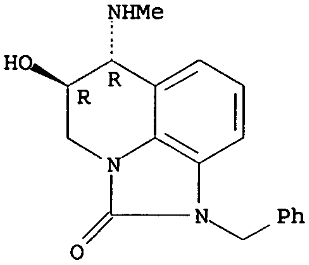
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



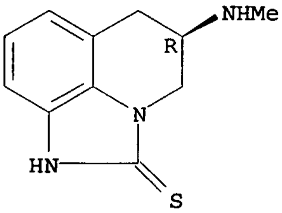
RN 269731-84-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-hydroxy-6-(methylamino)-1-(phenylmethyl)-, (5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



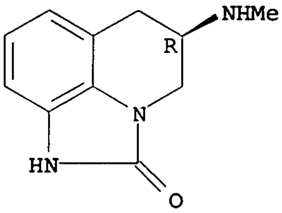
RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



RN 371163-35-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, monohydrobromide, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



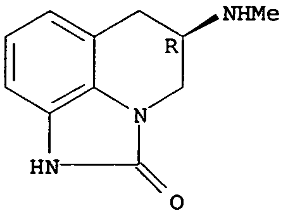
● HBr

RN 371163-36-9 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, sulfate (9CI) (CA INDEX NAME)

CM 1

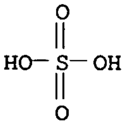
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-93-9
CMF H2 O4 S

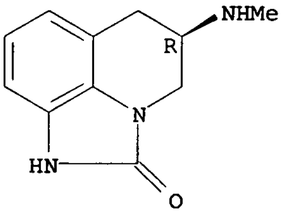


RN 371163-37-0 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, phosphate (9CI) (CA INDEX NAME)

CM 1

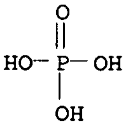
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7664-38-2
CMF H3 O4 P



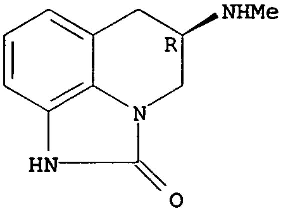
RN 371163-38-1 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-

(methylamino)-, (5R)-, mononitrate (9CI) (CA INDEX NAME)

CM 1

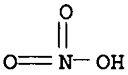
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 7697-37-2
CMF H N O3

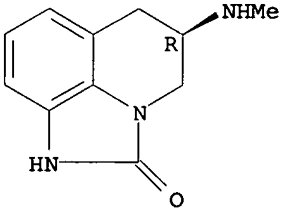


RN 371163-39-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

CM 1

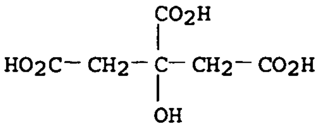
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 77-92-9
CMF C6 H8 O7



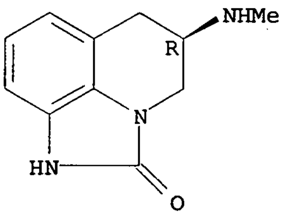
$$HO_2C-CH_2-\underset{OH}{\overset{CO_2H}{C}}-CH_2-CO_2H$$

RN 371163-40-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
(methylamino)-, (5R)-, methanesulfonate (9CI) (CA INDEX NAME)

CM 1

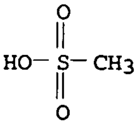
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 75-75-2
CMF C H4 O3 S



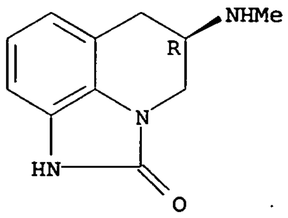
$$HO-\underset{O}{\overset{O}{S}}-CH_3$$

RN 371163-41-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
(methylamino)-, (5R)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

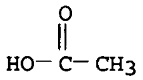
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 64-19-7
CMF C2 H4 O2



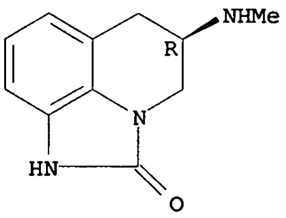
$$HO-\overset{\overset{O}{\|}}{C}-CH_3$$

RN 371163-42-7 HCAPLUS
CN Propanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

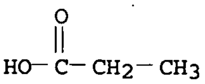
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 79-09-4
CMF C3 H6 O2



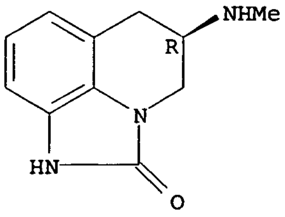
$$HO-\overset{\overset{O}{\|}}{C}-CH_2-CH_3$$

RN 371163-43-8 HCAPLUS
CN Butanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

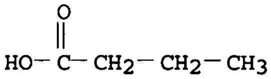
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 107-92-6
CMF C4 H8 O2



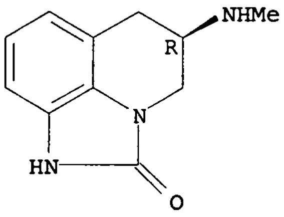
$HO-C(=O)-CH_2-CH_2-CH_3$

RN 371163-44-9 HCAPLUS
CN Pentanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

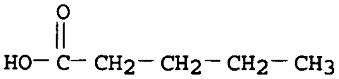
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 109-52-4
CMF C5 H10 O2



$HO-C(=O)-CH_2-CH_2-CH_2-CH_3$

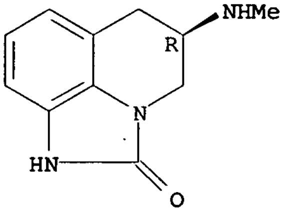
RN 371163-45-0 HCAPLUS
CN Hexanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-

imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 142-62-1
CMF C6 H12 O2

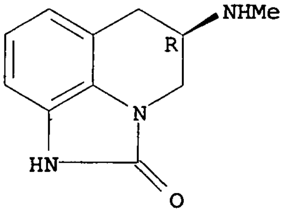
$Me-(CH_2)_4-CO_2H$

RN 371163-46-1 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

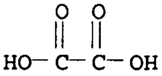
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 144-62-7
CMF C2 H2 O4



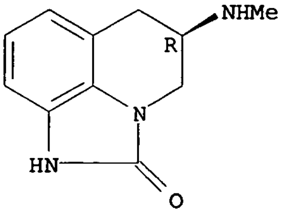
$HO-C(=O)-C(=O)-OH$

RN 371163-47-2 HCAPLUS
CN Propanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 141-82-2
CMF C3 H4 O4

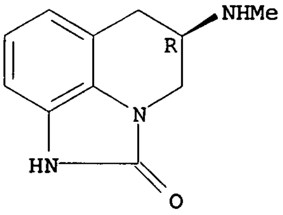
$HO_2C-CH_2-CO_2H$

RN 371163-48-3 HCAPLUS
CN Butanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-15-6
CMF C4 H6 O4

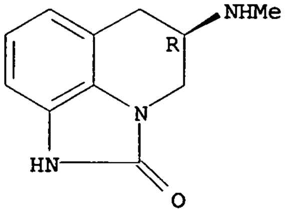
$HO_2C-CH_2-CH_2-CO_2H$

RN 371163-49-4 HCAPLUS
CN Pentanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-94-1
CMF C5 H8 O4

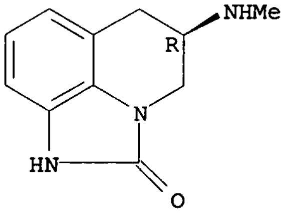
$HO_2C-(CH_2)_3-CO_2H$

RN 371163-50-7 HCAPLUS
CN Hexanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 124-04-9
CMF C6 H10 O4

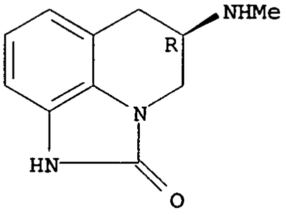
$HO_2C-(CH_2)_4-CO_2H$

RN 371163-51-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, monobenzoate (9CI) (CA INDEX NAME)

CM 1

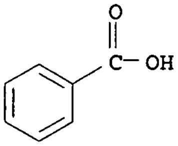
CRN 179386-43-7
CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).



CM 2

CRN 65-85-0
CMF C7 H6 O2

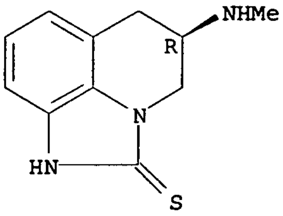


IT **282522-94-5P 371163-17-6P 371163-18-7P**
371163-19-8P 371163-20-1P 371163-21-2P
371163-22-3P 371163-23-4P 371163-24-5P
371163-25-6P 371163-26-7P 371163-27-8P
371163-28-9P 371163-29-0P 371163-30-3P
371163-31-4P 371163-32-5P 371163-33-6P
371163-34-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

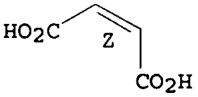
Absolute stereochemistry.



CM 2

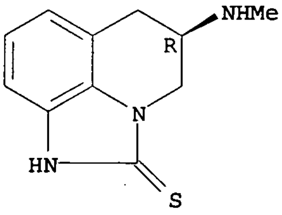
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



RN 371163-17-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

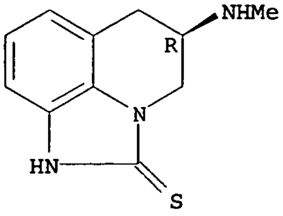
Absolute stereochemistry. Rotation (-).



● HCl

RN 371163-18-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, monohydrobromide, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



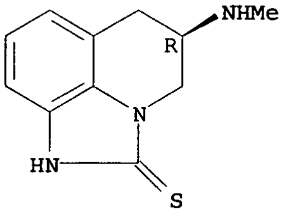
● HBr

RN 371163-19-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, sulfate (9CI) (CA INDEX NAME)

CM 1

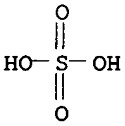
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 7664-93-9
CMF H2 O4 S

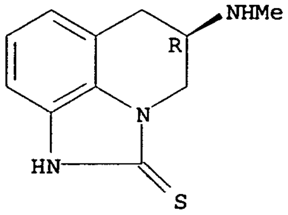


RN 371163-20-1 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, phosphate (9CI) (CA INDEX NAME)

CM 1

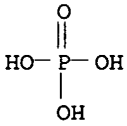
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 7664-38-2
CMF H3 O4 P

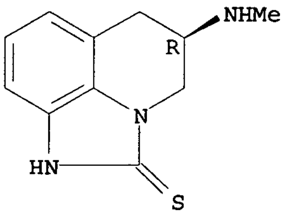


RN 371163-21-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, mononitrate (9CI) (CA INDEX NAME)

CM 1

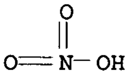
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 7697-37-2
CMF H N O3

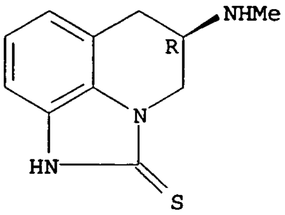


RN 371163-22-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, 2-hydroxy-1,2,3-propanetricarboxylate (9CI) (CA INDEX NAME)

CM 1

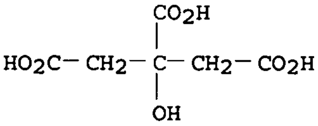
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 77-92-9
CMF C6 H8 O7



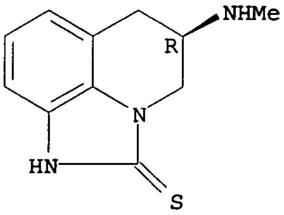
$$HO_2C-CH_2-\overset{CO_2H}{\underset{OH}{C}}-CH_2-CO_2H$$

RN 371163-23-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, monomethanesulfonate (9CI) (CA INDEX NAME)

CM 1

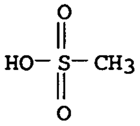
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 75-75-2
CMF C H4 O3 S



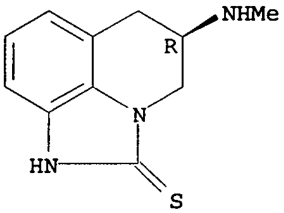
$HO-S(=O)_2-CH_3$

RN 371163-24-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, monoacetate (9CI) (CA INDEX NAME)

CM 1

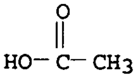
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 64-19-7
CMF C2 H4 O2



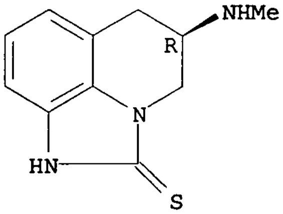
$HO-C(=O)-CH_3$

RN 371163-25-6 HCAPLUS
CN Propanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

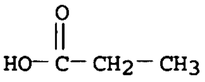
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 79-09-4
CMF C3 H6 O2



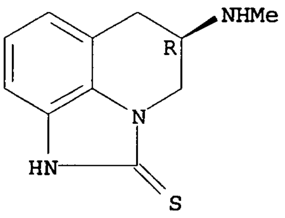
$HO-\overset{\displaystyle O}{\overset{\|}{C}}-CH_2-CH_3$

RN 371163-26-7 HCAPLUS
CN Butanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

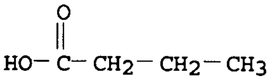
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 107-92-6
CMF C4 H8 O2



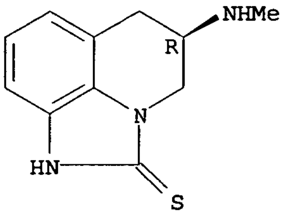
$HO-\overset{\displaystyle O}{\overset{\|}{C}}-CH_2-CH_2-CH_3$

RN 371163-27-8 HCAPLUS
CN Pentanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

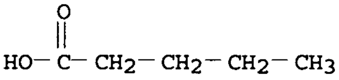
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 109-52-4
CMF C5 H10 O2



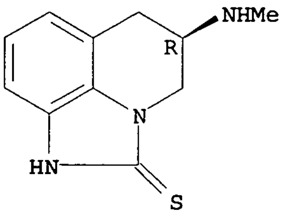
$HO-C(=O)-CH_2-CH_2-CH_2-CH_3$

RN 371163-28-9 HCAPLUS
CN Hexanoic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 142-62-1
CMF C6 H12 O2

$Me-(CH_2)_4-CO_2H$

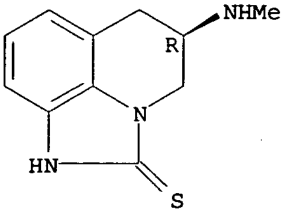
RN 371163-29-0 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, ethanedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4

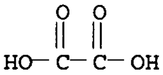
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 144-62-7
CMF C2 H2 O4

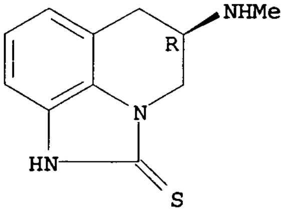


RN 371163-30-3 HCAPLUS
CN Propanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 141-82-2
CMF C3 H4 O4

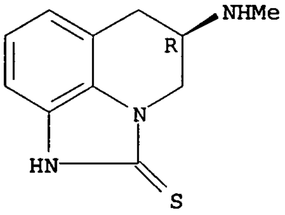
$HO_2C-CH_2-CO_2H$

RN 371163-31-4 HCAPLUS
CN Butanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 110-15-6
CMF C4 H6 O4

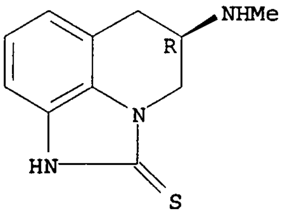
$HO_2C-CH_2-CH_2-CO_2H$

RN 371163-32-5 HCAPLUS
CN Pentanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 110-94-1
CMF C5 H8 O4

$HO_2C-(CH_2)_3-CO_2H$

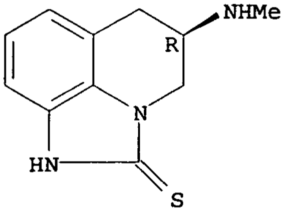
RN 371163-33-6 HCAPLUS
CN Hexanedioic acid, compd. with (5R)-5,6-dihydro-5-(methylamino)-4H-

imidazo[4,5,1-ij]quinoline-2(1H)-thione (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 124-04-9
CMF C6 H10 O4

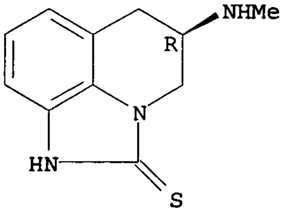
$HO_2C-(CH_2)_4-CO_2H$

RN 371163-34-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, monobenzoate (9CI) (CA INDEX NAME)

CM 1

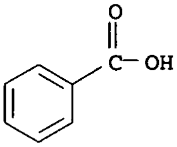
CRN 282522-93-4
CMF C11 H13 N3 S

Absolute stereochemistry.



CM 2

CRN 65-85-0
CMF C7 H6 O2



IC ICM C07D471-06
ICS A61K031-437; A61P025-00; A61P015-00; C07D471-06; C07D235-00;
C07D221-00
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
ST imidazoquinolinethione methylamino **prepn**
IT 282522-97-8P
RL: BYP (Byproduct); PREP (Preparation)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
IT **166743-01-7P** **179386-43-7P** **179386-44-8P**
227025-33-4P **269731-84-2P** **282522-93-4P**
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371163-42-7P **371163-43-8P** **371163-44-9P**
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371163-48-3P **371163-49-4P** **371163-50-7P**
371163-51-8P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
IT **282522-94-5P** **371163-17-6P** **371163-18-7P**
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371163-31-4P **371163-32-5P** **371163-33-6P**
371163-34-7P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
IT 110-86-1, Pyridine, uses
RL: NUU (Other use, unclassified); USES (Uses)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
IT 23979-41-1, (R)-Naproxen 83848-83-3, 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
IT 105927-04-6P, 2-Naphthaleneacetyl chloride, 6-methoxy-α-methyl-, (αR)-
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** of (5R)-(methylamino)-5,6-dihydro-4h-imidazo[4,5,1-ij]quinoline-2(1H)-thione)
REFERENCE COUNT: 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L75 ANSWER 23 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER: 2001:798221 HCAPLUS
DOCUMENT NUMBER: 135:331428
TITLE: **Preparation** of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome.
INVENTOR(S): McCall, Robert B.; Marshall, Robert C.; Robertson, David W.; Ashley, Thomas M.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Co., USA
SOURCE: PCT Int. Appl., 34 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

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             GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP,
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OTHER SOURCE(S): MARPAT 135:331428

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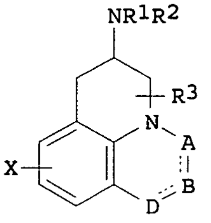


I

AB Use of title compds., e.g., (I; R1-R3 = H, alkyl, alkenyl, alkynyl, cycloalkyl, cycloalkylalkyl, phenylalkyl; R1R2N = cyclic amine; X = H, alkyl, halo, OH, alkoxy, cyano, carboxamide, CO2H, carboalkoxy; A = CH, CH2, CHY, CHMe, CO, CS, CSMe, CNH2, SO2, N, etc.; B = null, CH2, CH, CHY, CO, N, NH, NMe, O; D = CH, CH2, CHY, CO, O, N, NH, NMe; Y = halo) for **preparation** of medicaments for the treatment of symptoms of fibromyalgia or chronic fatigue syndrome is claimed (no data). Thus, 4H-imidazo[4,5,1-ij]quinolin-2(1H)-one was converted in several steps to (5R)-5-methylamino-5,6-

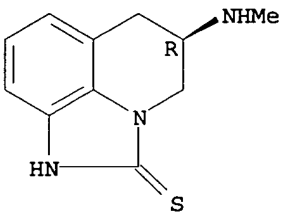
dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione in several steps.

IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**preparation** of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

RN 282522-93-4 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



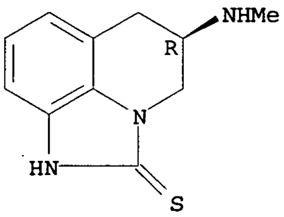
RN 282522-94-5 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

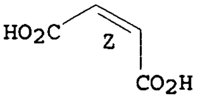
Absolute stereochemistry.



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.

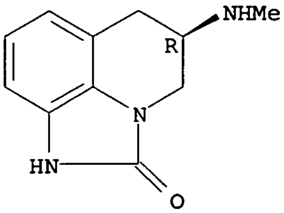


IT **179386-43-7 179386-44-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); THU (Therapeutic use); BIOL (Biological study); USES (Uses)
(**preparation** of heterocyclic amines for treating fibromyalgia and chronic fatigue syndrome)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



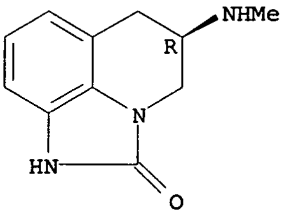
RN 179386-44-8 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

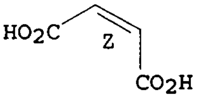
Absolute stereochemistry. Rotation (-).



CM 2

CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



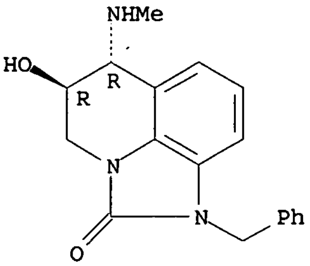
IT **269731-84-2P**, (5R,6R)-1-Benzyl-5-hydroxy-6-(methylamino)-5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one
RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(**preparation** of heterocyclic amines for treating
fibromyalgia and chronic fatigue syndrome)

RN 269731-84-2 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-hydroxy-6-
(methylamino)-1-(phenylmethyl)-, (5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM C07D471-00

CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
Section cross-reference(s): 1, 27

ST heterocyclic amine **prepn** fibromyalgia chronic fatigue
syndrome treatment; imidazoquinolinone **prepn**
fibromyalgia chronic fatigue syndrome treatment;
methylsulfonylphenylpropylpiperidine **prepn** fibromyalgia
chronic fatigue syndrome treatment; cabergoline fibromyalgia
chronic fatigue syndrome treatment

IT Fatigue, biological
(chronic fatigue syndrome, treatment; **preparation** of
heterocyclic amines for treating fibromyalgia and chronic
fatigue syndrome)

IT Muscle, disease
(fibromyalgia, treatment; **preparation** of heterocyclic
amines for treating fibromyalgia and chronic fatigue syndrome)

IT Amines, **preparation**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(heterocyclic; **preparation** of heterocyclic amines for
treating fibromyalgia and chronic fatigue syndrome)

IT **282522-93-4P**, (5R)-5-(Methylamino)-5,6-dihydro-4H-
imidazo[4,5,1-ij]quinoline-2(1H)-thione **282522-94-5P**
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); SPN (Synthetic preparation); THU
(Therapeutic use); BIOL (Biological study); PREP (Preparation);
USES (Uses)
(**preparation** of heterocyclic amines for treating
fibromyalgia and chronic fatigue syndrome)

IT 81409-90-7, Cabergoline 156907-84-5 173590-06-2
179386-43-7 **179386-44-8** 369595-93-7
RL: BAC (Biological activity or effector, except adverse); BSU
(Biological study, unclassified); THU (Therapeutic use); BIOL
(Biological study); USES (Uses)
(**preparation** of heterocyclic amines for treating
fibromyalgia and chronic fatigue syndrome)

IT 282522-97-8P
RL: BYP (Byproduct); PREP (Preparation)
(**preparation** of heterocyclic amines for treating
fibromyalgia and chronic fatigue syndrome)

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IT   269731-84-2P, (5R,6R)-1-Benzyl-5-hydroxy-6-(methylamino)-
     5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one
     RL: PUR (Purification or recovery); RCT (Reactant); SPN (Synthetic
     preparation); PREP (Preparation); RACT (Reactant or reagent)
        (preparation of heterocyclic amines for treating
        fibromyalgia and chronic fatigue syndrome)
IT   74-89-5, Methylamine, reactions   83848-83-3, 4H-Imidazo[4,5,1-
     ij]quinoline-2(1H)-one
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation of heterocyclic amines for treating
        fibromyalgia and chronic fatigue syndrome)
IT   227025-33-4P, 1-Benzyl-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one
     282522-96-7P   369595-91-5P, (5R,6R)-1-Benzyl-5-bromo-6-hydroxy-
     5,6-dihydro-4H-imidazo[4,5,1-ij]quinoline-2(1H)-one   369595-92-6P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (preparation of heterocyclic amines for treating
        fibromyalgia and chronic fatigue syndrome)

L75  ANSWER 24 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         2000:553580  HCAPLUS
DOCUMENT NUMBER:          133:164054
TITLE:                    Preparation of (R)-5-methylamino-5,6-
                          dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-
                          one
INVENTOR(S):              Wuts, Peter Guillaume Marie
PATENT ASSIGNEE(S):       Pharmacia and Upjohn Company, USA
SOURCE:                   PCT Int. Appl., 28 pp.
                          CODEN: PIXXD2
DOCUMENT TYPE:            Patent
LANGUAGE:                 English
FAMILY ACC. NUM. COUNT:   1
PATENT INFORMATION:

     PATENT NO.          KIND   DATE        APPLICATION NO.        DATE
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             HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK,
             LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ,
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TW 527356	B	20030411	TW 2000-89101899		20000203
ZA 2001005880	A	20020717	ZA 2001-5880		20010717
US 2002183520	A1	20021205	US 2001-934749		20010822
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PRIORITY APPLN. INFO.:			US 1999-118766P	P	19990205
			US 2000-494750	A1	20000131
			WO 2000-US505	W	20000131

OTHER SOURCE(S): MARPAT 133:164054

AB The title **process** comprises hydroxybromination of 1-benzyl-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one, **formation** and separation of diastereomeric (R)-naproxates, amination, ring closure, and reductive ring opening.

IT **269731-84-2P**

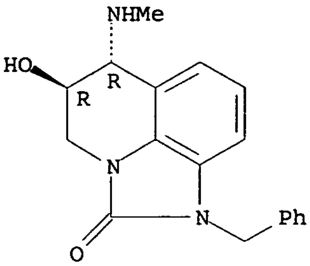
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)

(**preparation** of (R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)

RN 269731-84-2 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-hydroxy-6-(methylamino)-1-(phenylmethyl)-, (5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

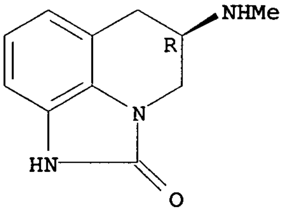


IT 179386-43-7P 179386-44-8P
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of (R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 179386-44-8 HCAPLUS

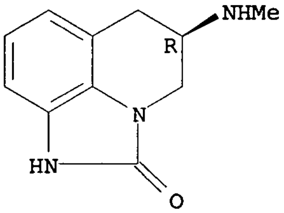
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7

CMF C11 H13 N3 O

Absolute stereochemistry. Rotation (-).

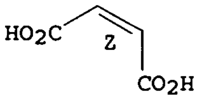


CM 2

CRN 110-16-7

CMF C4 H4 O4

Double bond geometry as shown.



IC ICM C07D471-06
ICS C07D471-06; C07D211-00; C07D233-00
CC 28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
ST imidazoquinolinone methylamino enantiomer **prepn**;
stereoselective **prepn** imidazoquinolinone methylamino
IT Hydroxylation
(stereoselective, brominative; **preparation** of
(R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)
IT Ring opening
(stereoselective, reductive; **preparation** of
(R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)
IT Amination
Cyclization
(stereoselective; **preparation** of (R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)
IT 105927-04-6P 227025-33-4P **269731-84-2P** 282522-95-6P
282522-96-7P 282522-97-8P 282522-98-9P
RL: IMF (Industrial manufacture); RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** of (R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)
IT **179386-43-7P 179386-44-8P**
RL: IMF (Industrial manufacture); SPN (Synthetic preparation); PREP (Preparation)
(**preparation** of (R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)
IT 100-39-0, Benzyl bromide 23979-41-1 83848-83-3,
4H-Imidazo[4,5,1-ij]-quinolin-2(1H)-one
RL: RCT (Reactant); RACT (Reactant or reagent)
(**preparation** of (R)-5-methylamino-5,6-dihydro-4H-imidazo[4,5,1-ij]-quinolin-2(1H)-one)
REFERENCE COUNT: 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD. ALL CITATIONS AVAILABLE IN THE RE FORMAT

L75 ANSWER 25 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER: 2000:475525 HCAPLUS
DOCUMENT NUMBER: 133:109946
TITLE: Methylaminodihydroimidazoquinolinones for treating sexual disturbances and inducing mating in animals
INVENTOR(S): Meglasson, Martin Durham; McCall, Robert B.
PATENT ASSIGNEE(S): Pharmacia & Upjohn Company, USA
SOURCE: PCT Int. Appl., 48 pp.
CODEN: PIXXD2
DOCUMENT TYPE: Patent
LANGUAGE: English
FAMILY ACC. NUM. COUNT: 1
PATENT INFORMATION:

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE

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PRIORITY APPLN. INFO.:                      US 1999-114840P     P
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OTHER SOURCE(S):         MARPAT 133:109946
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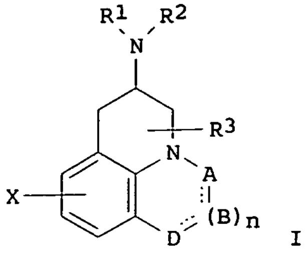
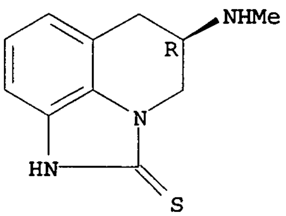


AB The present invention is a **method** of treating sexual disturbances in humans and inducing mating in non-human mammals using the compds. of formula (I: R1,R2,R3 = H, alkyl, alkenyl, cycloalkyl, etc.; X = H, alkyl, halogen, OH, etc.; A,B,D = CH, CH2, CO, N, etc.; n = 0 or 1) in a dosage range where the sexually therapeutic amount is from about 0.2 through 8 mg/person/dose and where the sexually mating amount is from about 0.003 through 0.2 mg/kg/dose.

IT **282522-93-4P 282522-94-5P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(treating sexual disturbances and inducing mating in animals)

RN 282522-93-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

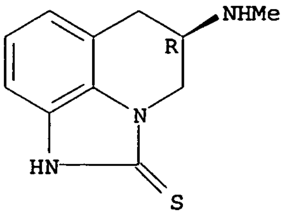


RN 282522-94-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinoline-2(1H)-thione, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 282522-93-4
CMF C11 H13 N3 S

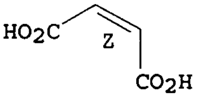
Absolute stereochemistry.



CM 2

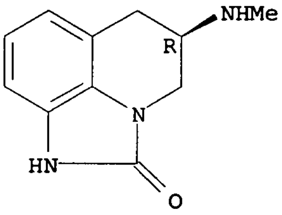
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IT **179386-43-7 179386-44-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(treating sexual disturbances and inducing mating in animals)
RN 179386-43-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 179386-44-8 HCAPLUS
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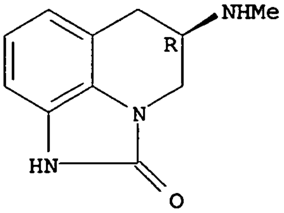
CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

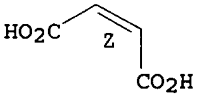
Absolute stereochemistry. Rotation (-).



CM 2

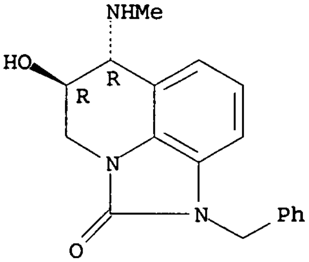
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



IT **269731-84-2P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treating sexual disturbances and inducing mating in animals)
RN 269731-84-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-hydroxy-6-(methylamino)-1-(phenylmethyl)-, (5R,6R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



IC ICM A61K031-00
CC 63-6 (Pharmaceuticals)
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RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); PROC (Process); USES (Uses)
(treating sexual disturbances and inducing mating in animals)
IT 50-60-2, Phentolamine 58-74-2, Papaverine 65-85-0D, Benzoic acid, methylaminodihydroimidazoquinolinone salts, biological studies 75-75-2D, Methanesulfonic acid, methylaminodihydroimidazoquinolinone salts 77-92-9D, Citric acid, methylaminodihydroimidazoquinolinone salts 87-69-4D, Tartaric acid, methylaminodihydroimidazoquinolinone salts,

biological studies 110-16-7D, Maleic acid, methylaminodihydroimidazoquinolinone salts 110-17-8D, Fumaric acid, methylaminodihydroimidazoquinolinone salts 146-48-5, Yohimbine 745-65-3, Prostaglandin e1 7647-01-0D, Hydrochloric acid, methylaminodihydroimidazoquinolinone salts, biological studies 7664-38-2D, Phosphoric acid, methylaminodihydroimidazoquinolinone salts, biological studies 7664-93-9D, Sulfuric acid, methylaminodihydroimidazoquinolinone salts, biological studies 7697-37-2D, Nitric acid, methylaminodihydroimidazoquinolinone salts, biological studies 10035-10-6D, Hydrobromic acid, methylaminodihydroimidazoquinolinone salts, biological studies 33876-97-0, Linsidomine 78415-72-2, Milrinone 139755-83-2, Sildenafil 171596-29-5, ICOS 351 **179386-43-7** **179386-44-8**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); PEP (Physical, engineering or chemical process); THU (Therapeutic use); BIOL (Biological study); PROC (Process); USES (Uses)
(treating sexual disturbances and inducing mating in animals)

IT 105927-04-6P 227025-33-4P **269731-84-2P** 282522-95-6P 282522-96-7P 282522-97-8P 282522-98-9P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(treating sexual disturbances and inducing mating in animals)

L75 ANSWER 26 OF 27 HCAPLUS COPYRIGHT 2005 ACS on STN

ACCESSION NUMBER:	1997:151411 HCAPLUS
DOCUMENT NUMBER:	126:186021
TITLE:	**Synthesis** and Biological Activities of (R)-5,6-Dihydro-N,N-dimethyl-4H-imidazo[4,5,1-ij]quinolin-5-amine and Its Metabolites
AUTHOR(S):	Heier, Richard F.; Dolak, Lester A.; Duncan, J. Neil; Hyslop, Deborah K.; Lipton, Michael F.; Martin, DIair J.; Mauragis, Michael A.; Piercey, Montford F.; Nichols, Nanette F.; Schreur, Peggy J. K. D.; Smith, Martin W.; Moon, Malcolm W.
CORPORATE SOURCE:	Structural Analytical Medicinal Chemistry Chemical Biological 1 Screening Drug Metabolism Research, CNS Research and Chemical Research Preparations Pharmacia Upjohn Inc., Kalamazoo, MI, 49001-0199, USA
SOURCE:	Journal of Medicinal Chemistry (1997), 40(5), 639-646 CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER:	American Chemical Society
DOCUMENT TYPE:	Journal
LANGUAGE:	English

AB Title (R)-5,6-dihydro-N,N-dimethyl-4H-imidazo[4,5,1-ij]quinolin-5-amine (I) is a potent dopamine agonist in animals but shows very low affinity in in vitro binding assays. When incubated with mouse or monkey liver S9 microsomes, I is metabolized by N-demethylation and oxidation to (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (II); intermediate metabolites, where N-demethylation to the imidazoquinoline and where oxidation to the imidazoquinolinone has taken place, are also observed in these incubates. A cross-species study on the metabolism of I in vitro has shown large variations in the extent of metabolism from species to species. The metabolites have comparable activity to I in animals and also show good dopaminergic (D2) and serotonergic (5HT)1A activities in binding assays. I and its metabolites were

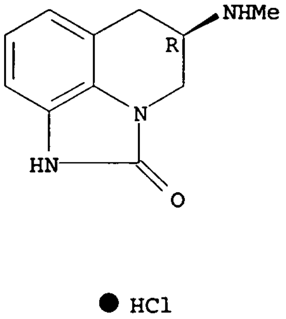
prepared as single enantiomers from quinoline.

IT **166743-01-7P 179386-43-7P 185943-30-0P**
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); RCT (Reactant); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); RACT (Reactant or reagent); USES (Uses)
(**preparation** and dopamine agonist activity of imidazoquinolinones)

RN 166743-01-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

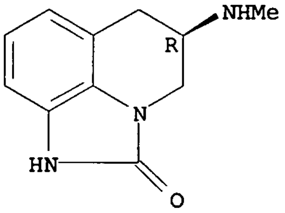
Absolute stereochemistry. Rotation (-).



RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

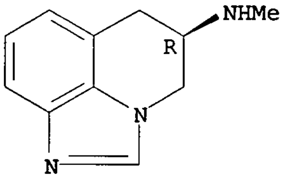
Absolute stereochemistry. Rotation (-).



RN 185943-30-0 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

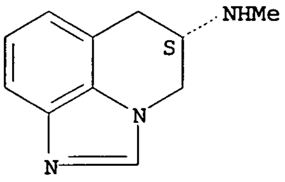


IT **185943-32-2P 185943-34-4P 185943-35-5P**
185943-36-6P 185943-44-6P 185943-45-7P

185943-46-8P
RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(**preparation** and dopamine agonist activity of imidazoquinolinones)

RN 185943-32-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

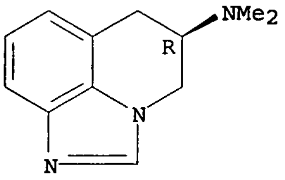
Absolute stereochemistry.



●2 HCl

RN 185943-34-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

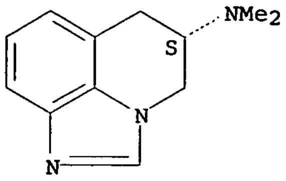
Absolute stereochemistry.



●2 HCl

RN 185943-35-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

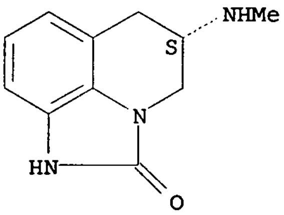
Absolute stereochemistry.



●2 HCl

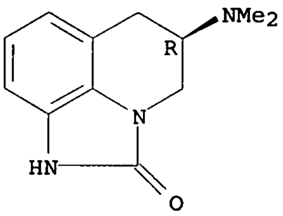
RN 185943-36-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



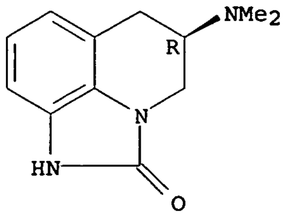
RN 185943-44-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 185943-45-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

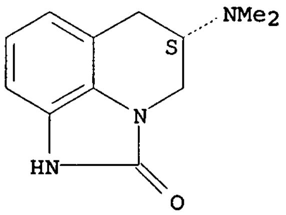
Absolute stereochemistry. Rotation (-).



● HCl

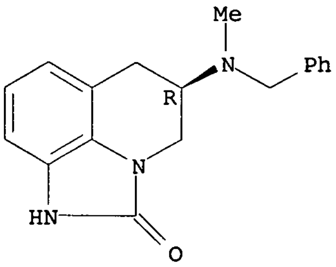
RN 185943-46-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



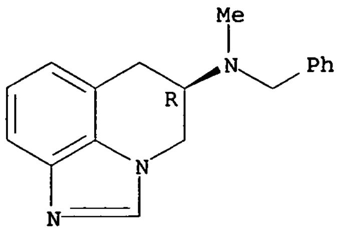
IT **185943-25-3P 185943-27-5P 185943-38-8P**
187608-03-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** and dopamine agonist activity of imidazoquinolinones)
RN 185943-25-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(phenylmethyl)amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



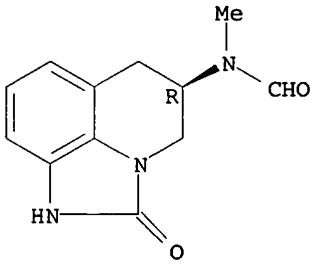
RN 185943-27-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



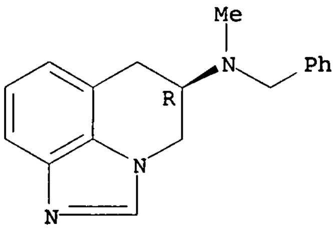
RN 185943-38-8 HCAPLUS
CN Formamide, N-methyl-N-(1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



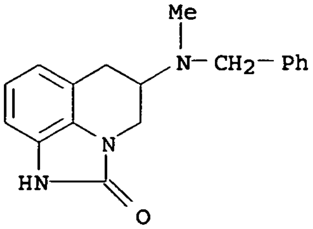
RN 187608-03-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-(phenylmethyl)-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

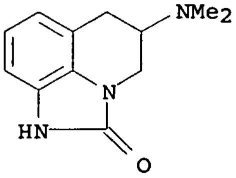


● 2 HCl

IT **132874-99-8P 132875-36-6P 179386-44-8P**
185943-26-4P 185943-28-6P 185943-29-7P
185943-37-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(**preparation** and dopamine agonist activity of imidazoquinolinones)
RN 132874-99-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 132875-36-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)

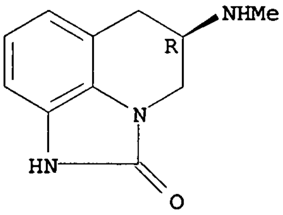


RN 179386-44-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

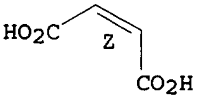
Absolute stereochemistry. Rotation (-).



CM 2

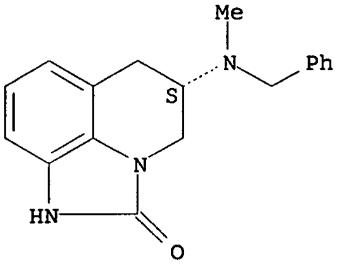
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



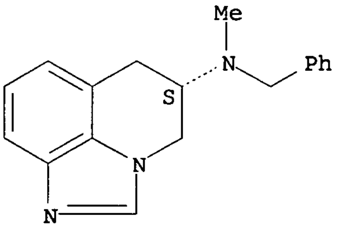
RN 185943-26-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(phenylmethyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

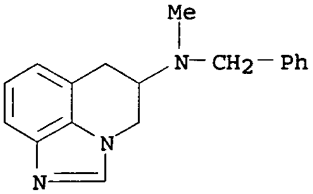


RN 185943-28-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

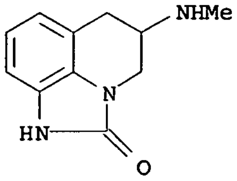
Absolute stereochemistry.



RN 185943-29-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 185943-37-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)- (9CI) (CA INDEX NAME)



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CC   28-9 (Heterocyclic Compounds (More Than One Hetero Atom))
     Section cross-reference(s): 1
ST   imidazoquinolinone prepn metabolite dopaminergic;
     serotonergic imidazoquinolinone metabolite
IT   5-HT agonists
     Dopamine agonists
        (preparation and dopamine agonist activity of
        imidazoquinolinones)
IT   166743-01-7P 179386-43-7P 185943-30-0P
     RL: BAC (Biological activity or effector, except adverse); BSU
     (Biological study, unclassified); RCT (Reactant); SPN (Synthetic
     preparation); THU (Therapeutic use); BIOL (Biological study); PREP
     (Preparation); RACT (Reactant or reagent); USES (Uses)
        (preparation and dopamine agonist activity of
        imidazoquinolinones)
IT   185943-32-2P 185943-34-4P 185943-35-5P
     185943-36-6P 185943-44-6P 185943-45-7P
     185943-46-8P
     RL: BAC (Biological activity or effector, except adverse); BSU
     (Biological study, unclassified); SPN (Synthetic preparation); THU
     (Therapeutic use); BIOL (Biological study); PREP (Preparation);
     USES (Uses)
        (preparation and dopamine agonist activity of
        imidazoquinolinones)
IT   91-22-5, Quinoline, reactions   24424-99-5, Di-tert-butyl
     dicarbonate
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (preparation and dopamine agonist activity of
        imidazoquinolinones)
IT   152400-16-3P   152400-17-4P   185943-03-7P   185943-04-8P
     185943-05-9P   185943-07-1P   185943-10-6P   185943-13-9P
     185943-17-3P   185943-21-9P   185943-22-0P 185943-25-3P
     185943-27-5P 185943-38-8P   187608-00-0P
     187608-03-3P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (preparation and dopamine agonist activity of
        imidazoquinolinones)
IT   132874-99-8P 132875-36-6P 179386-44-8P
     185943-06-0P   185943-08-2P   185943-09-3P   185943-11-7P
     185943-14-0P   185943-15-1P   185943-16-2P   185943-18-4P
     185943-20-8P 185943-26-4P 185943-28-6P
     185943-29-7P 185943-37-7P   187608-01-1P
     187608-02-2P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (preparation and dopamine agonist activity of
        imidazoquinolinones)

L75  ANSWER 27 OF 27  HCAPLUS  COPYRIGHT 2005 ACS on STN
ACCESSION NUMBER:         1997:112965  HCAPLUS
DOCUMENT NUMBER:          126:99157
TITLE:                    Synthesis and Biological Activities
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of (R)-5,6-Dihydro-N,N-dimethyl-4H-imidazo[4,5,1-ij]quinolin-5-amine and Its Metabolites

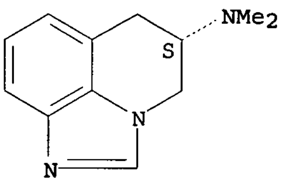
AUTHOR(S): Heier, Richard F.; Dolak, Lester A.; Duncan, J. Neil; Hyslop, Deborah K.; Lipton, Michael F.; Martin, Iain J.; Mauragis, Michael A.; Piercey, Montford F.; Nichols, Nanette F.; et al.
CORPORATE SOURCE: Pharmacia Upjohn Inc., Kalamazoo, MI, 49001-0199, USA

SOURCE: Journal of Medicinal Chemistry (1997), 40(5), 639-646
CODEN: JMCMAR; ISSN: 0022-2623
PUBLISHER: American Chemical Society
DOCUMENT TYPE: Journal
LANGUAGE: English

AB The imidazoquinoline (R)-5,6-dihydro-N,N-dimethyl-4H-imidazo[4,5,1-ij]quinolin-5-amine [(R)-3] is a potent dopamine agonist when tested in animals but surprisingly shows very low affinity in in vitro binding assays. When incubated with mouse or monkey liver S9 microsomes, (R)-3 is metabolized by N-demethylation and oxidation to (R)-5,6-dihydro-5-(methylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one [(R)-6]; intermediate metabolites, where N-demethylation to the imidazoquinoline (R)-5,6-Dihydro-N-methyl-4H-imidazo[4,5,1-ij]quinolin-5-amine (R)-4 and where oxidation to the imidazoquinolinone (R)-5,6-Dihydro-5-(dimethylamino)-4H-imidazo[4,5,1-ij]quinolin-2(1H)-one (R)-5 has taken place, are also observed in these incubates. A cross-species study on the metabolism of (R)-3 in vitro has shown large variations in the extent of metabolism from species to species. Imidazoquinolinones (R)-5 and (R)-6 have comparable activity to (R)-3 in animals and also show good dopaminergic (D2) and serotonergic (5HT1A, 5HT1Dα, and 5HT1Dβ) activities in binding assays. It is probable that these metabolites account at least in part for the in vivo activity found for (R)-3. Efficient syntheses for compds. 3-6 as single enantiomers from quinoline are presented together with information on the biol. activities and metabolic stabilities of these compds.

IT **185943-39-9**
RL: BPR (Biological process); BSU (Biological study, unclassified); BIOL (Biological study); PROC (Process)
(dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)
RN 185943-39-9 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



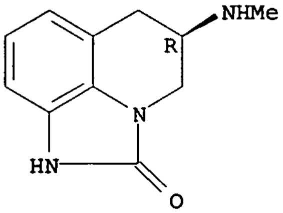
IT **179386-43-7P 185943-44-6P**
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); SPN (Synthetic preparation); BIOL (Biological study); FORM (Formation,

nonpreparative); PREP (Preparation); PROC (Process)
(dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)

RN 179386-43-7 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)- (9CI) (CA INDEX NAME)

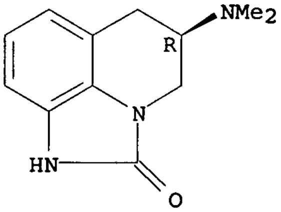
Absolute stereochemistry. Rotation (-).



RN 185943-44-6 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

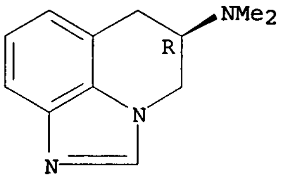


IT **185943-33-3P 185943-36-6P 185943-46-8P**
RL: BPR (Biological process); BSU (Biological study, unclassified); SPN (Synthetic preparation); BIOL (Biological study); PREP (Preparation); PROC (Process)
(dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)

RN 185943-33-3 HCAPLUS

CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, (5R)- (9CI) (CA INDEX NAME)

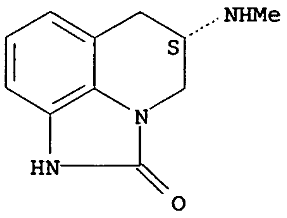
Absolute stereochemistry.



RN 185943-36-6 HCAPLUS

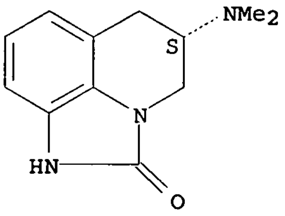
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



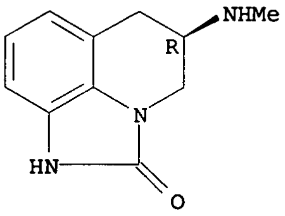
RN 185943-46-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (+).



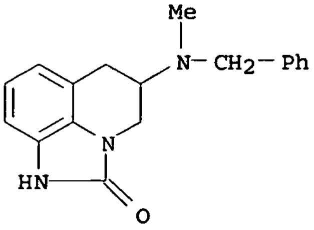
IT **166743-01-7P**
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)
RN 166743-01-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, monohydrochloride, (5R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).

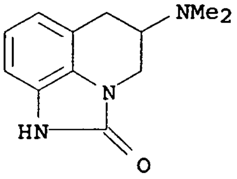


● HCl

IT **132874-99-8P 132875-36-6P 179386-44-8P**
185943-26-4P 185943-28-6P 185943-29-7P
185943-31-1P 185943-32-2P 185943-34-4P
185943-35-5P 185943-37-7P 185943-45-7P
RL: SPN (Synthetic preparation); PREP (Preparation)
(dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)
RN 132874-99-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(phenylmethyl)amino]- (9CI) (CA INDEX NAME)



RN 132875-36-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro- (9CI) (CA INDEX NAME)

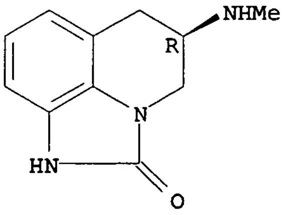


RN 179386-44-8 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)-, (5R)-, (2Z)-2-butenedioate (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 179386-43-7
CMF C11 H13 N3 O

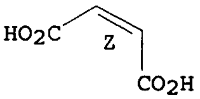
Absolute stereochemistry. Rotation (-).



CM 2

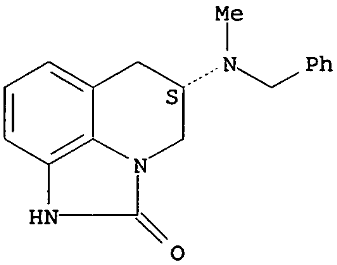
CRN 110-16-7
CMF C4 H4 O4

Double bond geometry as shown.



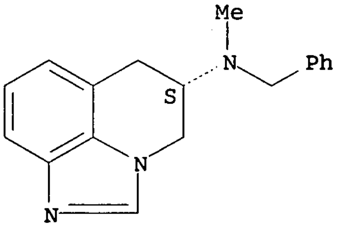
RN 185943-26-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-
[methyl(phenylmethyl)amino]-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

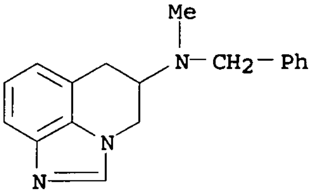


RN 185943-28-6 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-
(phenylmethyl)-, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.

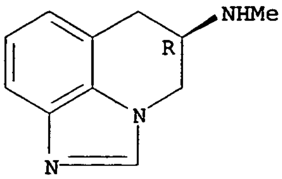


RN 185943-29-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-
(phenylmethyl)- (9CI) (CA INDEX NAME)



RN 185943-31-1 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-,
dihydrochloride, (R)- (9CI) (CA INDEX NAME)

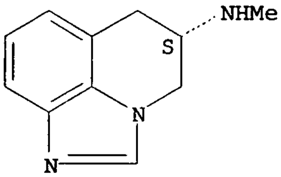
Absolute stereochemistry.



●2 HCl

RN 185943-32-2 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

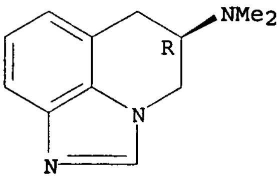
Absolute stereochemistry.



●2 HCl

RN 185943-34-4 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, dihydrochloride, (R)- (9CI) (CA INDEX NAME)

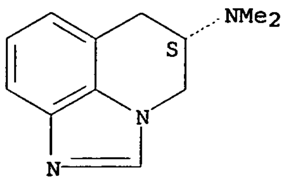
Absolute stereochemistry.



●2 HCl

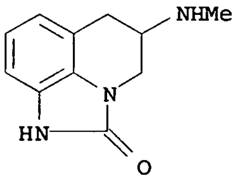
RN 185943-35-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N,N-dimethyl-, dihydrochloride, (S)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



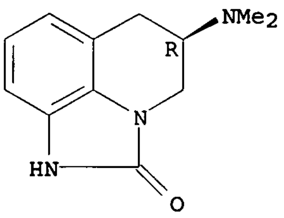
●2 HCl

RN 185943-37-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-(methylamino)- (9CI) (CA INDEX NAME)



RN 185943-45-7 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5-(dimethylamino)-5,6-dihydro-, monohydrochloride, (R)- (9CI) (CA INDEX NAME)

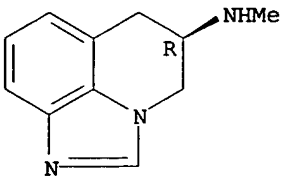
Absolute stereochemistry. Rotation (-).



● HCl

IT **185943-30-0P**
RL: BPR (Biological process); BSU (Biological study, unclassified); MFM (Metabolic formation); RCT (Reactant); SPN (Synthetic preparation); BIOL (Biological study); FORM (Formation, nonpreparative); PREP (Preparation); PROC (Process); RACT (Reactant or reagent)
(**preparation** and reaction; dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)
RN 185943-30-0 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-, (R)- (9CI) (CA INDEX NAME)

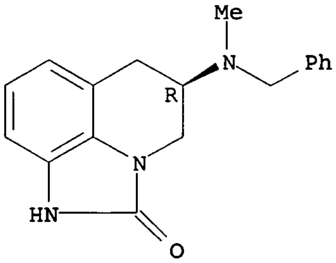
Absolute stereochemistry.



IT 185943-25-3P 185943-27-5P 185943-38-8P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
(**preparation** and reaction; dopamine agonist dihydrodimethylimidazoquinolinamine enantiomer and metabolite **preparation** and biol. activity)

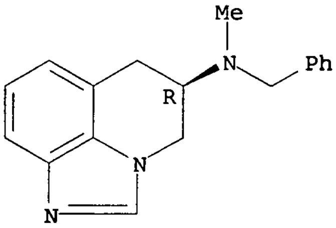
RN 185943-25-3 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-2(1H)-one, 5,6-dihydro-5-[methyl(phenylmethyl)amino]-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



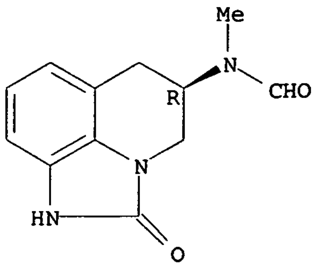
RN 185943-27-5 HCAPLUS
CN 4H-Imidazo[4,5,1-ij]quinolin-5-amine, 5,6-dihydro-N-methyl-N-(phenylmethyl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry. Rotation (-).



RN 185943-38-8 HCAPLUS
CN Formamide, N-methyl-N-(1,2,5,6-tetrahydro-2-oxo-4H-imidazo[4,5,1-ij]quinolin-5-yl)-, (R)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



CC 1-11 (Pharmacology)
Section cross-reference(s): 28
ST dopamine agonist **prepn** metab activity; metabolite
quinoline deriv dopamine agonist **prepn**;
dihydrodimethylimidazoquinolinamine **prepn** metab
activity; quinoline deriv dopamine agonist metab activity
IT 5-HT receptors
RL: BPR (Biological process); BSU (Biological study,
unclassified); BIOL (Biological study); PROC (Process)
(5-HT1A; dopamine agonist dihydrodimethylimidazoquinolinamine
enantiomer and metabolite **preparation** and biol. activity)
IT Dopamine receptors
RL: BPR (Biological process); BSU (Biological study,
unclassified); BIOL (Biological study); PROC (Process)
(D2; dopamine agonist dihydrodimethylimidazoquinolinamine
enantiomer and metabolite **preparation** and biol. activity)
IT Dopamine receptors
RL: BPR (Biological process); BSU (Biological study,
unclassified); BIOL (Biological study); PROC (Process)
(D3; dopamine agonist dihydrodimethylimidazoquinolinamine
enantiomer and metabolite **preparation** and biol. activity)
IT Hypothermia
(dopamine agonist dihydrodimethylimidazoquinolinamine
enantiomer and metabolite **preparation** and biol. activity)
IT Dog (Canis familiaris)
Miniature swine
Monkey
Mouse
Rabbit
Rat
(dopamine agonist dihydrodimethylimidazoquinolinamine
enantiomer and metabolite **preparation**, biol. activity, and
extent of metabolism in various species including humans)
IT Brain, disease
Brain, disease
(substantia nigra, lesion, turning behavior with; dopamine
agonist dihydrodimethylimidazoquinolinamine enantiomer and
metabolite **preparation**, biol. activity, and extent of
metabolism in various species including humans)
IT Behavior
(turning; dopamine agonist dihydrodimethylimidazoquinolinamine
enantiomer and metabolite **preparation** and biol. activity)
IT 185943-08-2P 185943-09-3P
RL: PUR (Purification or recovery); PREP (Preparation)
(chromatog. resolution; dopamine agonist
dihydrodimethylimidazoquinolinamine enantiomer and metabolite
preparation and biol. activity)
IT **185943-39-9**
RL: BPR (Biological process); BSU (Biological study,

```
     unclassified); BIOL (Biological study); PROC (Process)
        (dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)
IT   179386-43-7P 185943-44-6P
     RL: BPR (Biological process); BSU (Biological study,
     unclassified); MFM (Metabolic formation); SPN (Synthetic
     preparation); BIOL (Biological study); FORM (Formation,
     nonpreparative); PREP (Preparation); PROC (Process)
        (dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)
IT   185943-33-3P 185943-36-6P 185943-46-8P
     RL: BPR (Biological process); BSU (Biological study,
     unclassified); SPN (Synthetic preparation); BIOL (Biological
     study); PREP (Preparation); PROC (Process)
        (dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)
IT   185943-06-0P
     RL: PNU (Preparation, unclassified); PREP (Preparation)
        (dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)
IT   166743-01-7P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)
IT   132874-99-8P 132875-36-6P 179386-44-8P
     185943-11-7P   185943-12-8P   185943-14-0P   185943-15-1P
     185943-16-2P   185943-18-4P   185943-20-8P   185943-23-1P
     185943-24-2P 185943-26-4P 185943-28-6P
     185943-29-7P 185943-31-1P 185943-32-2P
     185943-34-4P 185943-35-5P 185943-37-7P
     185943-45-7P
     RL: SPN (Synthetic preparation); PREP (Preparation)
        (dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)
IT   185943-30-0P
     RL: BPR (Biological process); BSU (Biological study,
     unclassified); MFM (Metabolic formation); RCT (Reactant); SPN
     (Synthetic preparation); BIOL (Biological study); FORM (Formation,
     nonpreparative); PREP (Preparation); PROC (Process); RACT
     (Reactant or reagent)
        (preparation and reaction; dopamine agonist
        dihydrodimethylimidazoquinolinamine enantiomer and metabolite
        preparation and biol. activity)
IT   612-18-0P   152400-16-3P   152400-17-4P   185943-03-7P
     185943-04-8P   185943-05-9P   185943-07-1P   185943-10-6P
     185943-13-9P   185943-17-3P   185943-21-9P   185943-22-0P
     185943-25-3P 185943-27-5P 185943-38-8P
     RL: RCT (Reactant); SPN (Synthetic preparation); PREP
     (Preparation); RACT (Reactant or reagent)
        (preparation and reaction; dopamine agonist
        dihydrodimethylimidazoquinolinamine enantiomer and metabolite
        preparation and biol. activity)
IT   74-89-5, Methylamine, reactions   87-69-4, reactions   91-22-5,
     Quinoline, reactions   100-39-0, Benzyl bromide   147-71-7,
     D-Tartaric acid   24424-99-5, Di-tert-butyl dicarbonate
     RL: RCT (Reactant); RACT (Reactant or reagent)
        (reaction; dopamine agonist dihydrodimethylimidazoquinolinamine
        enantiomer and metabolite preparation and biol. activity)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